10-556,931.trn

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PASSWORD:
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.35	193.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.56

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.35	193.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.56

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STRUCTURE FILE UPDATES: 26 NOV 2007 HIGHEST RN 955995-34-3
DICTIONARY FILE UPDATES: 26 NOV 2007 HIGHEST RN 955995-34-3

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when
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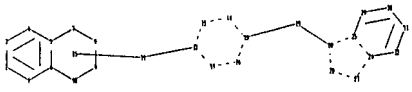
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-556,931a.str



chain nodes :
11 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 20 25 26 27 28 29 30
31 32
chain bonds :
11-12 15-19 19-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 20-25 20-28 25-26 25-29 26-27 26-32 27-28 29-30 30-31 31-32

exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 12-13 12-17 13-14
14-15 15-16 15-19 16-17 19-20 20-25 20-28 25-26 25-29 26-27 26-32 27-28
29-30 30-31 31-32

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 35:Atom

L7 STRUCTURE UPLOADED

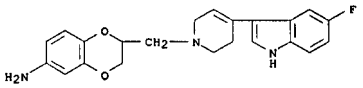
=> d l7
L7 HAS NO ANSWERS
L7 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

10-556,931.trn

Structure attributes must be viewed using STN Express query preparation.

```
=> s l7 sss sam
SAMPLE SEARCH INITIATED 15:55:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      684 TO ITERATE

100.0% PROCESSED      684 ITERATIONS                          9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       12111 TO    15249
PROJECTED ANSWERS:              9 TO      360

L8             9 SEA SSS SAM L7

=> d scan
```

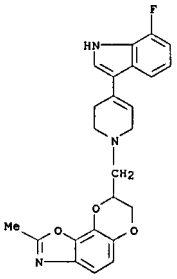
L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1,4-Benzodioxin-6-amine,
2-{[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-
MF C22 H22 F N3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-
MF C24 H22 F N3 O3

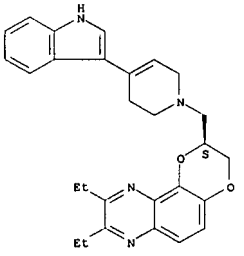


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)-
MF C28 H30 N4 O2

Absolute stereochemistry.

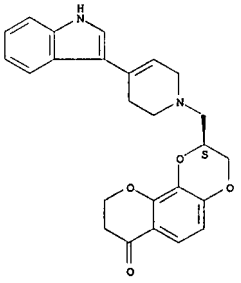


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)-
MF C25 H24 N2 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> s l7 sss full
FULL SEARCH INITIATED 15:56:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    13401 TO ITERATE

100.0% PROCESSED    13401 ITERATIONS                          222 ANSWERS
SEARCH TIME: 00.00.01

L9          222 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 174.35     367.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -1.56

FILE 'CAPLUS' ENTERED AT 15:57:13 ON 27 NOV 2007
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FILE LAST UPDATED: 26 Nov 2007  (20071126/ED)

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=> s l9
L10         25 L9

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y
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L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004106298	A1	20041209	WO 2003-EP305789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

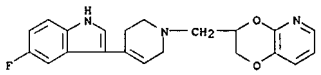
PRIORITY APPLN. INFO.:

WO 2003-EP5789	A	20030530
WO 2003-EP305789	A	20030530
WO 2004-EP50922	W	20040526

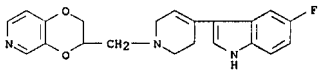
OTHER SOURCE(S): MARPAT 142:38264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production
IT 473996-82-6P 805230-14-2P 805230-15-3P
805232-48-8P 805232-50-2P 805232-52-4P
805232-53-5P 805232-54-6P 805232-56-8P
805232-57-9P 805232-59-1P 805232-60-4P
805232-61-5P 805232-62-6P 805232-63-7P
805232-65-9P 805232-66-0P 805232-69-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)
RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

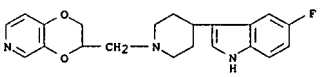


RN 805230-14-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-15-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 805232-48-8 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(4-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

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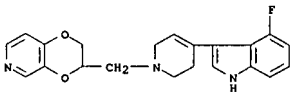
CRN 805232-47-7
CMF C21 H20 F N3 O2



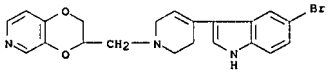
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-50-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-bromo-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-49-9
CMF C21 H20 Br N3 O2



CM 2

CRN 144-62-7

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C2 H2 O4

RN 805232-52-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-51-3
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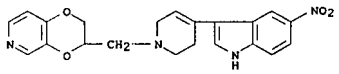
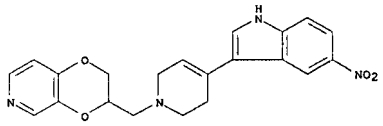


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-53-5 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (-)- (CA INDEX NAME)

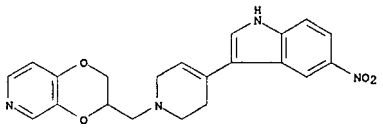
Rotation (-).



RN 805232-54-6 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (+)- (CA INDEX NAME)

Rotation (+).

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 805232-56-8 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

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CRN 805232-55-7
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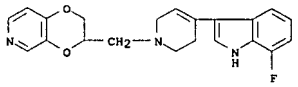


CM 2

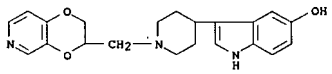
CRN 144-62-7
CMF C2 H2 O4

RN 805232-57-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

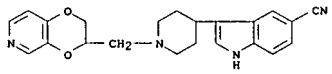
CM 1

CRN 805230-15-3
CMF C21 H22 F N3 O2

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

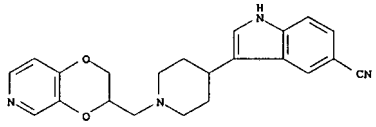


RN 805232-61-5 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)



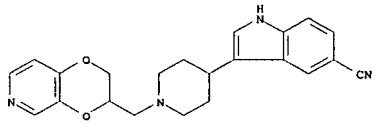
RN 805232-62-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 805232-63-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (+)- (CA INDEX NAME)

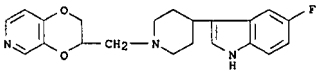
Rotation (+).



RN 805232-65-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



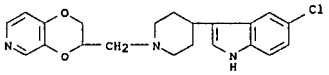
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-59-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

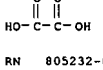
CM 1

CRN 805232-58-0
CMF C21 H22 Cl N3 O2



CM 2

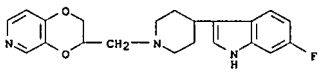
CRN 144-62-7
CMF C2 H2 O4



RN 805232-60-4 CAPLUS
CN 1H-Indol-5-ol, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

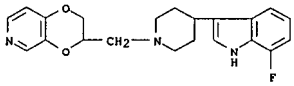
CRN 805232-64-8
CMF C21 H22 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

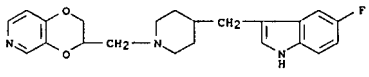
RN 805232-66-0 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805232-69-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

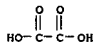
CRN 805232-68-2
CMF C22 H24 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106298	A1	20041209	WO 2003-EP5789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
AT 367392	T	20070815	AT 2004-741649	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP305789 A 20030530
WO 2003-EP5789 A 20030530
WO 2004-EP50922 W 20040526

OTHER SOURCE(S): MARPAT 142:38263
GI

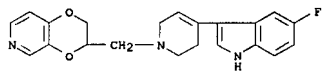
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L10 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

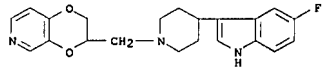
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production

IT 805230-14-2P 805230-15-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)

RN 805230-14-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-15-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

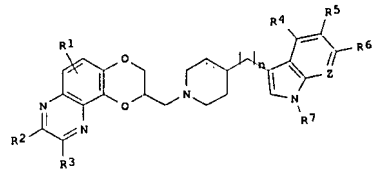
L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:331786 CAPLUS
DOCUMENT NUMBER: 140:357375
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
INVENTOR(S): Gross, Jonathan L.; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 128,722.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077652	A1	20040422	US 2003-618947	20030714
US 7008944	B2	20060307		
US 2002183329	A1	20021205	US 2002-128722	20020423
US 6617327	B2	20030909		

PRIORITY APPLN. INFO.:
US 2001-286438P P 20010426
US 2002-128722 A2 20020423

OTHER SOURCE(S): MARPAT 140:357375
GI



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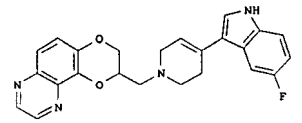
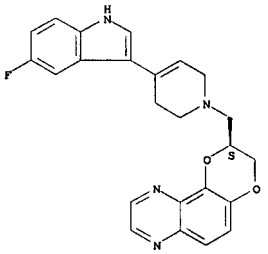


II

AB The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2; R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive
disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 74% (S)-II which showed Ki of 17.72 nM against 5-HT1A receptor binding.
IT 474607-96-0P 474607-97-1P 474607-98-2P
474607-99-3P 474608-00-9P 474608-01-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)
RN 474607-96-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

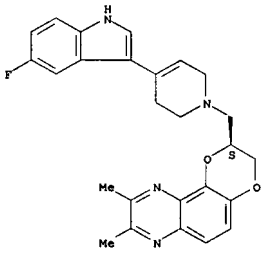
Absolute stereochemistry.



RN 474607-97-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

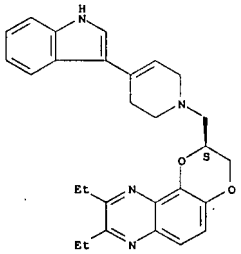
Absolute stereochemistry.

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474608-00-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

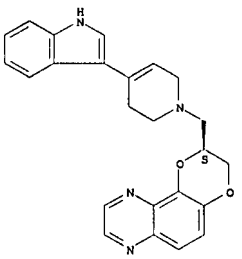
Absolute stereochemistry.



RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

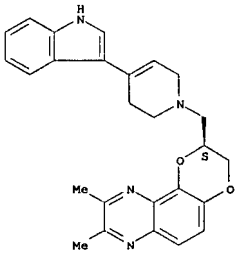
Absolute stereochemistry.

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-98-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

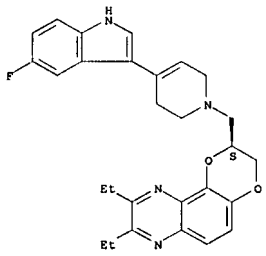
Absolute stereochemistry.



RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

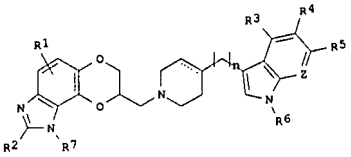


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:41125 CAPLUS
DOCUMENT NUMBER: 140:94051
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Pat. Appl. 2002 183,351.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004010006	A1	20040115	US 2003-420333		20030422
US 6927226	B2	20050809			
US 2002183351	A1	20021205	US 2002-128762		20020423
US 6573283	B2	20030603			
PRIORITY APPLN. INFO.:			US 2001-286579P	P	20010426
			US 2002-128762	A2	20020423

OTHER SOURCE(S): MARPAT 140:94051
GI



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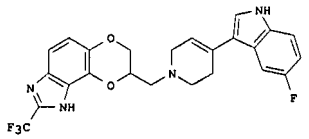
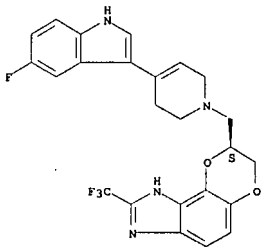


II

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I; R1-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting [(8R)-2-trifluoromethyl-7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO afforded (S)-II which showed Ki of 3.07 nM against 5-HT1A receptor binding.
IT 474623-47-7P 474623-48-8P 474623-51-3P
474623-53-5P 474623-56-8P 474623-59-1P
474623-61-5P 474623-64-8P 474623-67-1P
474623-69-3P 474623-73-9P 474623-77-3P
474623-99-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)
RN 474623-47-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-(CA INDEX NAME)

Absolute stereochemistry.



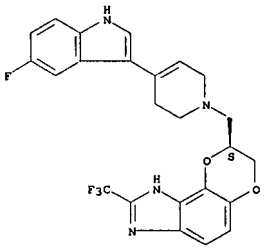
RN 474623-48-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[(4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 474623-47-7
CMF C24 H20 F4 N4 O2

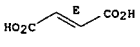
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

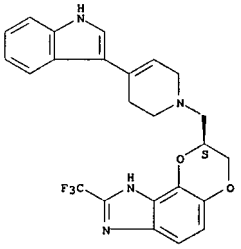
Double bond geometry as shown.



RN 474623-51-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

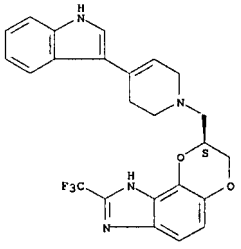


RN 474623-53-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-51-3
CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

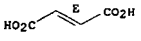


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

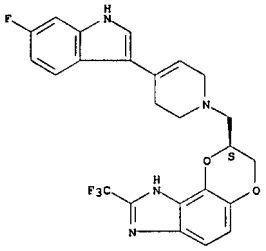


RN 474623-56-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-55-7
CMF C24 H20 F4 N4 O2

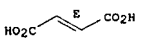
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

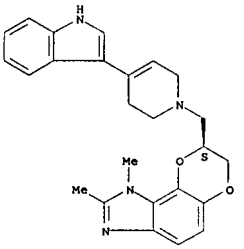


RN 474623-59-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

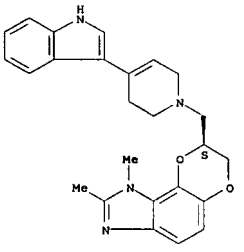


RN 474623-64-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-61-5
CMF C25 H26 N4 O2

Absolute stereochemistry.



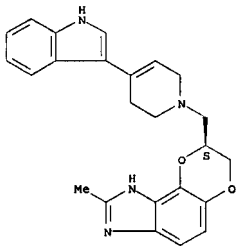
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H24 N4 O2

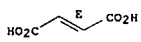
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

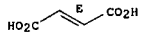
Double bond geometry as shown.



RN 474623-61-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

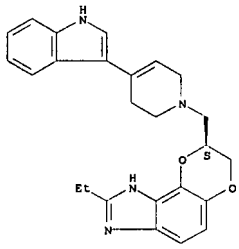
Absolute stereochemistry.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474623-67-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

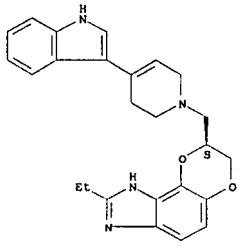


RN 474623-69-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-67-1
CMF C25 H26 N4 O2

Absolute stereochemistry.

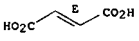


L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

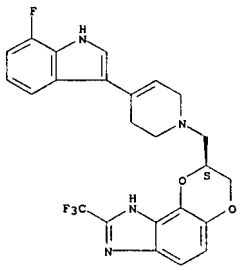


RN 474623-73-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-72-8
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

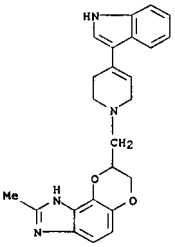


CM 2

CRN 110-17-8
CMF C4 H4 O4

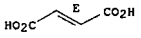
Double bond geometry as shown.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

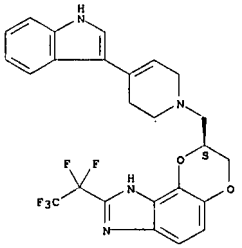


RN 474623-77-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-76-2
CMF C25 H21 F5 N4 O2

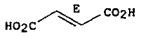
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



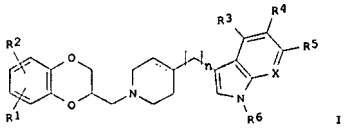
RN 474623-99-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

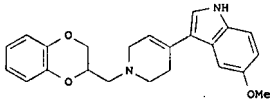
ACCESSION NUMBER: 2003:1007854 CAPLUS
DOCUMENT NUMBER: 140:42186
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Husbands, George E. M.; Stack, Gary P.; Mewshaw, Richard E.; Cliffe, Ian A.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 128,477.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236241	A1	20031225	US 2003-390478	20030317
US 7041683	B2	20060509		
US 2002193400	A1	20021219	US 2002-128447	20020423
US 6559169	B2	20030506		
PRIORITY APPLN. INFO.:			US 2001-286056P	P 20010424
			US 2002-128447	A1 20020423
			US 2002-128477	A2 20020423

OTHER SOURCE(S): MARPAT 140:42186
GI



I



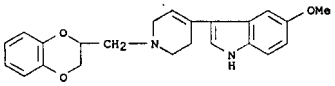
II

AB The title compds. (I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, CN,
etc.; R6 = H, alkyl; X = CR7, N; n = 0-2) and/or their pharmaceutically acceptable salts, useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks,

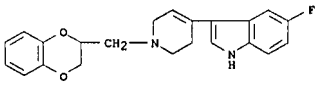
L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting 2,3-dihydro-benzo[1,4]dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HT1A receptor binding.

IT 473993-79-2P 473993-80-5P 473993-81-6P
473993-82-7P 473993-83-8P 473993-84-9P
473993-85-0P 473993-86-1P 473993-87-2P
473993-88-3P 473993-89-4P 473993-90-7P
473993-91-8P 473993-92-9P 473993-93-0P
473993-94-1P 473994-01-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 473993-79-2 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)



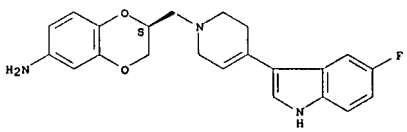
RN 473993-80-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)



RN 473993-81-6 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

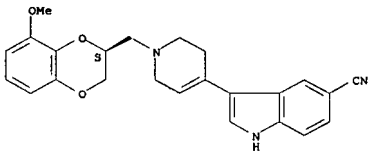
Absolute stereochemistry.

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473993-85-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

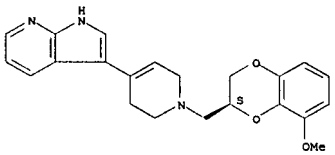
Absolute stereochemistry.



● HCl

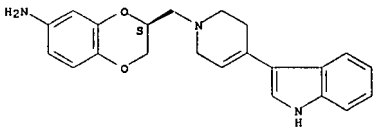
RN 473993-86-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



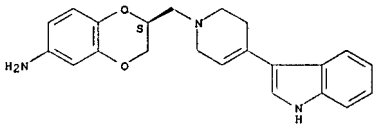
RN 473993-87-2 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



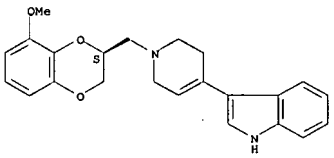
RN 473993-82-7 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-83-8 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

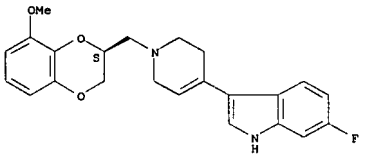


RN 473993-84-9 CAPLUS
CN 1,4-Benzodioxin-6-amine,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

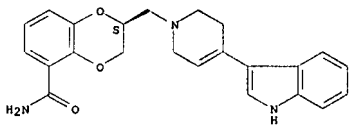
L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



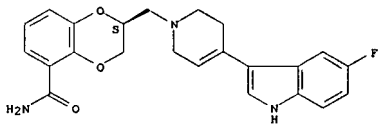
RN 473993-88-3 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

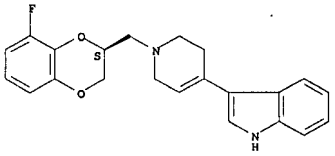
Absolute stereochemistry.



RN 473993-90-7 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

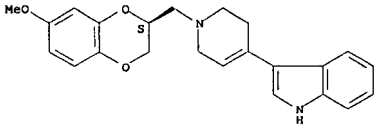
Absolute stereochemistry.

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



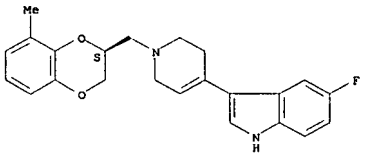
RN 473993-91-8 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-92-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-93-0 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-
tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

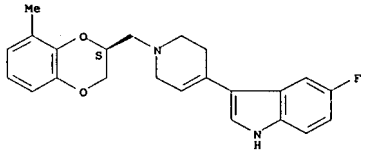
L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473994-01-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX
NAME)

CM 1

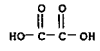
CRN 473993-92-9
CMF C23 H23 F N2 O2

Absolute stereochemistry.



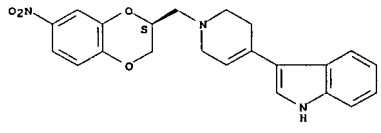
CM 2

CRN 144-62-7
CMF C2 H2 O4



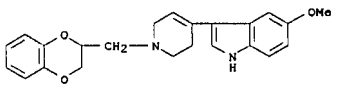
IT 473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-benzodioxane)
RN 473993-95-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 473993-79-2
CMF C23 H24 N2 O3



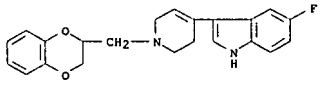
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473993-94-1 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-
tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5
CMF C22 H21 F N2 O2



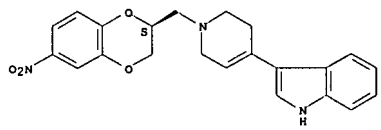
CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

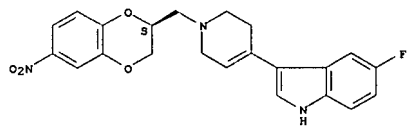
RN 473993-96-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-97-4 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

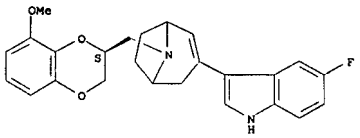
L10 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1002001 CAPLUS
DOCUMENT NUMBER: 140:314412
TITLE: Modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-aza-bicyclo[3.2.1]octane derivatives of 2,3-dihydro-1,4-benzodioxane
AUTHOR(S): Gilbert, Adam M.; Stack, Gary P.; Nilakantan, Ramaswamy; Kodah, Jason; Tran, Megan; Scerni, Rosemary; Shi, Xiaojie; Smith, Deborah L.; Andree, Terrance H.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10945, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 515-518
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:314412

AB 2,3-Dihydro-1,4-benzodioxanes with aryl 8-aza-bicyclo[3.2.1]oct-3-ene attachments produce compds. with potent 5-HT-T affinity, and weak 5-HT1A affinity and α1 affinity. This compares with 2,3-dihydro-1,4-benzodioxanes containing 8-aza-bicyclo[3.2.1] octan-3-ol attachments which possess potent 5-HT1A affinity, moderate to good selectivity over α1 and little 5-HT-T affinity. A 3-benzothiophene analog was synthesized which possesses potent 5-HT1A affinity and especially good selectivity over both α1 and 5-HT-T.

IT 678992-73-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-aza-bicyclo[3.2.1]octane derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 678992-73-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L10 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:950068 CAPLUS
DOCUMENT NUMBER: 140:5054
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
INVENTOR(S): Tran, Megan; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S. Ser. No. 132,238.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003225157	A1	20031204	US 2003-377850		20030303
US 6906206	B2	20050614			
US 2002193401	A1	20021219	US 2002-132238		20020425
US 6555560	B2	20030429			
US 2005004209	A1	20050106	US 2004-881102		20040630
US 6943178	B2	20050913			
PRIORITY APPLN. INFO.:			US 2001-287448P	P	20010430
			US 2002-132238	A2	20020425
			US 2003-377850	A3	20030303

OTHER SOURCE(S): MARPAT 140:5054
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl; Z = CR7, N; X = O, S, H2, F2; n = 0-2], useful for the treatment of diseases such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Novel intermediates II [R1, R2, X as above; Y = OH, halo, alkylsulfonate, trifluoromethanesulfonate, (un)substituted benzenesulfonate] were also prepared and claimed. Thus, reacting [(2R)-7-oxo-2,3,8,9-tetrahydro-7H-[1,4]dioxino[2,3-h]chromen-2-yl]methyl 4-methylbenzenesulfonate (preparation given) with 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 18% (S)-III which showed Ki of 2.74 nM in test for 5-HT transporter affinity.

IT 474551-68-3P 474551-71-8P 474551-73-0P
474551-76-3P

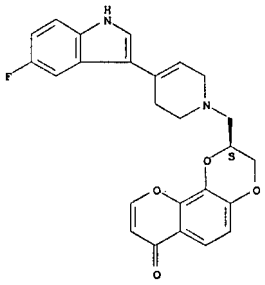
L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of 1,4,5-trioxa-phenanthrene)

RN 474551-68-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474551-67-2
CMF C25 H21 F N2 O4

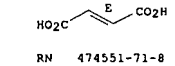
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

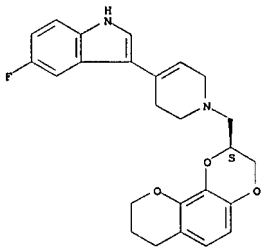


RN 474551-71-8 CAPLUS
CN 1H-Indole, 5-fluoro-3-(1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 474551-70-7
CMF C25 H25 F N2 O3

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

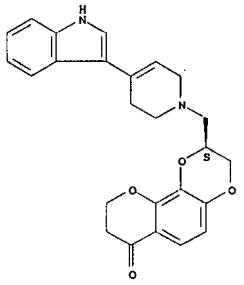
CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

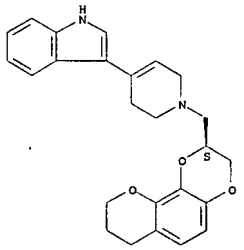


RN 474551-76-3 CAPLUS
CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2
CMF C25 H26 N2 O3

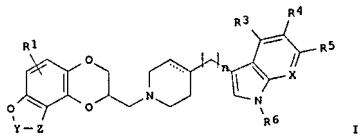
Absolute stereochemistry.



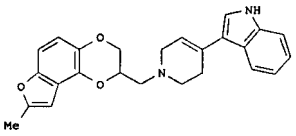
L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678510 CAPLUS
DOCUMENT NUMBER: 139:214473
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(S): Stack, Gary P.; Gao, Hong; Gildersleeve, Elizabeth S.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 131,340.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003162805	A1	20030828	US 2003-377901	20030303
US 6706736	B2	20040316		
US 2002183353	A1	20021205	US 2002-131340	20020424
US 6552049	B2	20030422		
PRIORITY APPLN. INFO.:			US 2001-286569P	P 20010426
			US 2002-131340	A2 20020424

OTHER SOURCE(S): MARPAT 139:214473
GI



I

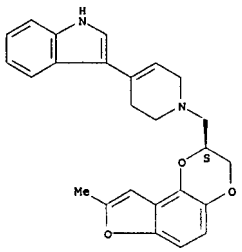


II

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NR2; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N; R2, R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. E.g., a 5-step synthesis of (S)-II, starting from (2S)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yl)methanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HT1A receptor binding, was given.
IT 474621-95-9P 474621-96-0P 474621-97-1P
474621-98-2P 474621-99-3P 474622-00-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)
RN 474621-95-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

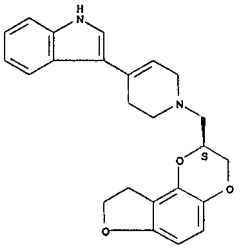
Absolute stereochemistry.



RN 474621-96-0 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

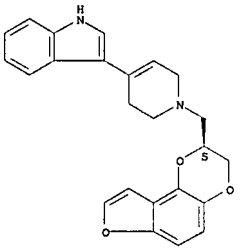
Absolute stereochemistry.

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

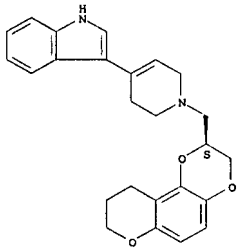
Absolute stereochemistry.



RN 474621-98-2 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

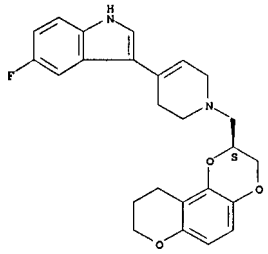
Absolute stereochemistry.

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

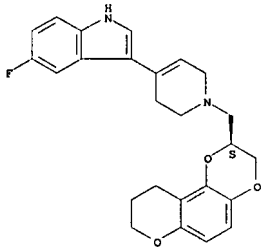


RN 474622-00-9 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3
CMF C25 H25 F N2 O3

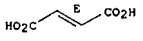
L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

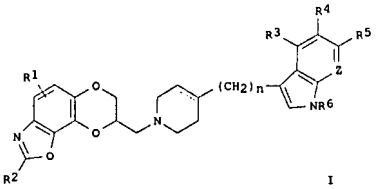
Double bond geometry as shown.



L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:551188 CAPLUS
DOCUMENT NUMBER: 139:117429
TITLE: Preparation of indolyldihydropyridinylmethyltrioxaazacyclopentanaphthalenes as serotonin reuptake inhibitors and 5-HT1A antagonists.
INVENTOR(S): Tran, Megan; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 131,987.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003134871	A1	20030717	US 2003-340424		20030110
US 6617334	B2	20030909			
US 2002183354	A1	20021205	US 2002-131987		20020425
US 6525075	B2	20030225			
US 2003109562	A1	20030612	US 2003-340413		20030110
US 6613913	B2	20030902			
PRIORITY APPLN. INFO.:			US 2001-287449P	P	20010430
			US 2002-131987	A2	20020425

OTHER SOURCE(S): MARPAT 139:117429
GI



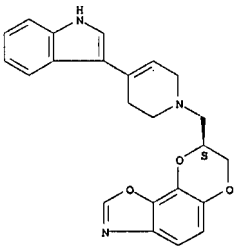
I

AB A method of treating posttraumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dysfunction, comprises providing title compds. (I; R1, R2, R3, R4, R5, R7 = H , halo, cyano, carboxamido, carboalkoxy, CF3 , alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R6 = H, alkyl; dotted line = optional double bond; Z = CR7, N; n = 0, 1, 2). Thus, [(8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl 4-methylbenzenesulfonate (prepn. given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole-5-carbonitrile were heated in DMSO at 75-80° to give (S)-3-[1-(2-methyl-7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalen-8-ylmethyl]-1,2,3,6-tetrahydropyridin-4-yl]-1H-indole-5-carbonitrile. The latter showed 5-HT transporter affinity and 5-HT1A receptor affinity with Ki = 1.68 nM and 9.56 nM, resp.

IT 474622-48-5P 474622-49-6P 474622-50-9P
474622-51-0P 474622-52-1P 474622-53-2P
474622-54-3P 474622-55-4P 474622-56-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyldihydropyridinylmethyltrioxaazacyclopentanaphthalenes as serotonin reuptake inhibitors and 5-HT1A antagonists)

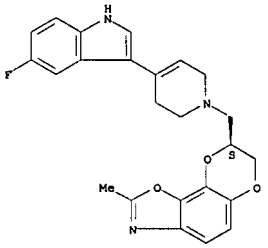
RN 474622-48-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



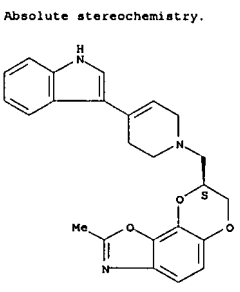
RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



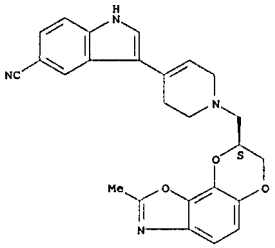
RN 474622-50-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

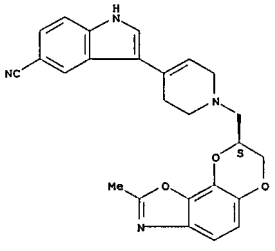


RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0
CMF C25 H22 N4 O3

Absolute stereochemistry.

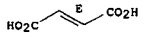


CM 2

CRN 110-17-8
CMF C4 H4 O4

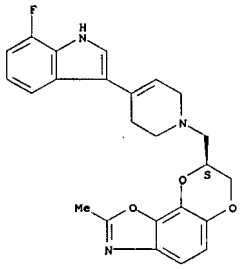
Double bond geometry as shown.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

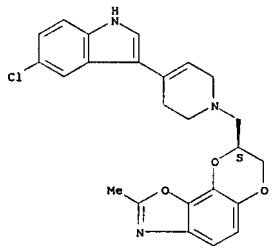


RN 474622-54-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H22 Cl N3 O3

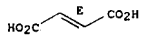
Absolute stereochemistry.



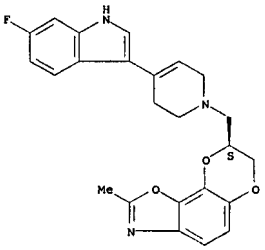
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

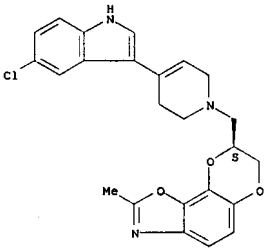


L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-55-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(2:1) (9CI) (CA INDEX NAME)

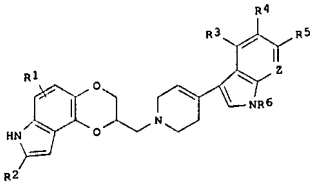
CM 1

CRN 474622-55-4

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:551187 CAPLUS
DOCUMENT NUMBER: 139:117428
TITLE: Preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HT1A antagonists.
INVENTOR(S): Stack, Gary P.; Tran, Megan; Bravo, Byron A.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 131,339.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003134870	A1	20030717	US 2003-339511	20030109
US 6627639	B2	20030930		
US 2002183352	A1	20021205	US 2002-131339	20020424
US 6593350	B2	20030715		
PRIORITY APPLN. INFO.:			US 2001-286575P	P 20010426
			US 2002-131339	A2 20020424

OTHER SOURCE(S): MARPAT 139:117428
GI

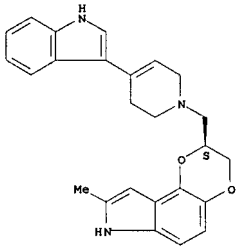


I

AB A method of treating posttraumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dysfunction, comprises provision of title compds. (I; R1, R3, R4, R5, R7 = H, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono-, dialkylamino, alkanamido, alkanesulfonamido; R2 = H, halo, alkyl; R6 = H, alkyl; Z = CR7, N). Thus, (2R)-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indol-2-ylmethyl 4-methylbenzenesulfonate (preparation given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO were heated at

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
65-67° for 4 h to give (S)-2-[[4-(1H-indol-3-yl)-3,6-dihydropyridin-1(2H)-yl]methyl]-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole.
IT 474544-34-8P 474544-36-0P 474544-38-2P
474544-39-3P 474544-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HT1A antagonists)
RN 474544-34-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

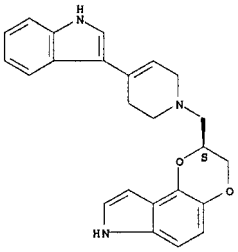
Absolute stereochemistry.



RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

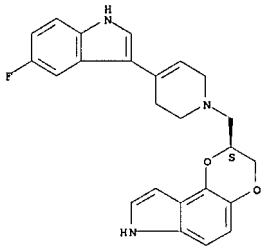
Absolute stereochemistry.

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

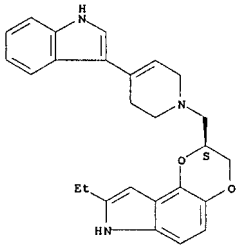
Absolute stereochemistry.



RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

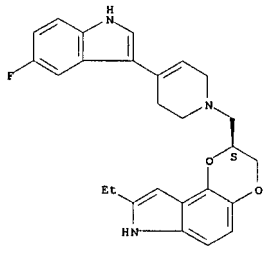
Absolute stereochemistry.

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:888742 CAPLUS
DOCUMENT NUMBER: 137:384846
TITLE: Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
INVENTOR(S): Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

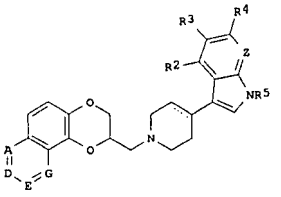
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092602	A2	20021121	WO 2002-US15097	20020514
WO 2002092602	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447150	A1	20021121	CA 2002-2447150	20020514
AU 2002309769	A1	20021125	AU 2002-309769	20020514
US 2002187983	A1	20021212	US 2002-145369	20020514
US 6693197	B2	20040217		
EP 1387845	A2	20040211	EP 2002-736790	20020514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1509290	A	20040630	CN 2002-810067	20020514
BR 2002009901	A	20040713	BR 2002-9901	20020514
JP 2004530693	T	20041007	JP 2002-589486	20020514
MX 2003PA10524	A	20050307	MX 2003-PA10524	20031117
US 2004186123	A1	20040923	US 2003-734867	20031212
US 7038052	B2	20060502		
US 2006074240	A1	20060406	US 2005-282202	20051118
US 7166723	B2	20070123		
US 2007123705	A1	20070531	US 2006-566528	20061204

PRIORITY APPLN. INFO.:

US 2001-291547P	P	20010517
US 2002-145369	A3	20020514
WO 2002-US15097	W	20020514
US 2003-734867	A3	20031212
US 2005-282202	A3	20051118

OTHER SOURCE(S): CASREACT 137:384846; MARPAT 137:384846
GI

L10 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

AB Title compds. [I; R1 = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that ≥1 of A and D = N; E, G = CR1; Z = N, CR6], were prepared by a 7-step process. Thus, [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given), 3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83° for 10 h to give 72% (2S)-2-[4-(1H-indol-3-yl)-3,6-dihydro-2H-pyridin-1-ylmethyl]-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.

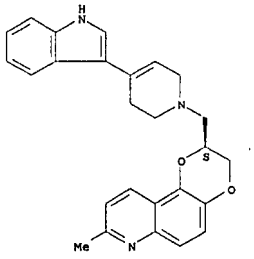
IT 460353-65-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of indolylpyridinylmethyldioxinoquinolines and related compds.)

RN 460353-65-5 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



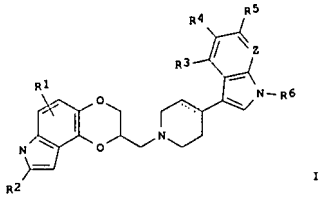
L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849647 CAPLUS
DOCUMENT NUMBER: 137:353044
TITLE: Preparation of antidepressant indoletetrahydropyridine derivatives of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole
INVENTOR(S): Stack, Gary Paul; Tran, Megan; Bravo, Byron Abel
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088146	A2	20021107	WO 2002-US13118	20020425
WO 2002088146	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445583	A1	20021107	CA 2002-2445583	20020425
AU 2002259010	A1	20021111	AU 2002-259010	20020425
EP 1381615	A2	20040121	EP 2002-728990	20020425
EP 1381615	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503800	A	20040609	CN 2002-808622	20020425
BR 2002009336	A	20040615	BR 2002-9336	20020425
AT 279418	T	20041015	AT 2002-728990	20020425
JP 2004533437	T	20041104	JP 2002-585444	20020425
PT 1381615	T	20050228	PT 2002-728990	20020425
ES 2230490	T3	20050501	ES 2002-2728990	20020425
MX 2003PA09739	A	20050307	MX 2003-PA9739	20031023
PRIORITY APPLN. INFO.:			US 2001-286575P P	20010426
			WO 2002-US13118 W	20020425

OTHER SOURCE(S): MARPAT 137:353044
GI

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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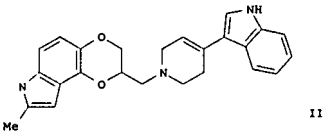


II

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2 = H, halo, alkyl, CF3; R6 = H, alkyl; R6 = H, alkyl; Z = CR7, N], useful in the treatment of central nervous system disorders including depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders and addictive disorders caused by ethanol or cocaine abuse, were prepared E.g., a 8-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 3.44 nM when tested for 5-HT transporter affinity, was given.

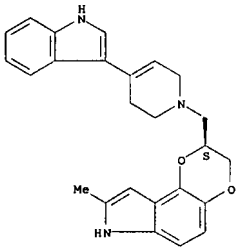
IT 474544-34-8P 474544-36-0P 474544-38-2P
474544-39-3P 474544-41-7P 474544-53-1P
474544-55-3P 474544-57-5P 474544-59-7P
474544-60-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant indoletetrahydropyridine derivs. of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole)

RN 474544-34-8 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

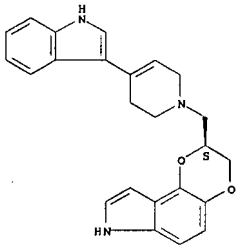
Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

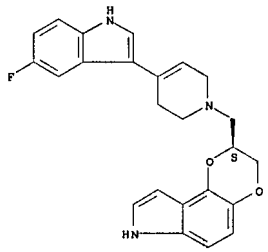
Absolute stereochemistry.



RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

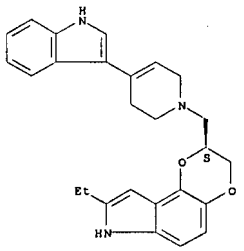
Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

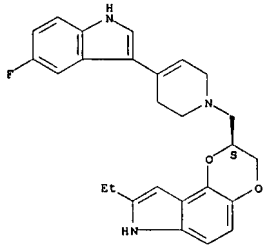
Absolute stereochemistry.



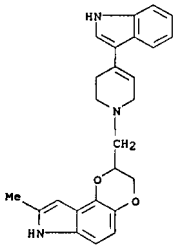
RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

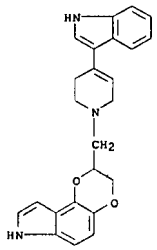


RN 474544-53-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

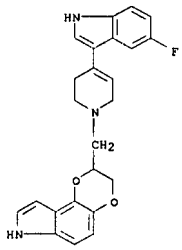


RN 474544-55-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

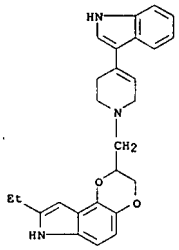


RN 474544-57-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

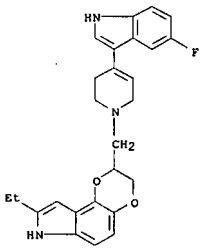


RN 474544-59-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-60-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849645 CAPLUS
DOCUMENT NUMBER: 137:353067
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
INVENTOR(S): Gross, Jonathan Laird; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088144	A2	20021107	WO 2002-US12859	20020423
WO 2002088144	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445581	A1	20021107	CA 2002-2445581	20020423
AU 2002256334	A1	20021111	AU 2002-256334	20020423
EP 1381614	A2	20040121	EP 2002-725787	20020423
EP 1381614	B1	20060802		
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CN 1503801	A	20040609	CN 2002-808679	20020423
BR 2002009342	A	20040615	BR 2002-9342	20020423
JP 2004527563	T	20040909	JP 2002-585442	20020423
AT 334989	T	20060815	AT 2002-725787	20020423
ES 2269678	T3	20070401	ES 2002-2725787	20020423
MX 2003PA09826	A	20050307	MX 2003-PA9826	20031024
PRIORITY APPLN. INFO.:			US 2001-286438P P	20010426
			WO 2002-US12859 W	20020423

OTHER SOURCE(S): MARPAT 137:353067
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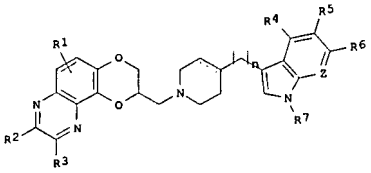
L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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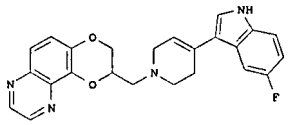
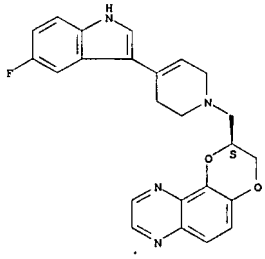


II

AB The title compds. {I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2; R7 = H, alkyl; Z = CR8, N; n = 0-2}, useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 74% (S)-II which showed Ki of 17.72 nM against 5-HT1A receptor binding.
IT 474607-96-0P 474607-97-1P 474607-98-2P
474607-99-3P 474608-00-9P 474608-01-0P
474608-05-4P 474608-06-5P 474608-07-6P
474608-08-7P 474608-09-8P 474608-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)
RN 474607-96-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

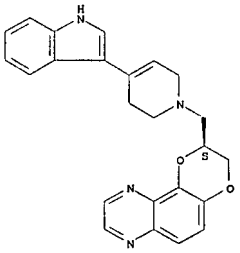
Absolute stereochemistry.

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-97-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

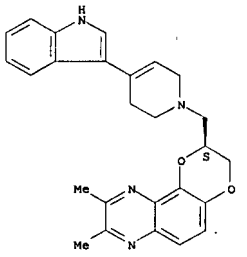
Absolute stereochemistry.



RN 474607-98-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

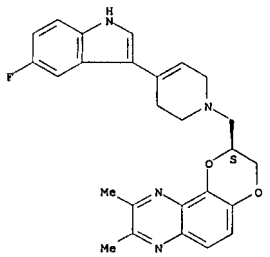
Absolute stereochemistry.

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

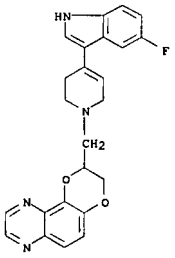
Absolute stereochemistry.



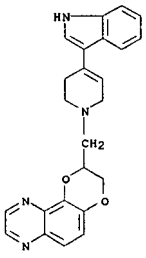
RN 474608-00-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

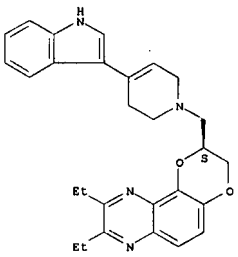


RN 474608-06-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



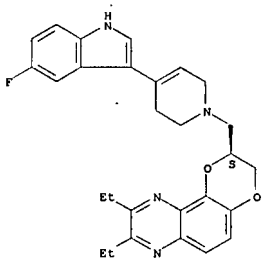
RN 474608-07-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



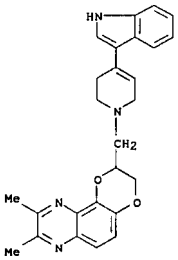
RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-
3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

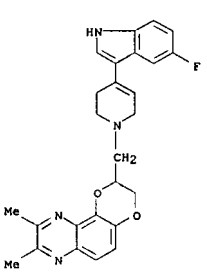


RN 474608-05-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

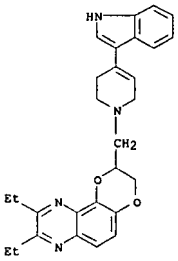


RN 474608-08-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

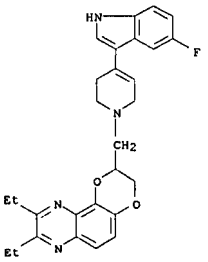


RN 474608-09-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-8,9-diethyl-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474608-10-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-
3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

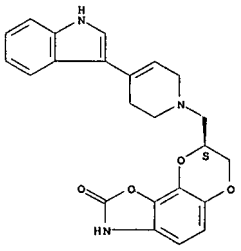


L10 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepd. Thus, hydrogenation of (8S)-8-(azidomethyl)-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-2(3H)-one (multi-step synthesis given) afforded 68% (S)-I.HCl [R1 = H; Z = NH2] which showed IC50 of 3.7 nM against D2 receptor binding.

IT 474391-26-9P 474391-38-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one)

RN 474391-26-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one,
8-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

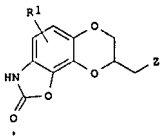


RN 474391-38-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one,
8-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

L10 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849644 CAPLUS
DOCUMENT NUMBER: 137:353042
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

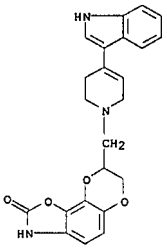
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088142	A1	20021107	WO 2002-US13419	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073697	A1	20030417	US 2002-133994	20020425
US 6800648	B2	20041005		
AU 2002259054	A1	20021111	AU 2002-259054	20020426
PRIORITY APPLN. INFO.:			US 2001-286565P	P 20010426
			WO 2002-US13419	W 20020426

OTHER SOURCE(S): MARPAT 137:353042
GI



AB The title compds. [I; R1 = H, halo, CN, etc.; Z = (un)substituted piperazino, piperidino, 3,6-dihydro-2H-pyridin-1-yl, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA

L10 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

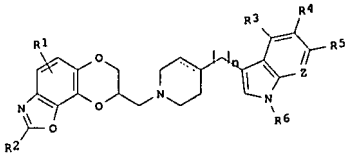
L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849642 CAPLUS
DOCUMENT NUMBER: 137:353040
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088140	A1	20021107	WO 2002-US13117	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445859	A1	20021107	CA 2002-2445859	20020425
AU 2002307569	A1	20021111	AU 2002-307569	20020425
EP 1392700	A1	20040303	EP 2002-766816	20020425
EP 1392700	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503799	A	20040609	CN 2002-808677	20020425
BR 2002009407	A	20040706	BR 2002-9407	20020425
JP 2004528352	T	20040916	JP 2002-585438	20020425
AT 277934	T	20041015	AT 2002-766816	20020425
PT 1392700	T	20041231	PT 2002-766816	20020425
ES 2225798	T3	20050316	ES 2002-2766816	20020425
MX 2003PA09829	A	20050307	MX 2003-PA9829	20031024

PRIORITY APPLN. INFO.: US 2001-287449P P 20010430
WO 2002-US13117 W 20020425

OTHER SOURCE(S): MARPAT 137:353040
GI

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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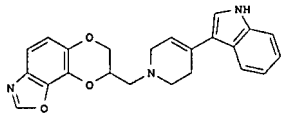


II

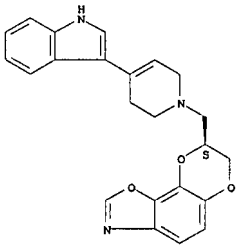
AB The title compds. [I; R1-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; Z = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared E.g., a multi-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 4.00 nM in test on 5-HT transporter affinity, was given.

IT 474622-48-5P 474622-49-6P 474622-50-9P
474622-51-0P 474622-52-1P 474622-53-2P
474622-54-3P 474622-55-4P 474622-56-5P
474622-59-8P 474622-60-1P 474622-61-2P
474622-62-3P 474622-63-4P 474622-64-5P
474622-65-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene)

RN 474622-48-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl}-7,8-dihydro-, (8S)- (CA INDEX NAME)

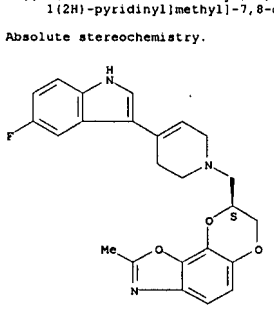
Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

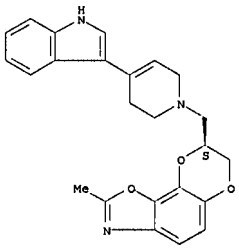
Absolute stereochemistry.



RN 474622-50-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

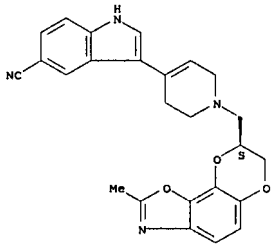
Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



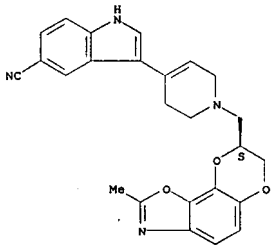
RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0
CMF C25 H22 N4 O3

Absolute stereochemistry.

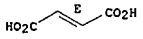
L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

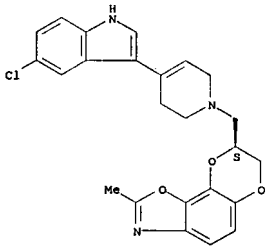
Double bond geometry as shown.



RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

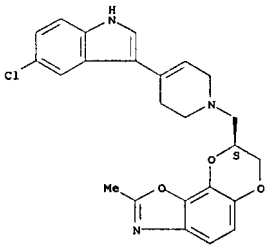


RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474622-55-4
CMF C24 H22 Cl N3 O3

Absolute stereochemistry.

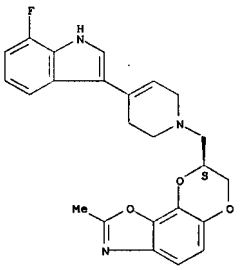


CM 2

CRN 110-17-8
CMF C4 H4 O4

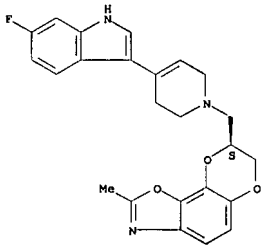
Double bond geometry as shown.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-54-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

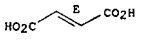
Absolute stereochemistry.



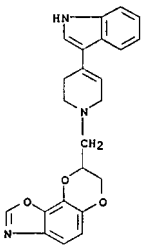
RN 474622-55-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

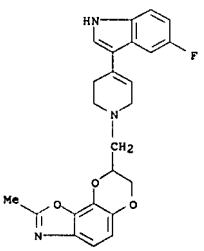
L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-59-8 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

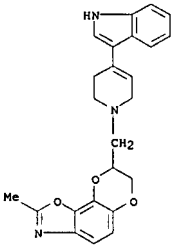


RN 474622-60-1 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

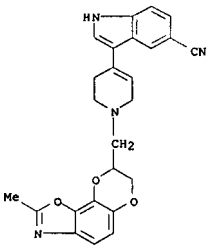


RN 474622-61-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

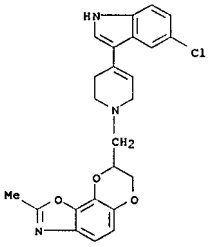


RN 474622-62-3 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 474622-63-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

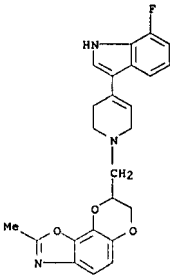
L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



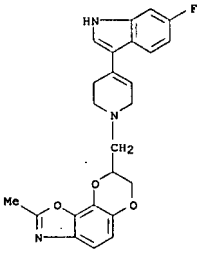
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-64-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)



RN 474622-65-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

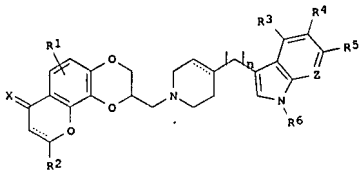
L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849639 CAPLUS
DOCUMENT NUMBER: 137:353039
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088136	A2	20021107	WO 2002-US13447	20020429
WO 2002088136	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 589316	B	20040601	TW 2002-91108669	20020426
AU 2002303529	A1	20021111	AU 2002-303529	20020429
PRIORITY APPLN. INFO.:			US 2001-287448P	P 20010430
			WO 2002-US13447	W 20020429

OTHER SOURCE(S): MARPAT 137:353039
GI

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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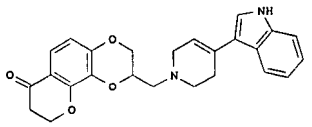


II

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl; Z = CR7, N; X = O, S, H2, F2; n = 0-2], useful for the treatment of diseases such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared E.g., a multi-step synthesis of (S)-II, starting from 2',3',4'-trihydroxyacetophenone and (R)-glycidyl tosylate, which showed Ki of 2.74 nM in test for 5-HT transporter affinity, was given.

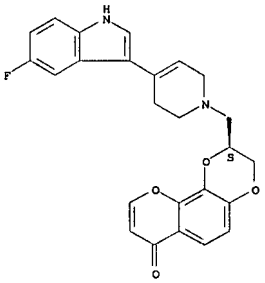
IT 474551-68-3P 474551-71-8P 474551-73-0P
474551-76-3P 474551-89-8P 474551-91-2P
474551-92-3P 474551-97-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4,5-trioxa-phenanthrene)

RN 474551-68-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474551-67-2
CMF C25 H21 F N2 O4

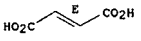
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



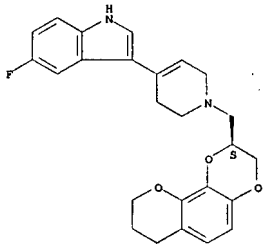
RN 474551-71-8 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-70-7
CMF C25 H25 F N2 O3

Absolute stereochemistry.

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

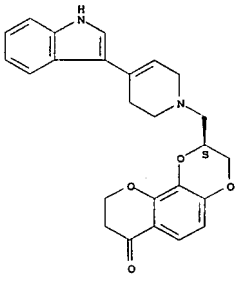


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



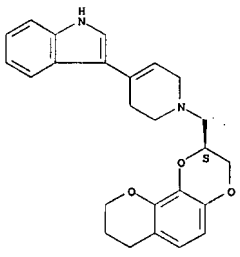
L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474551-76-3 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2
CMF C25 H26 N2 O3

Absolute stereochemistry.

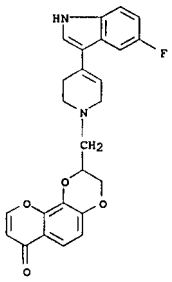


CM 2

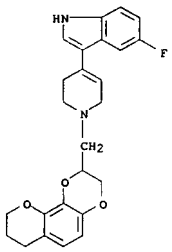
CRN 144-62-7
CMF C2 H2 O4

RN 474551-89-8 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

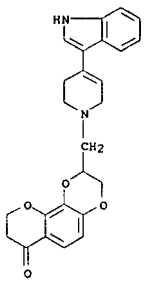


RN 474551-91-2 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

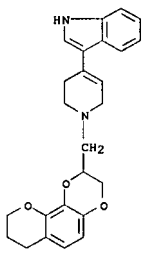


RN 474551-92-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro- (CA INDEX NAME)

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474551-97-8 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)



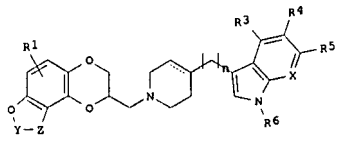
L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849638 CAPLUS
DOCUMENT NUMBER: 137:353038
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(S): Stack, Gary Paul; Gao, Hong; Gildersleeve, Elizabeth Suzanne
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

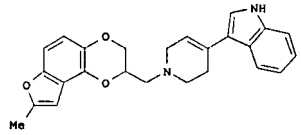
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088135	A1	20021107	WO 2002-US12831	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445543	A1	20021107	CA 2002-2445543	20020424
AU 2002258968	A1	20021111	AU 2002-258968	20020424
EP 1381613	A1	20040121	EP 2002-728947	20020424
EP 1381613	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503798	A	20040609	CN 2002-808647	20020424
BR 2002009343	A	20040615	BR 2002-9343	20020424
AT 279415	T	20041015	AT 2002-728947	20020424
JP 2004532236	T	20041021	JP 2002-585434	20020424
ES 2229138	T3	20050416	ES 2002-2728947	20020424
MX 2003PA09825	A	20050307	MX 2003-PA9825	20031024
PRIORITY APPLN. INFO.:			US 2001-286569P P	20010426
			WO 2002-US12831 W	20020424

OTHER SOURCE(S): MARPAT 137:353038
GI

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

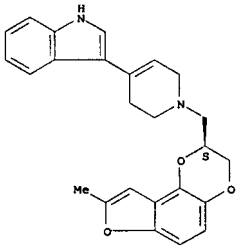
AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NR2; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N; R2, R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared E.g., a 5-step synthesis of (S)-II, starting from (2S)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yl)methanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HT1A receptor binding, was given.

IT 474621-95-9P 474621-96-0P 474621-97-1P 474621-98-2P 474621-99-3P 474622-00-9P 474622-14-5P 474622-15-6P 474622-16-7P 474622-17-8P 474622-18-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)

RN 474621-95-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

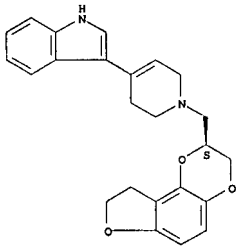
Absolute stereochemistry.

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-96-0 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

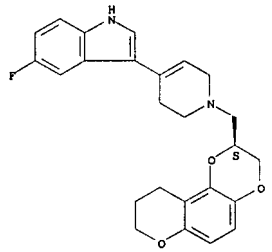
Absolute stereochemistry.



RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

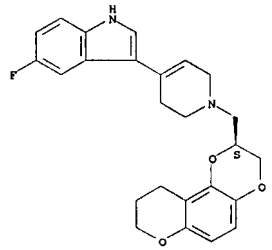


RN 474622-00-9 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-,
(2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3
CMF C25 H25 F N2 O3

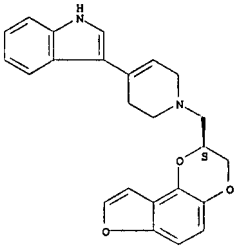
Absolute stereochemistry.



CM 2

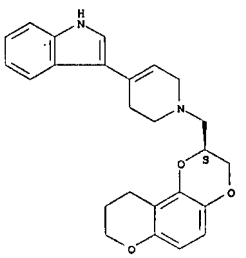
CRN 110-17-8
CMF C4 H4 O4

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-98-2 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

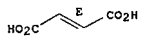


RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

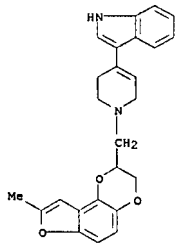
Absolute stereochemistry.

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

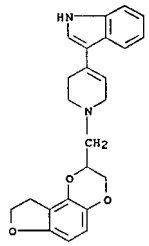
Double bond geometry as shown.



RN 474622-14-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

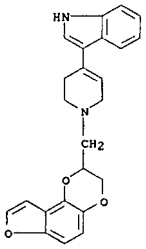


RN 474622-15-6 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

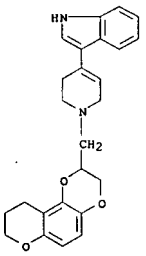


RN 474622-16-7 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-17-8 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)



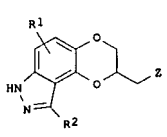
RN 474622-18-9 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849636 CAPLUS
DOCUMENT NUMBER: 137:353036
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002088133	A1	20021107	WO 2002-US13284		20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2002183331	A1	20021205	US 2002-128748		20020423
US 6800641	B2	20041005			
AU 2002308491	A1	20021111	AU 2002-308491		20020426
PRIORITY APPLN. INFO.:			US 2001-286568P	P	20010426
			WO 2002-US13284	W	20020426

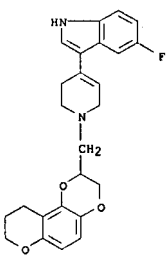
OTHER SOURCE(S): MARPAT 137:353036
GI



I

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un)substituted piperazino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

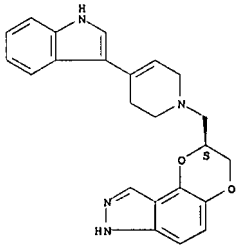
L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ylmethyl 4-methylbenzenesulfonate (multi-step prepn. given) with PhCH2NH2 in DMSO afforded 84% (S)-I [R1, R2 = H; Z = NHCH2Ph] which showed IC50 of 0.45 nM against D2 receptor binding.

IT 474383-10-3P 474383-12-5P 474383-13-6P
474383-14-7P 474383-23-8P 474383-24-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene)

RN 474383-10-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

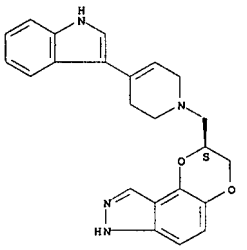
Absolute stereochemistry.



RN 474383-12-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

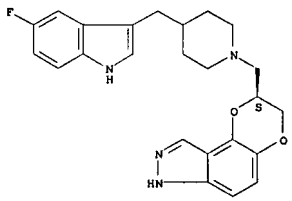
L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 474383-13-6 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

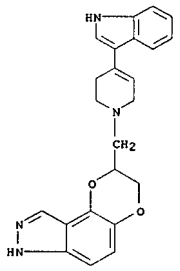


RN 474383-14-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

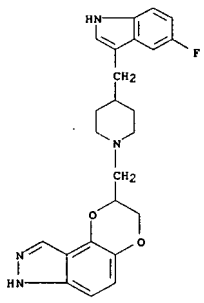
CM 1

CRN 474383-13-6

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474383-24-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

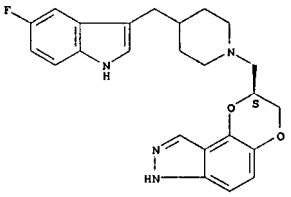


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H25 F N4 O2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474383-23-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

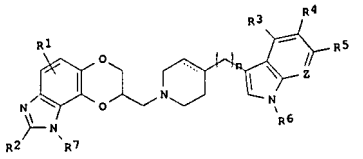
L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2002:849634 CAPLUS
DOCUMENT NUMBER:	137:353034
TITLE:	Preparation of antidepressant (SSRI) azaheterocyclylmethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene
INVENTOR(S):	Stack, Gary Paul
PATENT ASSIGNEE(S):	Wyeth, John, and Brother Ltd., USA
SOURCE:	PCT Int. Appl., 39 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

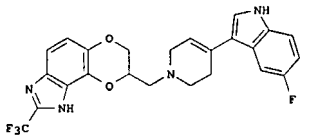
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088131	A1	20021107	WO 2002-US12993	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445552	A1	20021107	CA 2002-2445552	20020423
AU 2002258988	A1	20021111	AU 2002-258988	20020423
EP 1401839	A1	20040331	EP 2002-728968	20020423
EP 1401839	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009408	A	20040706	BR 2002-9408	20020423
JP 2004527561	T	20040909	JP 2002-585430	20020423
CN 1535274	A	20041006	CN 2002-808817	20020423
AT 304016	T	20050915	AT 2002-728968	20020423
ES 2247327	T3	20060301	ES 2002-2728968	20020423
MX 2003PA09828	A	20050307	MX 2003-PA9828	20031024
PRIORITY APPLN. INFO.:			US 2001-286579P P	20010426
			WO 2002-US12993 W	20020423

OTHER SOURCE(S): MARPAT 137:353034
GI

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

AB The title compds. [I; R1-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting [(8R)-2-trifluoromethyl-7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO afforded (S)-II which showed Ki of 3.07 nM against 5-HT1A receptor binding.

IT 474623-47-7P 474623-48-8P 474623-51-3P
474623-53-5P 474623-56-8P 474623-59-1P
474623-61-5P 474623-64-8P 474623-67-1P
474623-69-3P 474623-73-9P 474623-77-3P
474623-90-0P 474623-93-3P 474623-96-6P
474623-99-9P 474624-02-7P 474624-05-0P
474624-06-1P 474624-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant (SSRI) azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)

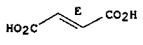
RN 474623-47-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

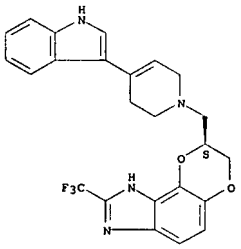
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 474623-51-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474623-53-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

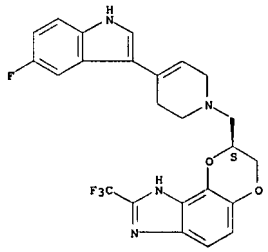
CM 1

CRN 474623-51-3
CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(CA INDEX NAME)

Absolute stereochemistry.

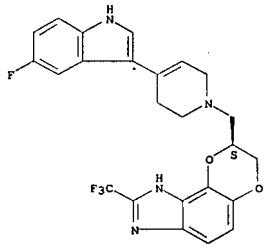


RN 474623-48-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

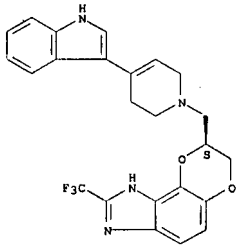
CM 1

CRN 474623-47-7
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.



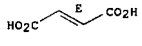
L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



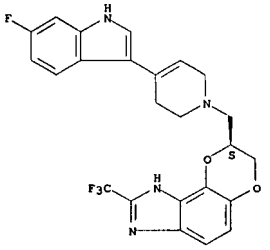
RN 474623-56-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-55-7
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

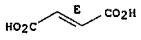
L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



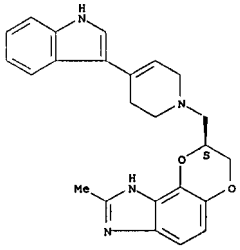
RN 474623-59-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0
CMF C24 H24 N4 O2

Absolute stereochemistry.

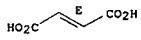
L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

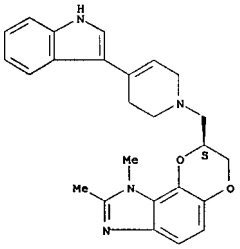
Double bond geometry as shown.



RN 474623-61-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

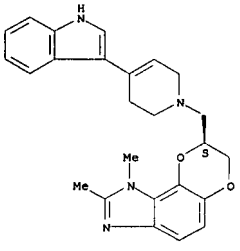


RN 474623-64-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-61-5
CMF C25 H26 N4 O2

Absolute stereochemistry.

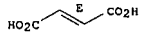


CM 2

CRN 110-17-8
CMF C4 H4 O4

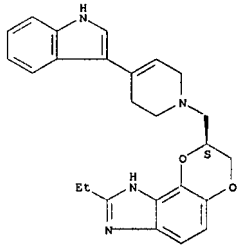
Double bond geometry as shown.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474623-67-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

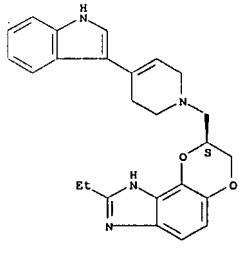


RN 474623-69-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-67-1
CMF C25 H26 N4 O2

Absolute stereochemistry.

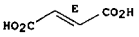


L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

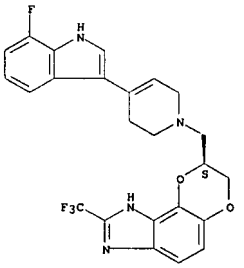


RN 474623-73-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-72-8
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

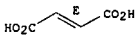


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

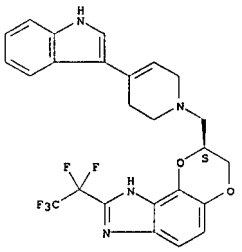


RN 474623-77-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-76-2
CMF C25 H21 F5 N4 O2

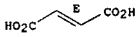
Absolute stereochemistry.



CM 2

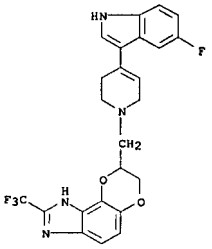
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

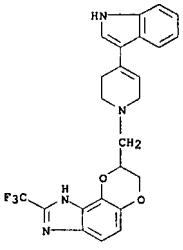


RN 474623-90-0 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

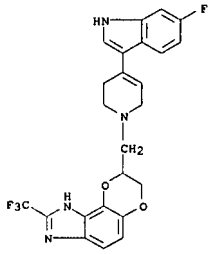


RN 474623-93-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

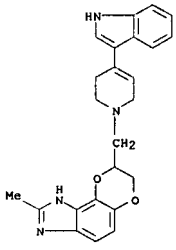


RN 474623-96-6 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

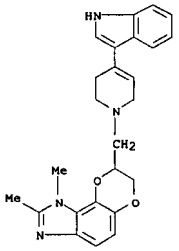


RN 474623-99-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

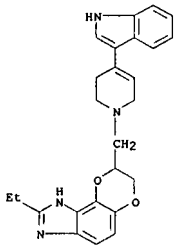


RN 474624-02-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

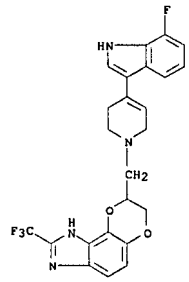


RN 474624-05-0 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro- (CA INDEX NAME)

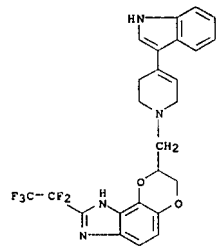


RN 474624-06-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474624-07-2 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)- (9CI) (CA INDEX NAME)



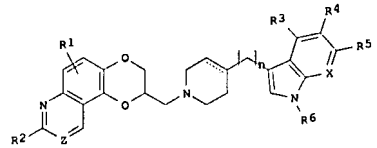
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849632 CAPLUS
DOCUMENT NUMBER: 137:353058
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinazoline
INVENTOR(S): Husbands, George Edward Morris; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

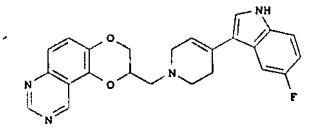
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088129	A1	20021107	WO 2002-US12738	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002252709	A1	20021111	AU 2002-252709	20020423
US 2002183341	A1	20021205	US 2002-127926	20020423
US 6656947	B2	20031202		
EP 1381612	A1	20040121	EP 2002-721799	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2001-286573P	P 20010426
			WO 2002-US12738	W 20020423

OTHER SOURCE(S): MARPAT 137:353058
GI

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

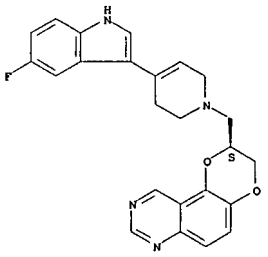


II

AB The title compds. [I; R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH, halo, etc.; R6 = H, alkyl; Z = N, N-oxide; X = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-1,4-dioxino[2,3-f]quinazolin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded 35% (S)-II which showed Ki of 51.53 nM against 5-HT1A receptor binding.
IT 474607-77-7P 474607-78-8P 474607-79-9P
474607-80-2P 474607-81-3P 474607-86-8P
474607-87-9P 474607-88-0P 474607-89-1P
474607-90-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinazoline)
RN 474607-77-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

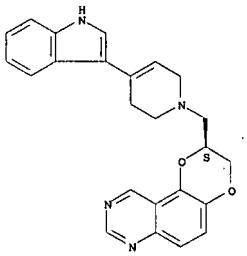
Absolute stereochemistry.

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-78-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

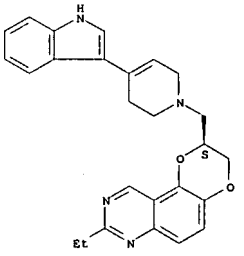
Absolute stereochemistry.



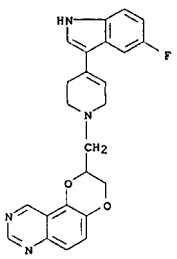
RN 474607-79-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

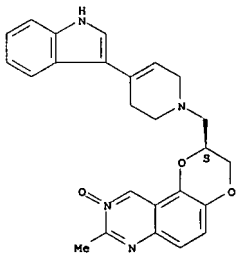


RN 474607-86-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



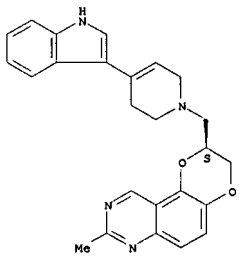
RN 474607-87-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-80-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

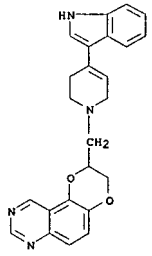
Absolute stereochemistry.



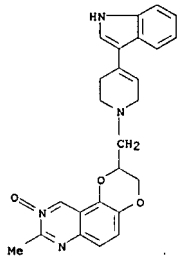
RN 474607-81-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

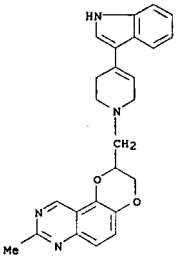


RN 474607-88-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide (CA INDEX NAME)

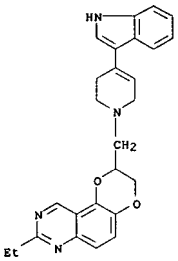


RN 474607-89-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-90-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

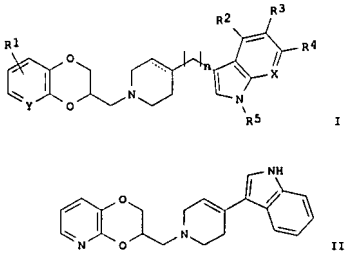
L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832806 CAPLUS
DOCUMENT NUMBER: 137:337898
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4-dioxino[2,3-b]pyridine
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085911	A1	20021031	WO 2002-US12847	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183355	A1	20021205	US 2002-127923	20020423
US 6656950	B2	20031202		
AU 2002307501	A1	20021105	AU 2002-307501	20020424
US 2004058953	A1	20040325	US 2003-661182	20030912
US 6987117	B2	20060117		

PRIORITY APPLN. INFO.: US 2001-286301P P 20010425
US 2002-127923 A1 20020423
WO 2002-US12847 W 20020424

OTHER SOURCE(S): MARPAT 137:337898
GI

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

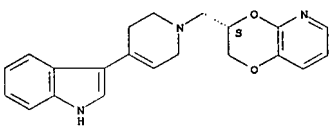
II

AB The title compds. [I; R1 = H, OH, halo, etc.; R2-R4, R6 = H, halo, CN, etc.; R5 = H, alkyl; X = CR6, N; n = 0-2; Y = N, N-oxide], useful for the treatment of depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse, and dysthymia, were prepared Thus, reacting 3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole with 2-bromo-3-[(2S)-oxiranylmethoxy]pyridine (yield 71%) followed by cyclization of the intermediate afforded 52% (S)-II which showed Ki of 14.30 nM against 5-HT1A receptor binding.

IT 473996-68-8P 473996-69-9P 473996-70-2P
473996-71-3P 473996-72-4P 473996-73-5P
473996-74-6P 473996-75-7P 473996-81-5P
473996-82-6P 473996-83-7P 473996-84-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4-dioxino[2,3-b]pyridine)

RN 473996-68-8 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

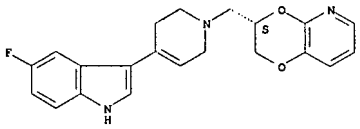
Absolute stereochemistry.



L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

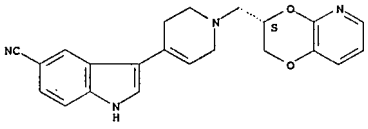
RN 473996-69-9 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



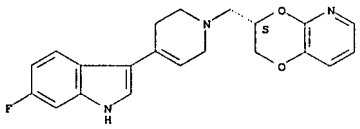
RN 473996-70-2 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(3S)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473996-71-3 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

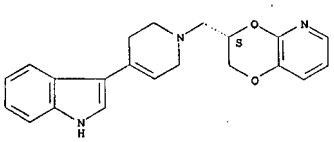


RN 473996-72-4 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 473996-68-8
CMF C21 H21 N3 O2

Absolute stereochemistry.



CM 2

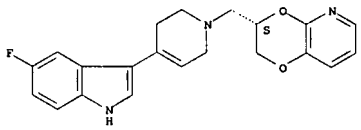
CRN 144-62-7
CMF C2 H2 O4

RN 473996-73-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

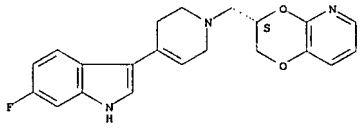
CRN 473996-69-9
CMF C21 H20 F N3 O2

Absolute stereochemistry.



CM 2

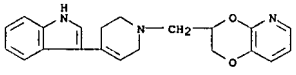
L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



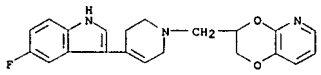
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473996-81-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473996-83-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

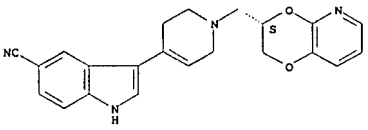
L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 144-62-7
CMF C2 H2 O4

RN 473996-74-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(3S)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, ethanedioate (5:7) (CA INDEX NAME)

CM 1

CRN 473996-70-2
CMF C22 H20 N4 O2

Absolute stereochemistry.



CM 2

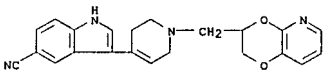
CRN 144-62-7
CMF C2 H2 O4

RN 473996-75-7 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

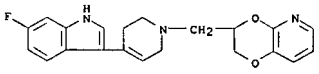
CM 1

CRN 473996-71-3
CMF C21 H20 F N3 O2

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473996-84-8 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

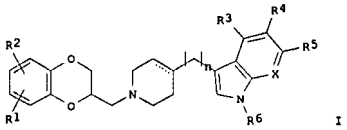
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832792 CAPLUS
DOCUMENT NUMBER: 137:337896
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Husbands, George Edward Morris; Stack, Gary Paul; Mewshaw, Richard Eric; Cliffe, Ian Anthony
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085896	A1	20021031	WO 2002-US12843	20020423
WO 2002085896	A8	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002258971	A1	20021105	AU 2002-258971	20020423
EP 1381600	A1	20040121	EP 2002-728950	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

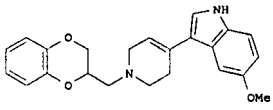
PRIORITY APPLN. INFO.: US 2001-286056P P 20010424
WO 2002-US12843 W 20020423

OTHER SOURCE(S): MARPAT 137:337896
GI

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



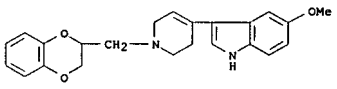
II

AB The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting 2,3-dihydro-benzo[1,4]dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HT1A receptor binding.

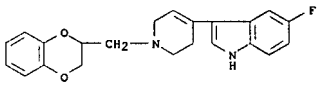
IT 473993-79-2P 473993-80-5P 473993-81-6P
473993-82-7P 473993-83-8P 473993-84-9P
473993-85-0P 473993-86-1P 473993-87-2P
473993-88-3P 473993-89-4P 473993-90-7P
473993-91-8P 473993-92-9P 473993-93-0P
473993-94-1P 473994-01-3P 473994-02-4P
473994-03-5P 473994-04-6P 473994-05-7P
473994-06-8P 473994-07-9P 473994-08-0P
473994-09-1P 473994-10-4P 473994-11-5P
473994-12-6P 473994-14-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 473993-79-2 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

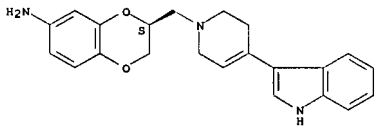


RN 473993-80-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)



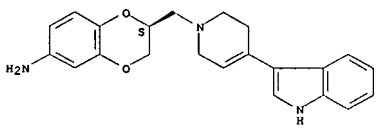
RN 473993-81-6 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-82-7 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

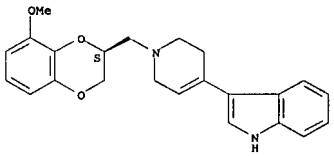
Absolute stereochemistry.



RN 473993-83-8 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-

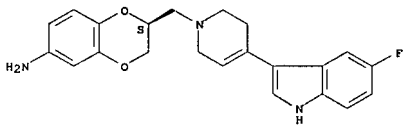
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



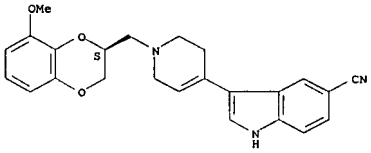
RN 473993-84-9 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-85-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

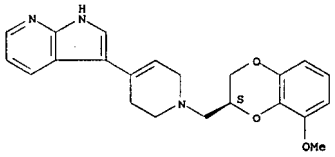
Absolute stereochemistry.



● HCl

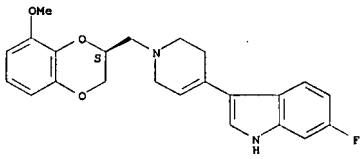
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473993-86-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-87-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

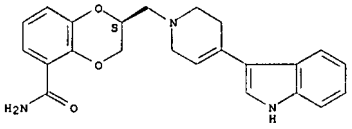
Absolute stereochemistry.



RN 473993-88-3 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

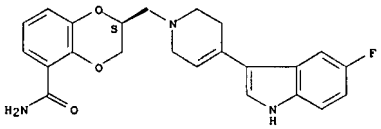
Absolute stereochemistry.

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



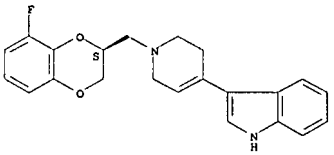
RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-90-7 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

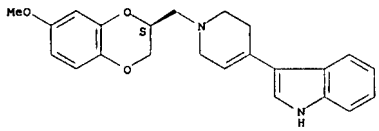
Absolute stereochemistry.



RN 473993-91-8 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

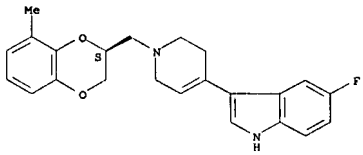
Absolute stereochemistry.

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473993-92-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

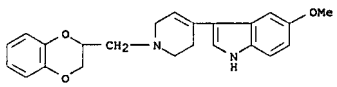
Absolute stereochemistry.



RN 473993-93-0 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-79-2
CMF C23 H24 N2 O3



CM 2

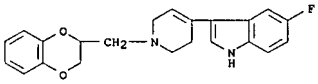
CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-94-1 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5
CMF C22 H21 F N2 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

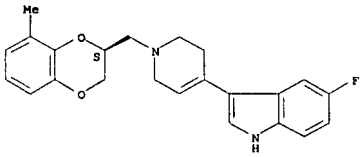
RN 473994-01-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-92-9
CMF C23 H23 F N2 O2

Absolute stereochemistry.

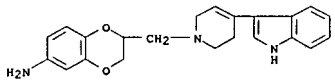
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



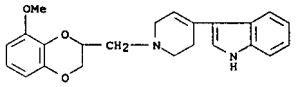
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473994-02-4 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

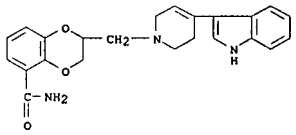


RN 473994-03-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

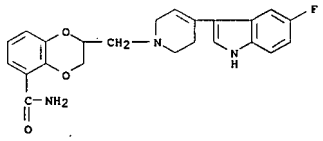


RN 473994-04-6 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-

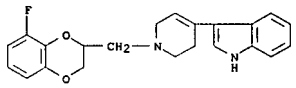
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



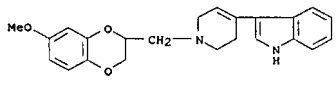
RN 473994-09-1 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473994-10-4 CAPLUS
CN 1H-Indole, 3-[1-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

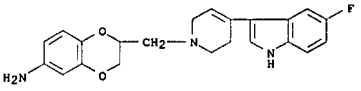


RN 473994-11-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

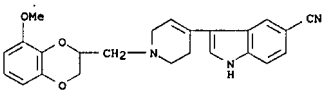


RN 473994-12-6 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

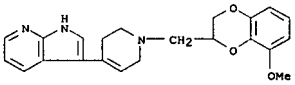
L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



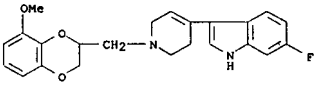
RN 473994-05-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 473994-06-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

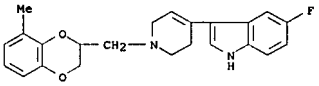


RN 473994-07-9 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

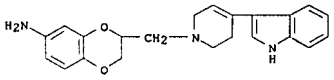


RN 473994-08-0 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

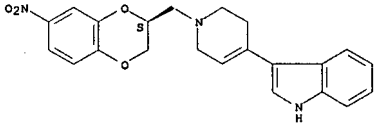


RN 473994-14-8 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



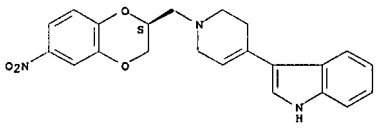
IT 473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)
RN 473993-95-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-96-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

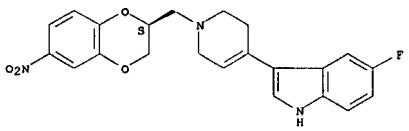
Absolute stereochemistry.



L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-97-4 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

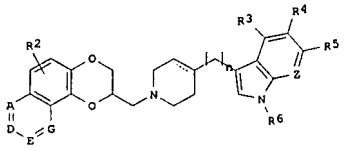
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072587	A1	20020919	WO 2002-US7192	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002252263	A1	20020924	AU 2002-252263	20020312
US 6458802	B2	20021001	US 2002-95505	20020312
US 2002165245	A1	20021107		
EP 1392697	A1	20040303	EP 2002-721325	20020312
EP 1392697	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 281459	T	20041115	AT 2002-721325	20020312
PT 1392697	T	20050131	PT 2002-721325	20020312
ES 2230484	T3	20050501	ES 2002-2721325	20020312
US 2003045542	A1	20030306	US 2002-228744	20020827
US 6599915	B2	20030729		

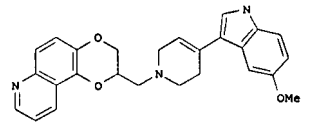
PRIORITY APPLN. INFO.: US 2001-275564P P 20010314
US 2002-95505 A1 20020312
WO 2002-US7192 W 20020312

OTHER SOURCE(S): MARPAT 137:247706
GI

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

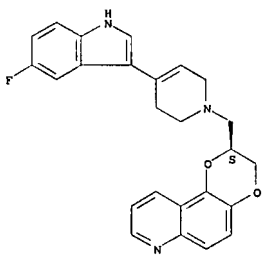
AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HT1A receptor activity (biol. data given).

IT 460353-58-6P 460353-70-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

RN 460353-58-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

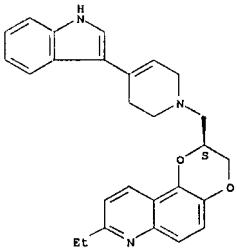
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-70-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

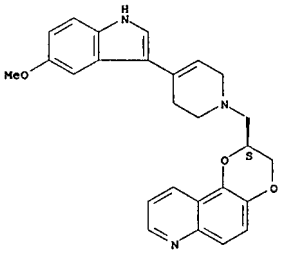


IT 460353-57-5P 460353-59-7P 460353-60-0P
460353-61-1P 460353-62-2P 460353-63-3P
460353-64-4P 460353-65-5P 460353-66-6P
460353-68-8P 460353-69-9P 460353-71-3P
460353-72-4P 460353-73-5P 460353-74-6P
460353-75-7P 460353-76-8P 460353-77-9P
460353-78-0P 460353-79-1P 460353-80-4P
460353-81-5P 460353-82-6P 460353-83-7P
460353-84-8P 460353-85-9P 460353-86-0P
460353-87-1P 460353-88-2P 460353-89-3P
460353-90-6P 460353-91-7P 460353-92-8P
460353-93-9P 460353-94-0P 460353-95-1P

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

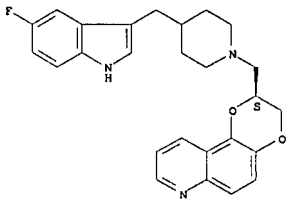
RN 460353-57-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-59-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

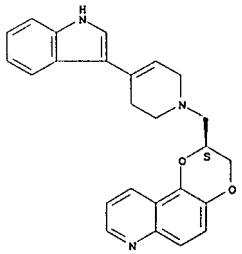
Absolute stereochemistry.



RN 460353-60-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-

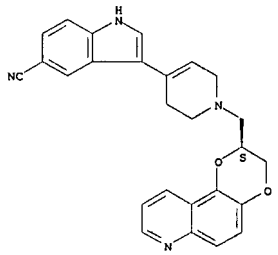
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-61-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

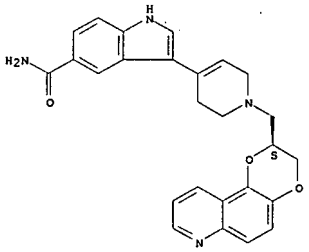
Absolute stereochemistry.



RN 460353-62-2 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

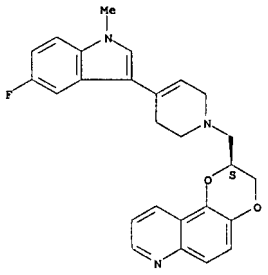
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-63-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

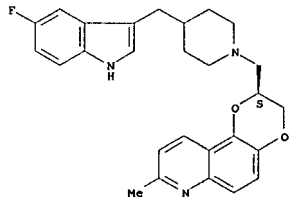
Absolute stereochemistry.



RN 460353-64-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

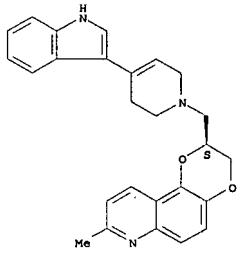
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-65-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

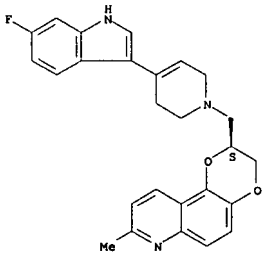
Absolute stereochemistry.



RN 460353-66-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

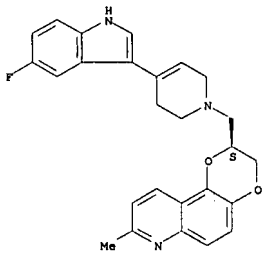
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-68-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

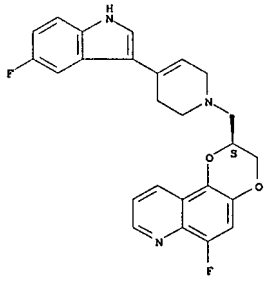
Absolute stereochemistry.



RN 460353-69-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-8-methyl-, (2S)- (CA INDEX NAME)

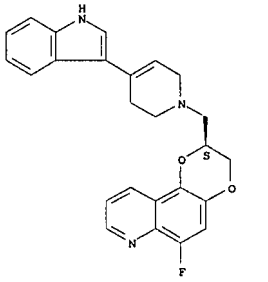
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-73-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3-dihydro-, (2S)- (CA INDEX NAME)

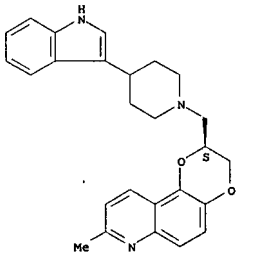
Absolute stereochemistry.



RN 460353-74-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)- (CA INDEX NAME)

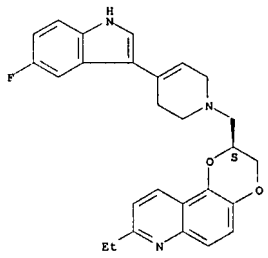
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-71-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

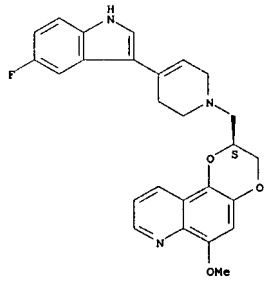
Absolute stereochemistry.



RN 460353-72-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

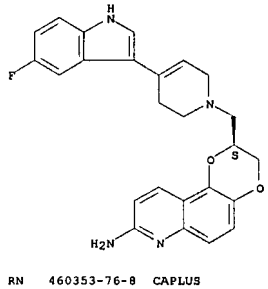
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-75-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

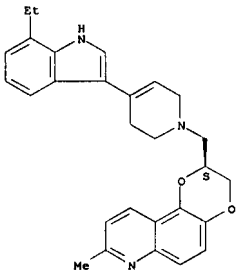
Absolute stereochemistry.



RN 460353-76-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-ethyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

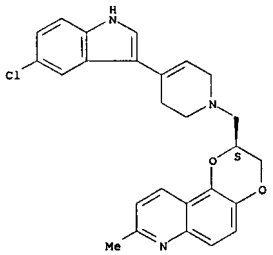
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-77-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

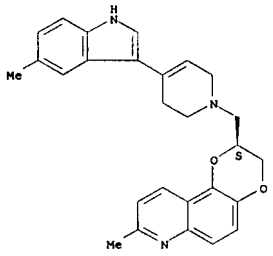


RN 460353-78-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 460353-79-1
CMF C27 H27 N3 O2

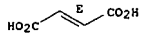
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

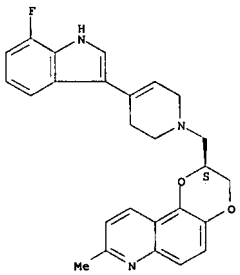
Double bond geometry as shown.



RN 460353-81-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-9-methyl-, (2S)- (CA INDEX NAME)

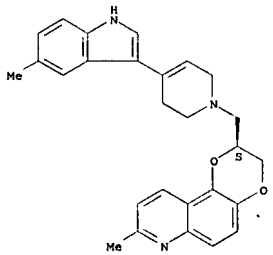
Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-79-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

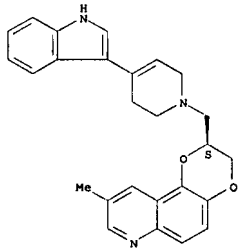
Absolute stereochemistry.



RN 460353-80-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

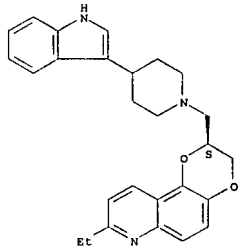
CM 1

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-82-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



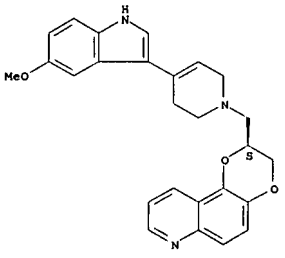
RN 460353-83-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-57-5
CMF C26 H25 N3 O3

Absolute stereochemistry.

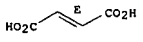
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



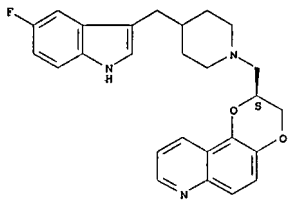
RN 460353-84-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-59-7
CMF C26 H26 F N3 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

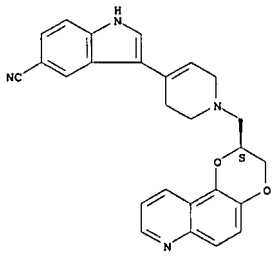
RN 460353-85-9 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-61-1
CMF C26 H22 N4 O2

Absolute stereochemistry.

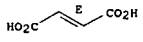
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



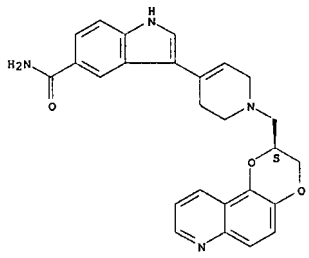
RN 460353-86-0 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-62-2
CMF C26 H24 N4 O3

Absolute stereochemistry.

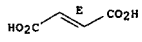
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

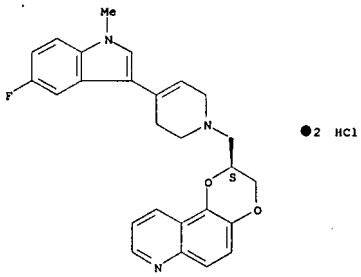
Double bond geometry as shown.



RN 460353-87-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



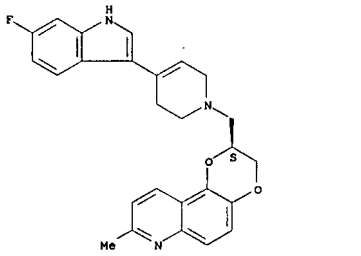
●2 HCl

RN 460353-88-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

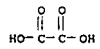
CRN 460353-66-6
CMF C26 H24 F N3 O2

Absolute stereochemistry.



L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 2

CRN 144-62-7
CMF C2 H2 O4

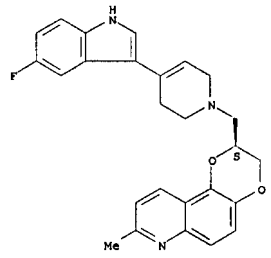


RN 460353-89-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-68-8
CMF C26 H24 F N3 O2

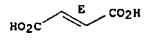
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

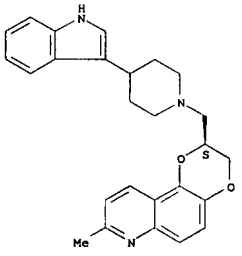


L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 460353-90-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-69-9
CMF C26 H27 N3 O2

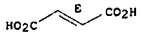
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



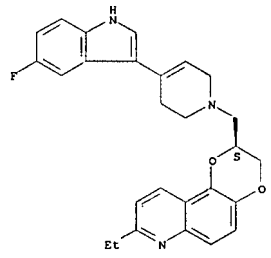
RN 460353-91-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-71-3
CMF C27 H26 F N3 O2

Absolute stereochemistry.

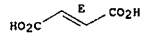
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



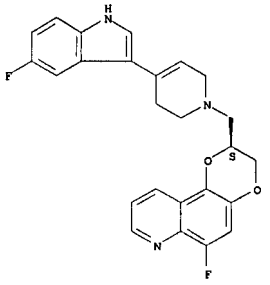
RN 460353-92-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-72-4
CMF C25 H21 F2 N3 O2

Absolute stereochemistry.

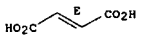
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



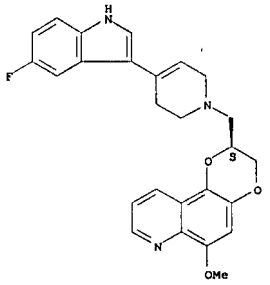
RN 460353-93-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-74-6
CMF C26 H24 F N3 O3

Absolute stereochemistry.

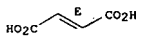
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



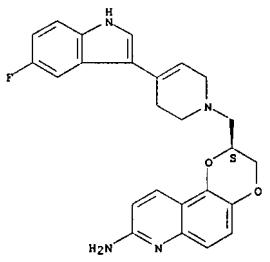
RN 460353-94-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-75-7
CMF C25 H23 F N4 O2

Absolute stereochemistry.

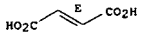
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



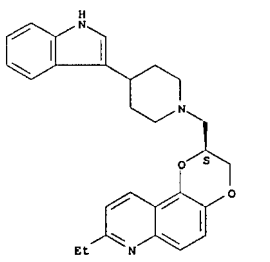
RN 460353-95-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 460353-82-6
CMF C27 H29 N3 O2

Absolute stereochemistry.

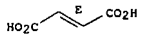
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

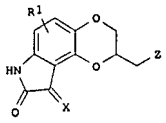


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:100823 CAPLUS
DOCUMENT NUMBER: 130:168383
TITLE: Preparation of 2-(azaheterocyclymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-ones as antipsychotics.
INVENTOR(S): Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869490	A	19990209	US 1997-947565	19971009
PRIORITY APPLN. INFO.:			US 1997-947565	19971009

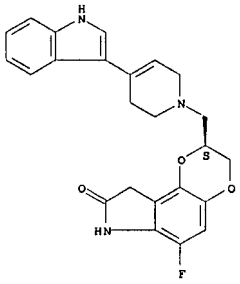
OTHER SOURCE(S): CASREACT 130:168383; MARPAT 130:168383
GI



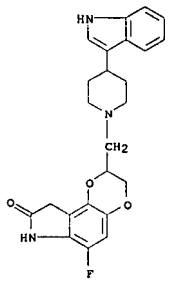
I

AB Title compds. [I; X = H2, O; R1 = H, OH, halo, CF3, OCF3, alkyl, alkoxy, aralkoxy, alkanoyloxy, amino, alkanamido, alkanesulfonamido; Z = (substituted) piperazinyl, (substituted) (benzo-fused) piperidinyl], were prepared Thus, (R)-(2-tosyloxymethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one and tetrahydroisoquinoline were heated 4 h in Me2SO to give (S)-2-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-amine, isolated as the fumarate. This showed D2 receptor affinity with IC50 = 0.23 nM.
IT 206355-42-2P 220456-60-0P 220456-63-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclymethyltetrahydrodioxinoindolones as antipsychotics)
RN 206355-42-2 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.

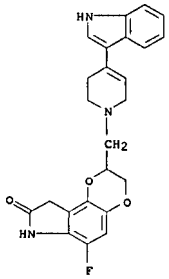


RN 220456-60-0 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]- (CA INDEX NAME)



RN 220456-63-3 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro- (CA INDEX NAME)

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:251174 CAPLUS
DOCUMENT NUMBER: 128:308493
TITLE: Preparation of azaheterocyclymethyl derivatives of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation
INVENTOR(S): Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816530	A1	19980423	WO 1997-US18275	19971010
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268195	A1	19980423	CA 1997-2268195	19971010
CA 2268195	C	20060829		
AU 9748138	A	19980511	AU 1997-48138	19971010
EP 932609	A1	19990804	EP 1997-910866	19971010
EP 932609	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001502327	T	20010220	JP 1998-518447	19971010
AT 240335	T	20030515	AT 1997-910866	19971010
PT 932609	T	20030930	PT 1997-910866	19971010
ES 2196312	T3	20031216	ES 1997-910866	19971010
PRIORITY APPLN. INFO.:			US 1996-732807 A	19961015
			WO 1997-US18275 W	19971010

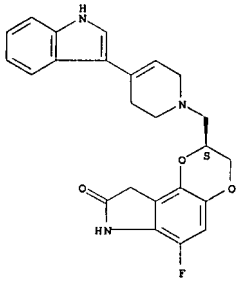
OTHER SOURCE(S): MARPAT 128:308493
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = H2, O; R1 = H, OH, halo, etc.; Z = II, III, IV (wherein R2 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H and R4 = H, (un)substituted 1-benzimidazolyl-2-one, indolyl, etc.; R3R4 taken together with the carbon atom to which they are attached form V or VI; R5 = H and R6 = (un)substituted Ph, naphthyl, thienyl, etc.; R5R6 taken together with the carbon atoms to which they are attached complete a benzene ring optionally substituted with R1)] and their salts, useful for the treatment of brain dopamine dysregulation, especially schizophrenia or a schizoaffective

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
disorder, were prepd. Thus, reaction of (R)-2-(toluene-4-sulfonyloxymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one (prepn. described) with tetrahydroisoquinoline in DMSO afforded 82% (S)-I [X = H2; R1 = H; Z = 3,4-dihydro-1H-isoquinolin-2-yl] which showed IC50 of
0.35 nM against the dopamine D2 receptor binding.
IT 206355-42-2P 206355-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclymethyl derivs. of 2,3,8,9-tetrahydro-7h-1,4-
dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation)
RN 206355-42-2 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

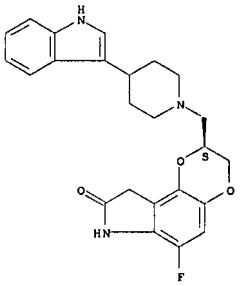
Absolute stereochemistry.



RN 206355-44-4 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST                                     139.74     507.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -19.50     -21.06

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DICTIONARY FILE UPDATES:  26 NOV 2007  HIGHEST RN 955995-34-3

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Uploading C:\Program Files\Stnexp\Queries\10-556,931b.str
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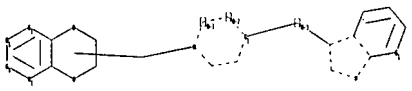

chain nodes :
11 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 20 25 26 27 28 29 30
31 32
chain bonds :
11-12 15-19 19-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 20-25 20-28 25-26 25-29 26-27 26-32 27-28 29-30 30-31 31-32

exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 12-13 12-17 13-14
14-15 15-16 15-19 16-17 19-20 20-25 20-28 25-26 25-29 26-27 26-32 27-28
29-30 30-31 31-32

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 35:Atom

L11 STRUCTURE UPLOADED

=> d l11
L11 HAS NO ANSWERS

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L11             STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l11 sss sam
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SAMPLE SCREEN SEARCH COMPLETED -      859 TO ITERATE

100.0% PROCESSED      859 ITERATIONS                                  9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        15422 TO    18938
PROJECTED ANSWERS:               9 TO      360

L12           9 SEA SSS SAM L11

=> s l11 sss full
FULL SEARCH INITIATED 16:08:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    17072 TO ITERATE

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SEARCH TIME: 00.00.01

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FULL ESTIMATED COST                                   173.00     680.67

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CA SUBSCRIBER PRICE                                     0.00     -21.06

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FILE LAST UPDATED: 26 Nov 2007  (20071126/ED)
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=> s l13
L14 25 L13

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

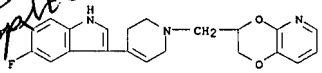
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004106298	A1	20041209	WO 2003-EP305789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP305789 A 20030530
WO 2003-EP305789 A 20030530
WO 2004-EP50922 W 20040526

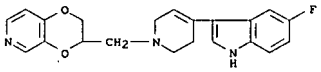
OTHER SOURCE(S): MARPAT 142:38264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production
IT 473996-82-6P 805230-14-2P 805230-15-3P
805232-48-8P 805232-50-2P 805232-52-4P
805232-53-5P 805232-54-6P 805232-56-8P
805232-57-9P 805232-59-1P 805232-60-4P
805232-61-5P 805232-62-6P 805232-63-7P
805232-65-9P 805232-66-0P 805232-69-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)
RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

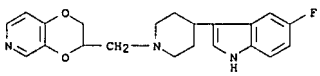


RN 805230-14-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-15-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 805232-48-8 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(4-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

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CRN 805232-47-7
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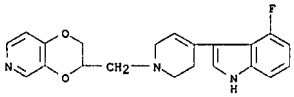


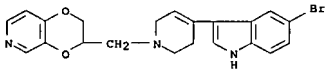
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-50-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-bromo-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-49-9
CMF C21 H20 Br N3 O2



CM 2

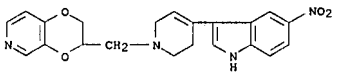
CRN 144-62-7

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C2 H2 O4

RN 805232-52-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-51-3
CMF C21 H20 N4 O4

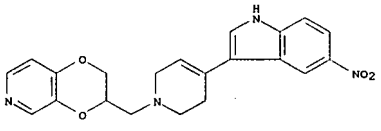


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-53-5 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (-)- (CA INDEX NAME)

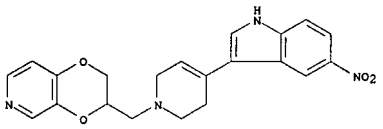
Rotation (-).



RN 805232-54-6 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (+)- (CA INDEX NAME)

Rotation (+).

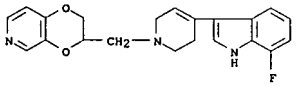
L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 805232-56-8 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-55-7
CMF C21 H20 F N3 O2



CM 2

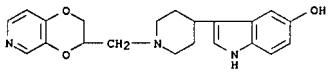
CRN 144-62-7
CMF C2 H2 O4

RN 805232-57-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

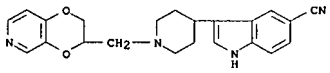
CM 1

CRN 805230-15-3
CMF C21 H22 F N3 O2

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

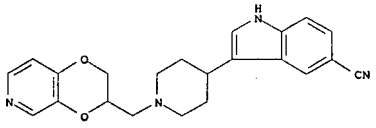


RN 805232-61-5 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)



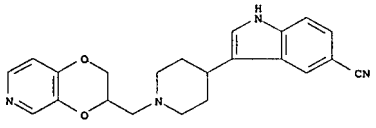
RN 805232-62-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 805232-63-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (+)- (CA INDEX NAME)

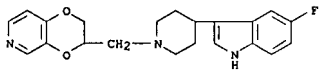
Rotation (+).



RN 805232-65-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



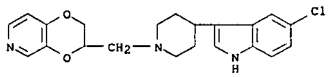
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-59-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-58-0
CMF C21 H22 Cl N3 O2



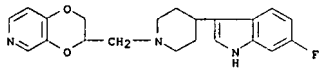
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-60-4 CAPLUS
CN 1H-Indol-5-ol, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

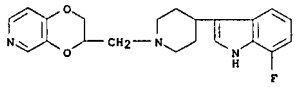
CRN 805232-64-8
CMF C21 H22 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

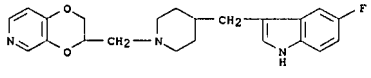
RN 805232-66-0 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805232-69-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-68-2
CMF C22 H24 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE*	APPLICATION NO.	DATE
WO 2004106298	A1	20041209	WO 2003-EP5789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
AT 367392	T	20070815	AT 2004-741649	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:			
	WO 2003-EP305789	A	20030530
	WO 2003-EP5789	A	20030530
	WO 2004-EP50922	W	20040526

OTHER SOURCE(S): MARPAT 142:38263
GI

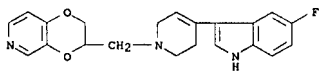
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L14 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

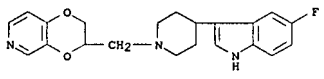
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production

IT 805230-14-2P 805230-15-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)

RN 805230-14-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-15-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

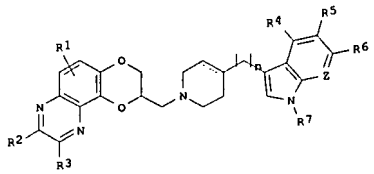
L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:331786 CAPLUS
DOCUMENT NUMBER: 140:357375
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
INVENTOR(S): Gross, Jonathan L.; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 128,722.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077652	A1	20040422	US 2003-618947	20030714
US 7008944	B2	20060307		
US 2002183329	A1	20021205	US 2002-128722	20020423
US 6617327	B2	20030909		

PRIORITY APPLN. INFO.:			
	US 2001-286438P	P	20010426
	US 2002-128722	A2	20020423

OTHER SOURCE(S): MARPAT 140:357375
GI



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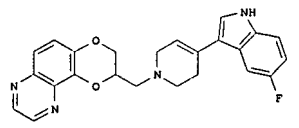
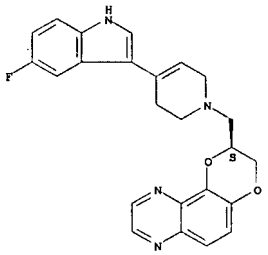


II

AB The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2; R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive
disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 74% (S)-II which showed Ki of 17.72 nM against 5-HT1A receptor binding.
IT 474607-96-0P 474607-97-1P 474607-98-2P
474607-99-3P 474608-00-9P 474608-01-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)
RN 474607-96-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

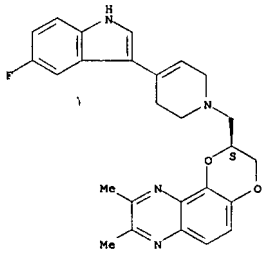
Absolute stereochemistry.



RN 474607-97-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

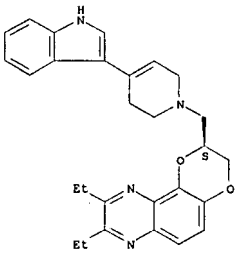
Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474608-00-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

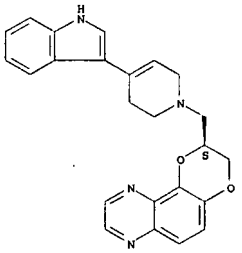
Absolute stereochemistry.



RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 8,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

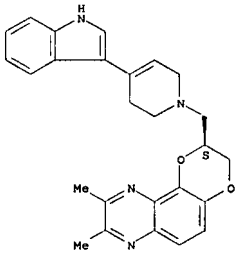
Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-98-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

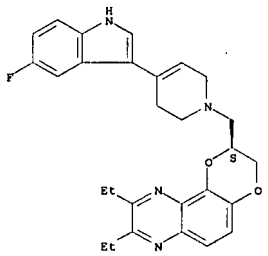
Absolute stereochemistry.



RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

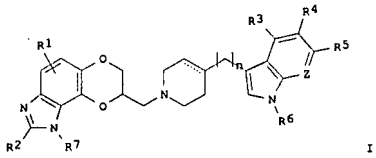


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

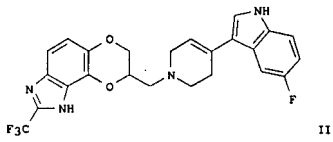
L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:41125 CAPLUS
DOCUMENT NUMBER: 140:94051
TITLE: Preparation of antidepressant azaheterocyclymethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Pat. Appl. 2002 183,351.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004010006	A1	20040115	US 2003-420333		20030422
US 6927226	B2	20050809			
US 2002183351	A1	20021205	US 2002-128762		20020423
US 6573283	B2	20030603			
PRIORITY APPLN. INFO.:			US 2001-286579P	P	20010426
			US 2002-128762	A2	20020423

OTHER SOURCE(S): MARPAT 140:94051
GI



I

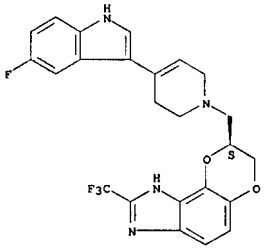


II

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I; R1-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting [(8R)-2-trifluoromethyl-7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO afforded (S)-II which showed Ki of 3.07 nM against 5-HT1A receptor binding.
IT 474623-47-7P 474623-48-8P 474623-51-3P
474623-53-5P 474623-56-8P 474623-59-1P
474623-61-5P 474623-64-8P 474623-67-1P
474623-69-3P 474623-73-9P 474623-77-3P
474623-99-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)
RN 474623-47-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



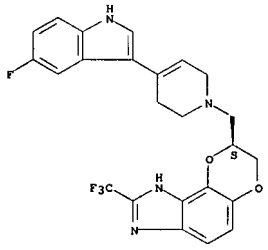
RN 474623-48-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 474623-47-7
CMF C24 H20 F4 N4 O2

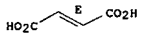
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

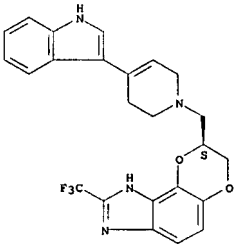
Double bond geometry as shown.



RN 474623-51-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

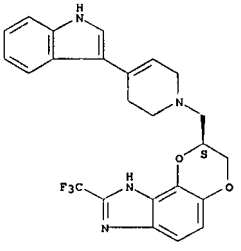


RN 474623-53-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-51-3
CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

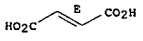


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

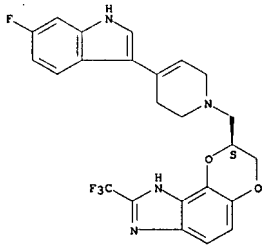


RN 474623-56-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-55-7
CMF C24 H20 F4 N4 O2

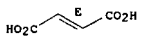
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

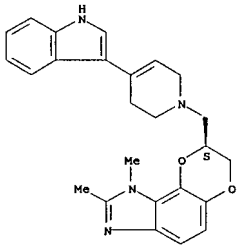


RN 474623-59-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

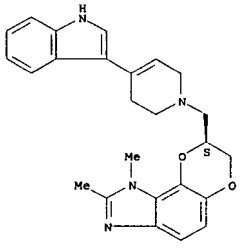


RN 474623-64-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-61-5
CMF C25 H26 N4 O2

Absolute stereochemistry.



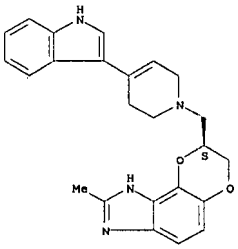
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H24 N4 O2

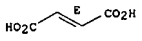
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

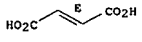
Double bond geometry as shown.



RN 474623-61-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

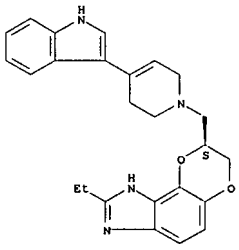
Absolute stereochemistry.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474623-67-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

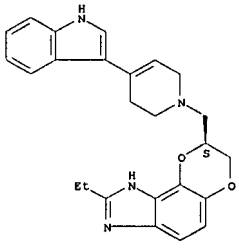


RN 474623-69-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-67-1
CMF C25 H26 N4 O2

Absolute stereochemistry.

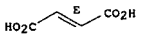


L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

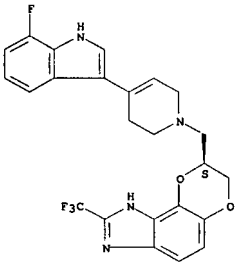


RN 474623-73-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-72-8
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

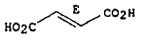


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

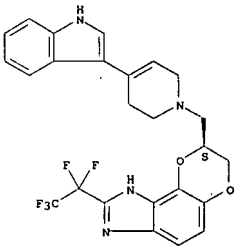


RN 474623-77-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-76-2
CMF C25 H21 F5 N4 O2

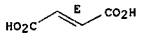
Absolute stereochemistry.



CM 2

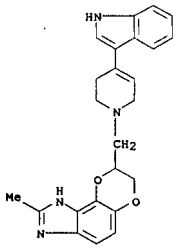
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 474623-99-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



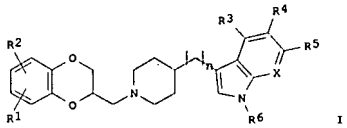
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:1007854 CAPLUS
DOCUMENT NUMBER: 140:42186
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Husbands, George E. M.; Stack, Gary P.; Mewshaw, Richard E.; Cliffe, Ian A.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 128,477.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236241	A1	20031225	US 2003-390478	20030317
US 7041683	B2	20060509		
US 2002193400	A1	20021219	US 2002-128447	20020423
US 6559169	B2	20030506		
PRIORITY APPLN. INFO.:			US 2001-286056P	P 20010424
			US 2002-128447	A1 20020423
			US 2002-128477	A2 20020423

OTHER SOURCE(S): MARPAT 140:42186
GI



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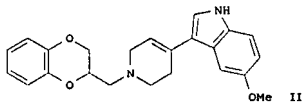


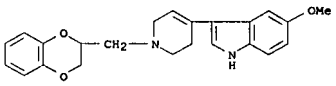
II

AB The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, CN,
etc.; R6 = H, alkyl; X = CR7, N; n = 0-2] and/or their pharmaceutically acceptable salts, useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks,

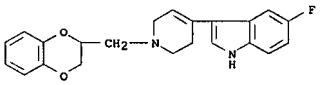
L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting 2,3-dihydro-benzo[1,4]dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HT1A receptor binding.

IT 473993-79-2P 473993-80-5P 473993-81-6P
473993-82-7P 473993-83-8P 473993-84-9P
473993-85-0P 473993-86-1P 473993-87-2P
473993-88-3P 473993-89-4P 473993-90-7P
473993-91-8P 473993-92-9P 473993-93-0P
473993-94-1P 473994-01-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 473993-79-2 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)



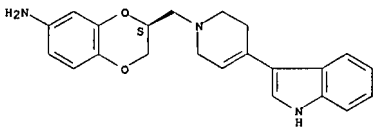
RN 473993-80-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)



RN 473993-81-6 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

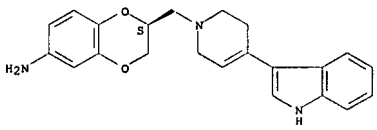
Absolute stereochemistry.

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



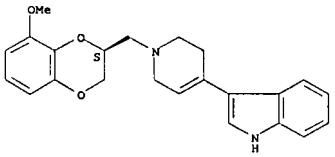
RN 473993-82-7 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-83-8 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

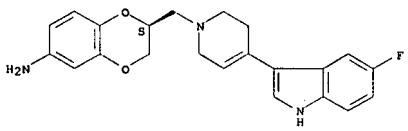
Absolute stereochemistry.



RN 473993-84-9 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

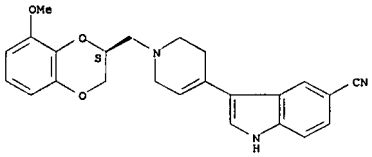
Absolute stereochemistry.

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473993-85-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

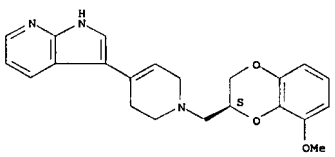
Absolute stereochemistry.



● HCl

RN 473993-86-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

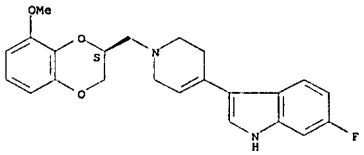
Absolute stereochemistry.



RN 473993-87-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-

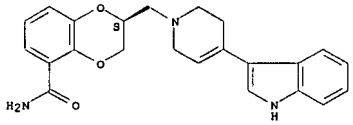
L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



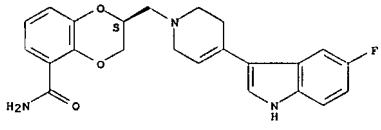
RN 473993-88-3 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

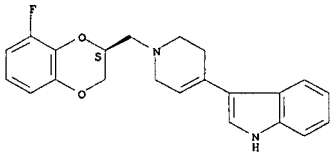
Absolute stereochemistry.



RN 473993-90-7 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

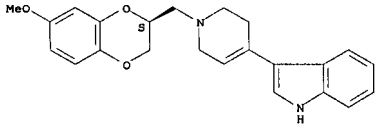
Absolute stereochemistry.

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



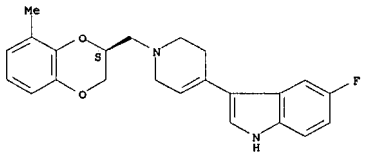
RN 473993-91-8 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-92-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-93-0 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-
tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

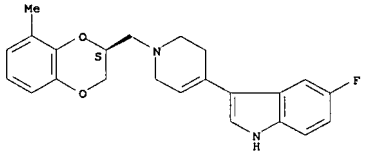
L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473994-01-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX
NAME)

CM 1

CRN 473993-92-9
CMF C23 H23 F N2 O2

Absolute stereochemistry.

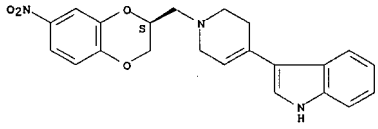


CM 2

CRN 144-62-7
CMF C2 H2 O4

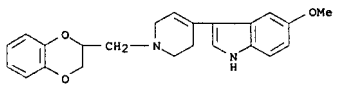
IT 473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-benzodioxane)
RN 473993-95-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 473993-79-2
CMF C23 H24 N2 O3



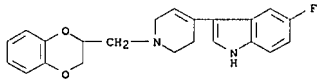
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473993-94-1 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-
tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5
CMF C22 H21 F N2 O2



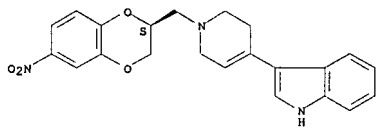
CM 2

CRN 144-62-7
CMF C2 H2 O4

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

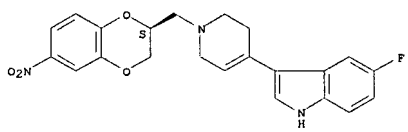
RN 473993-96-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-97-4 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-
1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

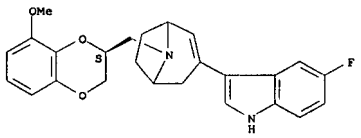
Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L14 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1002001 CAPLUS
DOCUMENT NUMBER: 140:314412
TITLE: Modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-aza-bicyclo[3.2.1]octane derivatives of 2,3-dihydro-1,4-benzodioxane
AUTHOR(S): Gilbert, Adam M.; Stack, Gary P.; Nilakantan, Ramaswamy; Kodah, Jason; Tran, Megan; Scerni, Rosemary; Shi, Xiaojie; Smith, Deborah L.; Andree, Terrance H.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10945, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 515-518
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:314412
AB 2,3-Dihydro-1,4-benzodioxanes with aryl 8-aza-bicyclo[3.2.1]oct-3-ene attachments produce compds. with potent 5-HT-T affinity, and weak 5-HT1A affinity and α1 affinity. This compares with 2,3-dihydro-1,4-benzodioxanes containing 8-aza-bicyclo[3.2.1] octan-3-ol attachments which possess potent 5-HT1A affinity, moderate to good selectivity over α1 and little 5-HT-T affinity. A 3-benzothiophene analog was synthesized which possesses potent 5-HT1A affinity and especially good selectivity over both α1 and 5-HT-T.
IT 678992-73-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-aza-bicyclo[3.2.1]octane derivs. of 2,3-dihydro-1,4-benzodioxane)
RN 678992-73-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L14 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:950068 CAPLUS
DOCUMENT NUMBER: 140:5054
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
INVENTOR(S): Tran, Megan; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S. Ser. No. 132,238.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003225157	A1	20031204	US 2003-377850		20030303
US 6906206	B2	20050614			
US 2002193401	A1	20021219	US 2002-132238		20020425
US 6555560	B2	20030429			
US 2005004209	A1	20050106	US 2004-881102		20040630
US 6943178	B2	20050913			
PRIORITY APPLN. INFO.:			US 2001-287448P	P	20010430
			US 2002-132238	A2	20020425
			US 2003-377850	A3	20030303

OTHER SOURCE(S): MARPAT 140:5054
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

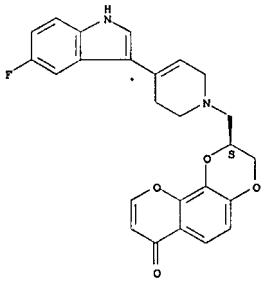
AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl; Z = CR7, N; X = O, S, H2, F2; n = 0-2], useful for the treatment of diseases such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Novel intermediates II [R1, R2, X as above; Y = OH, halo, alkylsulfonate, trifluoromethanesulfonate, (un)substituted benzenesulfonate) were also prepared and claimed. Thus, reacting [(2R)-7-oxo-2,3,8,9-tetrahydro-7H-[1,4]dioxino[2,3-h]chromen-2-yl]methyl 4-methylbenzenesulfonate (preparation given) with 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 18% (S)-III which showed Ki of 2.74 nM in test for 5-HT transporter affinity.
IT 474551-68-3P 474551-71-8P 474551-73-0P 474551-76-3P

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of 1,4,5-trioxa-phenanthrene)
RN 474551-68-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474551-67-2
CMF C25 H21 F N2 O4

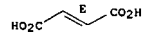
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

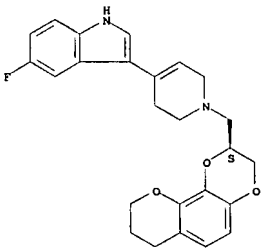


RN 474551-71-8 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 474551-70-7
CMF C25 H25 F N2 O3

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

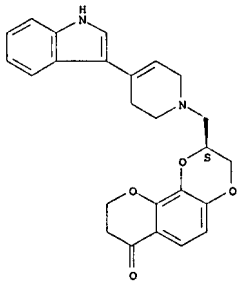
L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

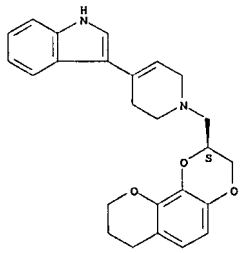


RN 474551-76-3 CAPLUS
CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2
CMF C25 H26 N2 O3

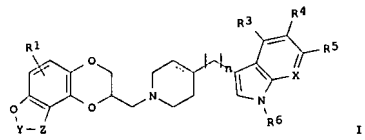
Absolute stereochemistry.



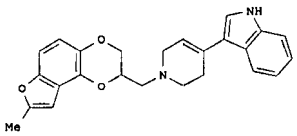
L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678510 CAPLUS
DOCUMENT NUMBER: 139:214473
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(S): Stack, Gary P.; Gao, Hong; Gildersleeve, Elizabeth S.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 131,340.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003162805	A1	20030828	US 2003-377901	20030303
US 6706736	B2	20040316		
US 2002183353	A1	20021205	US 2002-131340	20020424
US 6552049	B2	20030422		
PRIORITY APPLN. INFO.:			US 2001-286569P	P 20010426
			US 2002-131340	A2 20020424

OTHER SOURCE(S): MARPAT 139:214473
GI



I



II

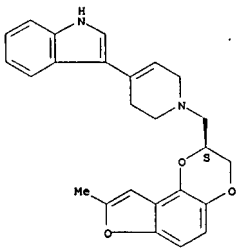
AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NR2; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N; R2, R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. E.g., a 5-step synthesis of (S)-II, starting from (2S)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yl)methanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HT1A receptor binding, was given.

IT 474621-95-9P 474621-96-0P 474621-97-1P
474621-98-2P 474621-99-3P 474622-00-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)

RN 474621-95-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

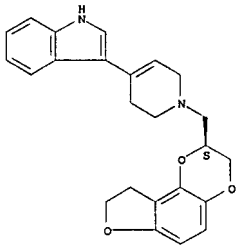
Absolute stereochemistry.



RN 474621-96-0 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

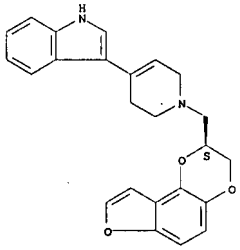
Absolute stereochemistry.

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-97-1 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

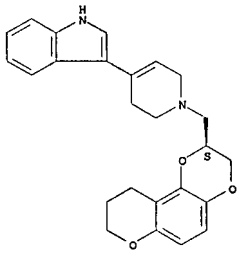
Absolute stereochemistry.



RN 474621-98-2 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

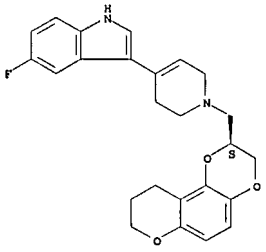
Absolute stereochemistry.

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-99-3 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

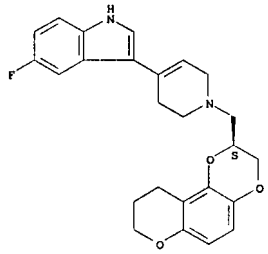


RN 474622-00-9 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3
CMF C25 H25 F N2 O3

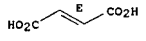
L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

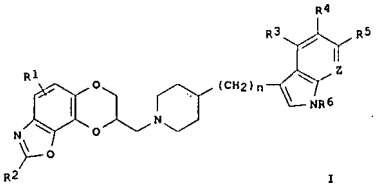
Double bond geometry as shown.



L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:551188 CAPLUS
DOCUMENT NUMBER: 139:117429
TITLE: Preparation of indolyldihydropyridinylmethyltrioxaazacyclopentanaphthalenes as serotonin reuptake inhibitors and 5-HT1A antagonists.
INVENTOR(S): Tran, Megan; Stack, Gary P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 131,987.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003134871	A1	20030717	US 2003-340424		20030110
US 6617334	B2	20030909			
US 2002183354	A1	20021205	US 2002-131987		20020425
US 6525075	B2	20030225			
US 2003109562	A1	20030612	US 2003-340413		20030110
US 6613913	B2	20030902			
PRIORITY APPLN. INFO.:			US 2001-287449P	P	20010430
			US 2002-131987	A2	20020425

OTHER SOURCE(S): MARPAT 139:117429
GI



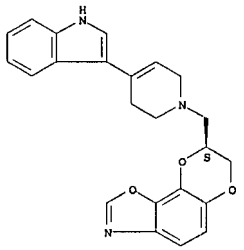
I

AB A method of treating posttraumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dysfunction, comprises providing title compds. (I; R1, R2, R3, R4, R5, R7 = H , halo, cyano, carboxamido, carboalkoxy, CF3 , alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R6 = H, alkyl; dotted line = optional double bond; Z = CR7, N; n = 0, 1, 2). Thus, [(8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl 4-methylbenzenesulfonate (prepn. given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole-5-carbonitrile were heated in DMSO at 75-80° to give (S)-3-[1-[2-methyl-7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalen-8-ylmethyl]-1,2,3,6-tetrahydropyridin-4-yl]-1H-indole-5-carbonitrile. The latter showed 5-HT transporter affinity and 5-HT1A receptor affinity with Ki = 1.68 nM and 9.56 nM, resp.

IT 474622-48-5P 474622-49-6P 474622-50-9P
474622-51-0P 474622-52-1P 474622-53-2P
474622-54-3P 474622-55-4P 474622-56-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyldihydropyridinylmethyltrioxaazacyclopentanaphthalenes as serotonin reuptake inhibitors and 5-HT1A antagonists)

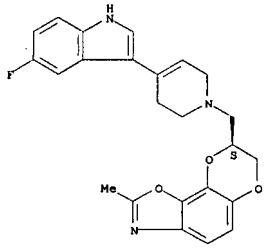
RN 474622-48-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



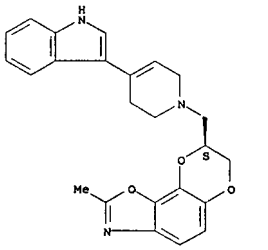
RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



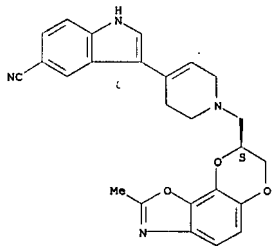
RN 474622-50-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

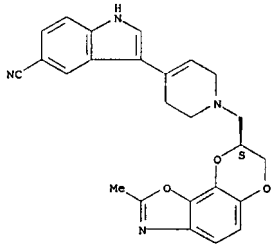


RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0
CMF C25 H22 N4 O3

Absolute stereochemistry.

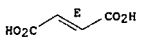


CM 2

CRN 110-17-8
CMF C4 H4 O4

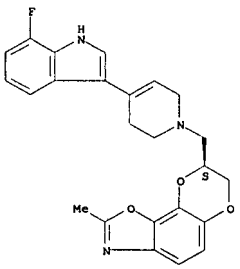
Double bond geometry as shown.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

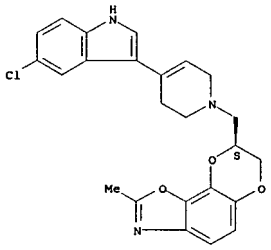


RN 474622-54-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H22 Cl N3 O3

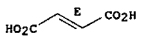
Absolute stereochemistry.



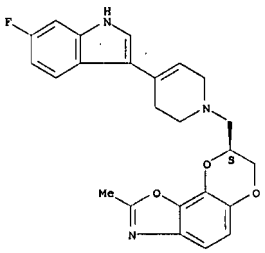
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

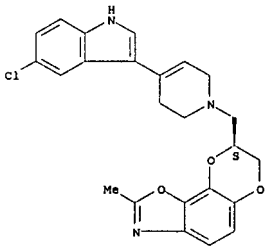


L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-55-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(2:1) (9CI) (CA INDEX NAME)

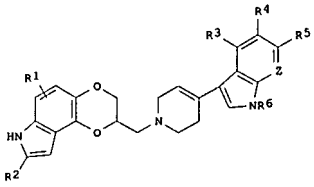
CM 1

CRN 474622-55-4

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:551187 CAPLUS
DOCUMENT NUMBER: 139:117428
TITLE: Preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HT1A antagonists.
INVENTOR(S): Stack, Gary P.; Tran, Megan; Bravo, Byron A.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 131,339.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003134870	A1	20030717	US 2003-339511	20030109
US 6627639	B2	20030930		
US 2002183352	A1	20021205	US 2002-131339	20020424
US 6593350	B2	20030715		
PRIORITY APPLN. INFO.:			US 2001-286575P	P 20010426
			US 2002-131339	A2 20020424

OTHER SOURCE(S): MARPAT 139:117428
GI

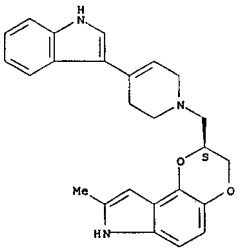


I

AB A method of treating posttraumatic stress disorder, premenstrual dysphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dysfunction, comprises provision of title compds. (I; R1, R3, R4, R5, R7 = H, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono-, dialkylamino, alkanamido, alkanesulfonamido; R2 = H, halo, alkyl; R6 = H, alkyl; Z = CR7, N). Thus, [(2R)-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indol-2-yl]methyl 4-methylbenzenesulfonate (preparation given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO were heated at

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
65-67° for 4 h to give (S)-2-[[4-(1H-indol-3-yl)-3,6-dihydropyridin-1(2H)-yl]methyl]-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole.
IT 474544-34-8P 474544-36-0P 474544-38-2P
474544-39-3P 474544-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HT1A antagonists)
RN 474544-34-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

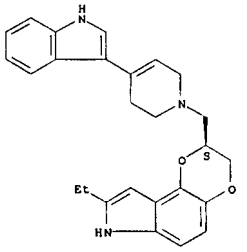
Absolute stereochemistry.



RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

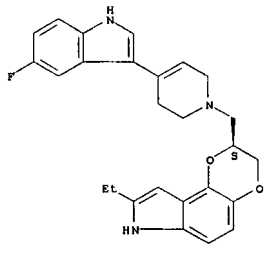
Absolute stereochemistry.

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

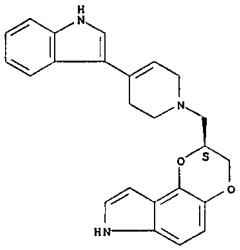


RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

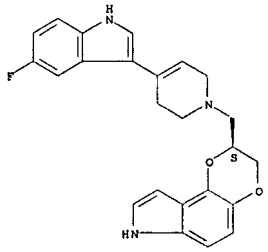


L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

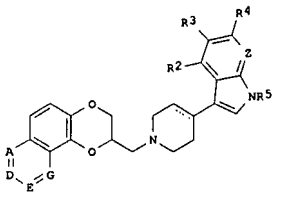
ACCESSION NUMBER: 2002:888742 CAPLUS
DOCUMENT NUMBER: 137:384846
TITLE: Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
INVENTOR(S): Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092602	A2	20021121	WO 2002-US15097	20020514
WO 2002092602	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447150	A1	20021121	CA 2002-2447150	20020514
AU 2002309769	A1	20021125	AU 2002-309769	20020514
US 2002187983	A1	20021212	US 2002-145369	20020514
US 6693197	B2	20040217		
EP 1387845	A2	20040211	EP 2002-736790	20020514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1509290	A	20040630	CN 2002-810067	20020514
BR 2002009901	A	20040713	BR 2002-9901	20020514
JP 2004530693	T	20041007	JP 2002-589486	20020514
MX 2003PA10524	A	20050307	MX 2003-PA10524	20031117
US 2004186123	A1	20040923	US 2003-734867	20031212
US 7038052	B2	20060502		
US 2006074240	A1	20060406	US 2005-282202	20051118
US 7166723	B2	20070123		
US 2007123705	A1	20070531	US 2006-566528	20061204

PRIORITY APPLN. INFO.:			
	US 2001-291547P	P	20010517
	US 2002-145369	A3	20020514
	WO 2002-US15097	W	20020514
	US 2003-734867	A3	20031212
	US 2005-282202	A3	20051118

OTHER SOURCE(S): CASREACT 137:384846; MARPAT 137:384846
GI

L14 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

AB Title compds. [I; R1 = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that ≥1 of A and D = N; E, G = CR1; Z = N, CR6], were prepared by a 7-step process. Thus, [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given), 3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83° for 10 h to give 72% (2S)-2-[4-(1H-indol-3-yl)-3,6-dihydro-2H-pyridin-1-ylmethyl]-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.

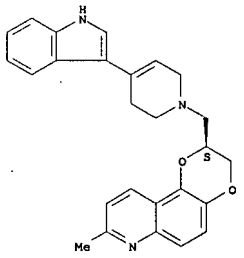
IT 460353-65-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of indolylpyridinylmethyldioxinoquinolines and related compds.)

RN 460353-65-5 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



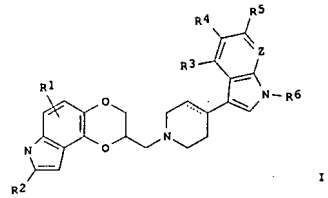
L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849647 CAPLUS
DOCUMENT NUMBER: 137:353044
TITLE: Preparation of antidepressant indoletetrahydropyridine derivatives of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole
INVENTOR(S): Stack, Gary Paul; Tran, Megan; Bravo, Byron Abel
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088146	A2	20021107	WO 2002-US13118	20020425
WO 2002088146	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445583	A1	20021107	CA 2002-2445583	20020425
AU 2002259010	A1	20021111	AU 2002-259010	20020425
EP 1381615	A2	20040121	EP 2002-728990	20020425
EP 1381615	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503800	A	20040609	CN 2002-808622	20020425
BR 2002009336	A	20040615	BR 2002-9336	20020425
AT 279418	T	20041015	AT 2002-728990	20020425
JP 2004533437	T	20041104	JP 2002-585444	20020425
PT 1381615	T	20050228	PT 2002-728990	20020425
ES 2230490	T3	20050501	ES 2002-2728990	20020425
MX 2003PA09739	A	20050307	MX 2003-PA9739	20031023
PRIORITY APPLN. INFO.:			US 2001-286575P P	20010426
			WO 2002-US13118 W	20020425

OTHER SOURCE(S): MARPAT 137:353044
GI

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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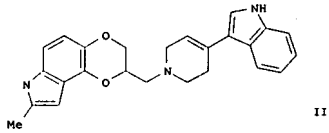


II

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2 = H, halo, alkyl, CF3; R6 = H, alkyl; R6 = H, alkyl; Z = CR7, N], useful in the treatment of central nervous system disorders including depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders and addictive disorders caused by ethanol or cocaine abuse, were prepared E.g., a 8-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 3.44 nM when tested for 5-HT transporter affinity, was given.

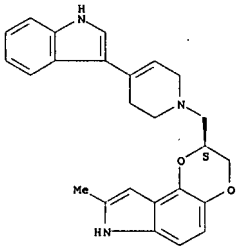
IT 474544-34-8P 474544-36-0P 474544-38-2P
474544-39-3P 474544-41-7P 474544-53-1P
474544-55-3P 474544-57-5P 474544-59-7P
474544-60-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant indoletetrahydropyridine derivs. of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole)

RN 474544-34-8 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

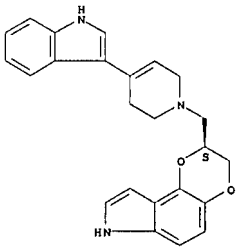
Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

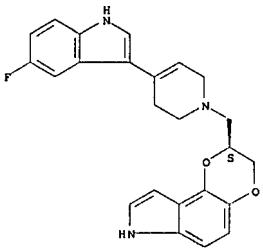
Absolute stereochemistry.



RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

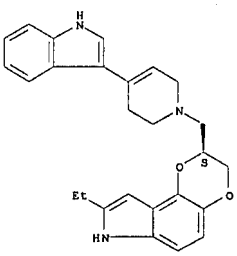
Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

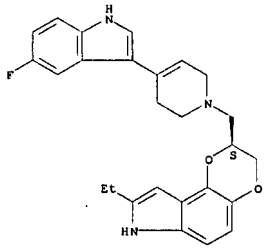
Absolute stereochemistry.



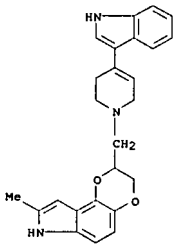
RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

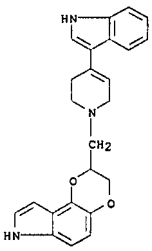


RN 474544-53-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

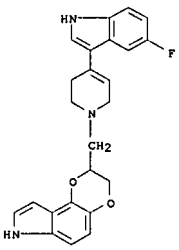


RN 474544-55-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

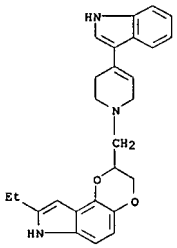


RN 474544-57-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

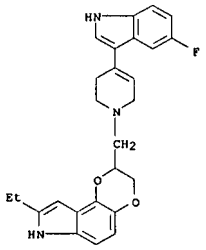


RN 474544-59-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-60-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849645 CAPLUS
DOCUMENT NUMBER: 137:353067
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
INVENTOR(S): Gross, Jonathan Laird; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088144	A2	20021107	WO 2002-US12859	20020423
WO 2002088144	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445581	A1	20021107	CA 2002-2445581	20020423
AU 2002256334	A1	20021111	AU 2002-256334	20020423
EP 1381614	A2	20040121	EP 2002-725787	20020423
EP 1381614	B1	20060802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503801	A	20040609	CN 2002-808679	20020423
BR 2002009342	A	20040615	BR 2002-9342	20020423
JP 2004527563	T	20040909	JP 2002-585442	20020423
AT 334989	T	20060815	AT 2002-725787	20020423
ES 2269678	T3	20070401	ES 2002-2725787	20020423
MX 2003PA09826	A	20050307	MX 2003-PA9826	20031024

PRIORITY APPLN. INFO.: US 2001-286438P P 20010426
WO 2002-US12859 W 20020423

OTHER SOURCE(S): MARPAT 137:353067
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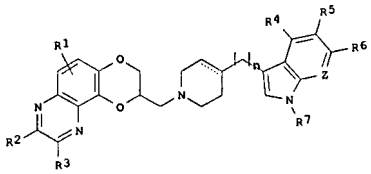
L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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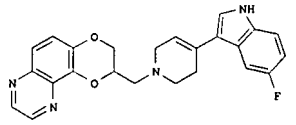
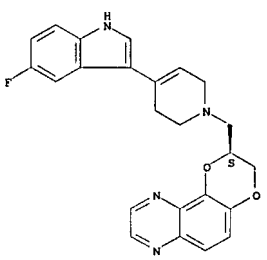


II

AB The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2; R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 74% (S)-II which showed Ki of 17.72 nM against 5-HT1A receptor binding.
IT 474607-96-0P 474607-97-1P 474607-98-2P
474607-99-3P 474608-00-9P 474608-01-0P
474608-05-4P 474608-06-5P 474608-07-6P
474608-08-7P 474608-09-8P 474608-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)
RN 474607-96-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

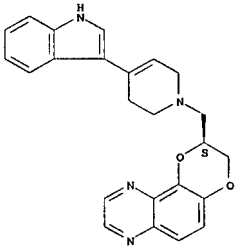
Absolute stereochemistry.

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-97-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

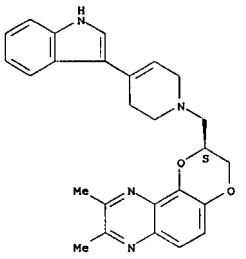
Absolute stereochemistry.



RN 474607-98-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

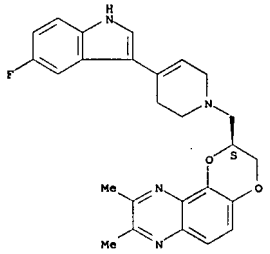
Absolute stereochemistry.

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

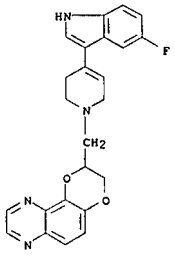
Absolute stereochemistry.



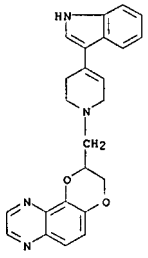
RN 474608-00-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

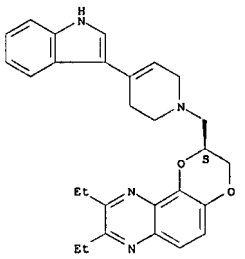


RN 474608-06-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



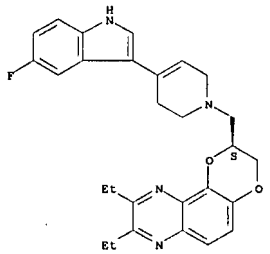
RN 474608-07-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



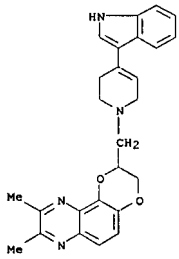
RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
6,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-
3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

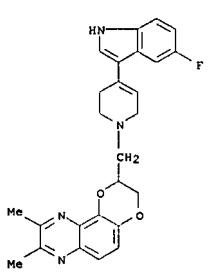


RN 474608-05-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

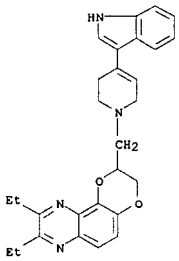


RN 474608-08-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

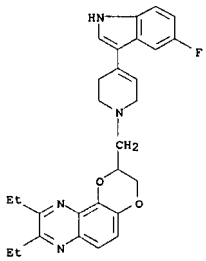


RN 474608-09-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-8,9-diethyl-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474608-10-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-
3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

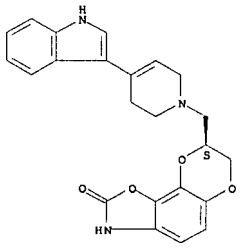


L14 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepd. Thus, hydrogenation of (8S)-8-(azidomethyl)-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-2(3H)-one (multi-step synthesis given) afforded 68% (S)-I.HCl [R1 = H; Z = NH2] which showed IC50 of 3.7 nM against D2 receptor binding.

IT 474391-26-9P 474391-38-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one)

RN 474391-26-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one,
8-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

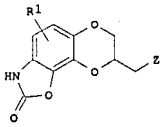


RN 474391-38-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one,
8-[[3,6-dihydro-4-(1H-indol-3-yl)-
1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

L14 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849644 CAPLUS
DOCUMENT NUMBER: 137:353042
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088142	A1	20021107	WO 2002-US13419	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073697	A1	20030417	US 2002-133994	20020425
US 6800648	B2	20041005		
AU 2002259054	A1	20021111	AU 2002-259054	20020426
PRIORITY APPLN. INFO.:			US 2001-286565P	P 20010426
			WO 2002-US13419	W 20020426

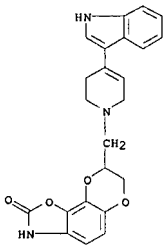
OTHER SOURCE(S): MARPAT 137:353042
GI



I

AB The title compds. [I; R1 = H, halo, CN, etc.; Z = (un)substituted piperazino, piperidino, 3,6-dihydro-2H-pyridin-1-yl, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA

L14 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

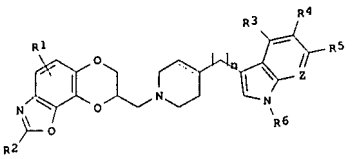
FORMAT

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849642 CAPLUS
DOCUMENT NUMBER: 137:353040
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

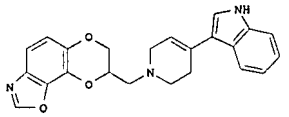
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088140	A1	20021107	WO 2002-US13117	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445859	A1	20021107	CA 2002-2445859	20020425
AU 2002307569	A1	20021111	AU 2002-307569	20020425
EP 1392700	A1	20040303	EP 2002-766816	20020425
EP 1392700	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503799	A	20040609	CN 2002-808677	20020425
BR 2002009407	A	20040706	BR 2002-9407	20020425
JP 2004528352	T	20040916	JP 2002-585438	20020425
AT 277934	T	20041015	AT 2002-766816	20020425
PT 1392700	T	20041231	PT 2002-766816	20020425
ES 2225798	T3	20050316	ES 2002-2766816	20020425
MX 2003PA09829	A	20050307	MX 2003-PA9829	20031024
PRIORITY APPLN. INFO.:			US 2001-287449P P	20010430
			WO 2002-US13117 W	20020425

OTHER SOURCE(S): MARPAT 137:353040
GI

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

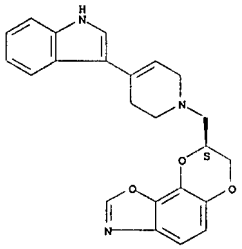
AB The title compds. [I; R1-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; Z = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared E.g., a multi-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 4.00 nM in test on 5-HT transporter affinity, was given.

IT 474622-48-5P 474622-49-6P 474622-50-9P
474622-51-0P 474622-52-1P 474622-53-2P
474622-54-3P 474622-55-4P 474622-56-5P
474622-59-8P 474622-60-1P 474622-61-2P
474622-62-3P 474622-63-4P 474622-64-5P
474622-65-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene)

RN 474622-48-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

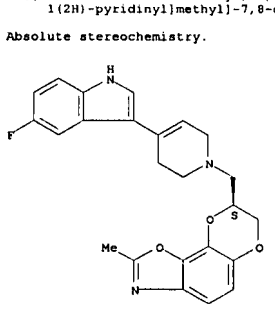
Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

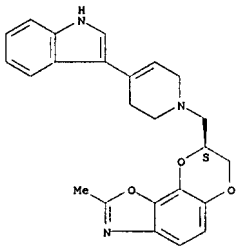
Absolute stereochemistry.



RN 474622-50-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

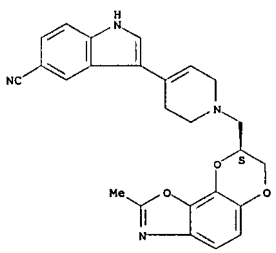
Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



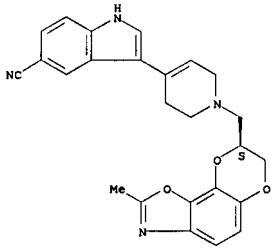
RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0
CMF C25 H22 N4 O3

Absolute stereochemistry.

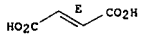
L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

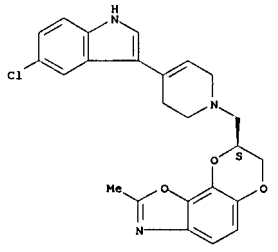
Double bond geometry as shown.



RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

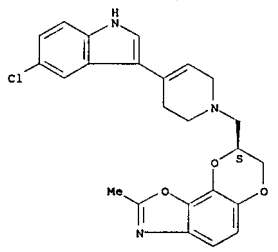


RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474622-55-4
CMF C24 H22 Cl N3 O3

Absolute stereochemistry.

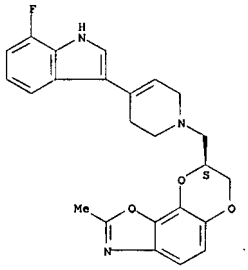


CM 2

CRN 110-17-8
CMF C4 H4 O4

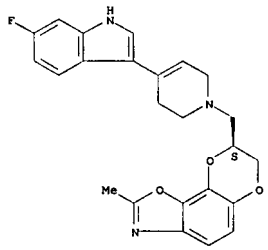
Double bond geometry as shown.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-54-3 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

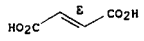
Absolute stereochemistry.



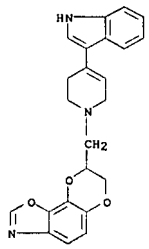
RN 474622-55-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

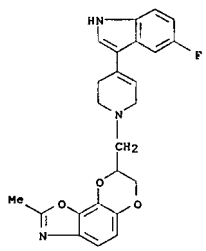
L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-59-8 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

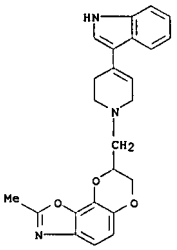


RN 474622-60-1 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-
1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

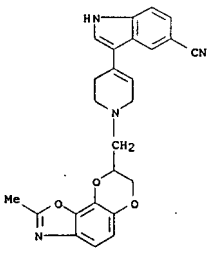


RN 474622-61-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-
pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

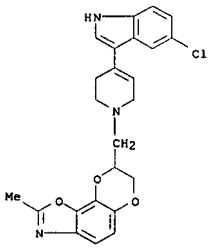


RN 474622-62-3 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 474622-63-4 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

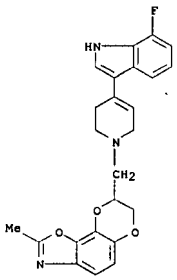
L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



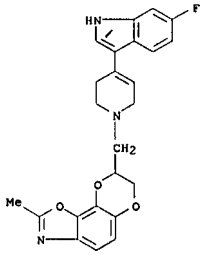
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474622-64-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)



RN 474622-65-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

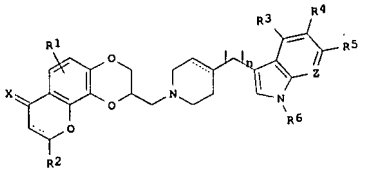
L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849639 CAPLUS
DOCUMENT NUMBER: 137:353039
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088136	A2	20021107	WO 2002-US13447	20020429
WO 2002088136	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 589316	B	20040601	TW 2002-91108669	20020426
AU 2002303529	A1	20021111	AU 2002-303529	20020429
PRIORITY APPLN. INFO.:			US 2001-287448P	P 20010430
			WO 2002-US13447	W 20020429

OTHER SOURCE(S): MARPAT 137:353039
GI

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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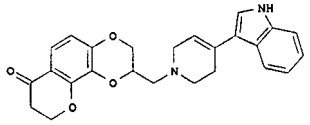


II

AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl; Z = CR7, N; X = O, S, H2, F2; n = 0-2], useful for the treatment of diseases such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared E.g., a multi-step synthesis of (S)-II, starting from 2',3',4'-trihydroxyacetophenone and (R)-glycidyl tosylate, which showed Ki of 2.74 nM in test for 5-HT transporter affinity, was given.

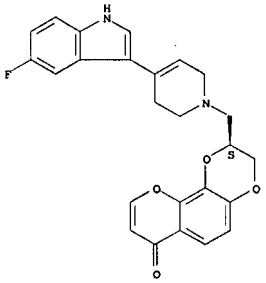
IT 474551-68-3P 474551-71-8P 474551-73-0P
474551-76-3P 474551-89-8P 474551-91-2P
474551-92-3P 474551-97-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4,5-trioxa-phenanthrene)

RN 474551-68-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474551-67-2
CMF C25 H21 F N2 O4

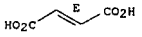
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



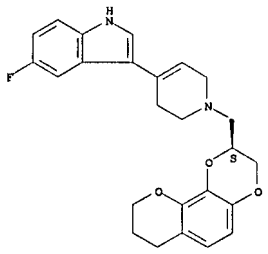
RN 474551-71-8 CAPLUS
CN 1H-Indole, 5-fluoro-3-(1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-70-7
CMF C25 H25 F N2 O3

Absolute stereochemistry.

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

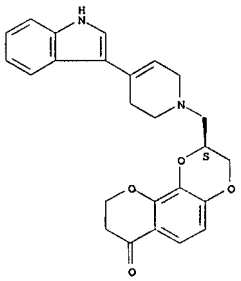


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



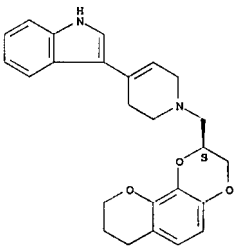
L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474551-76-3 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2
CMF C25 H26 N2 O3

Absolute stereochemistry.

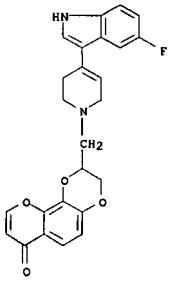


CM 2

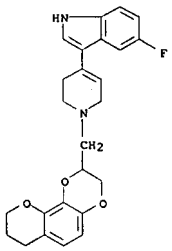
CRN 144-62-7
CMF C2 H2 O4

RN 474551-89-8 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

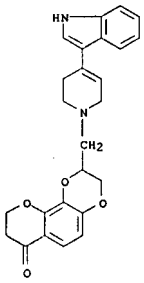


RN 474551-91-2 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

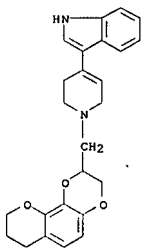


RN 474551-92-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro- (CA INDEX NAME)

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474551-97-8 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)



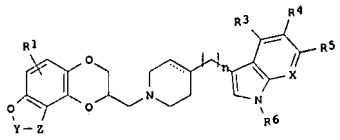
L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849638 CAPLUS
DOCUMENT NUMBER: 137:353038
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(S): Stack, Gary Paul; Gao, Hong; Gildersleeve, Elizabeth Suzanne
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

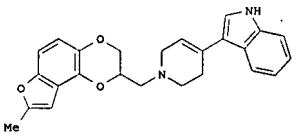
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088135	A1	20021107	WO 2002-US12831	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445543	A1	20021107	CA 2002-2445543	20020424
AU 2002258968	A1	20021111	AU 2002-258968	20020424
EP 1381613	A1	20040121	EP 2002-728947	20020424
EP 1381613	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503798	A	20040609	CN 2002-808647	20020424
BR 2002009343	A	20040615	BR 2002-9343	20020424
AT 279415	T	20041015	AT 2002-728947	20020424
JP 2004532236	T	20041021	JP 2002-585434	20020424
ES 2229138	T3	20050416	ES 2002-2728947	20020424
MX 2003PA09825	A	20050307	MX 2003-PA9825	20031024
PRIORITY APPLN. INFO.:			US 2001-286569P P	20010426
			WO 2002-US12831 W	20020424

OTHER SOURCE(S): MARPAT 137:353038
GI

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

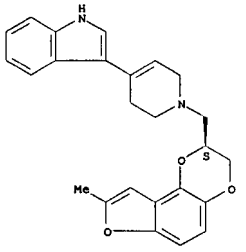
AB The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NR2; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N; R2, R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared E.g., a 5-step synthesis of (S)-II, starting from (2S)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yl)methanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HT1A receptor binding, was given.

IT 474621-95-9P 474621-96-0P 474621-97-1P 474621-98-2P 474621-99-3P 474622-00-9P 474622-14-5P 474622-15-6P 474622-16-7P 474622-17-8P 474622-18-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)

RN 474621-95-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

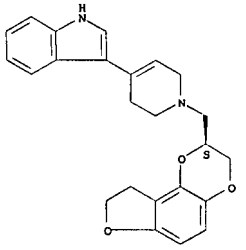
Absolute stereochemistry.

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-96-0 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

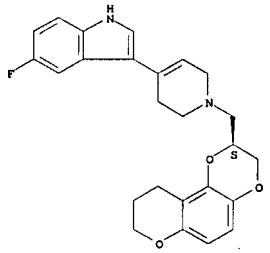
Absolute stereochemistry.



RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

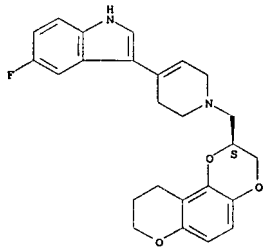


RN 474622-00-9 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-,
(2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3
CMF C25 H25 F N2 O3

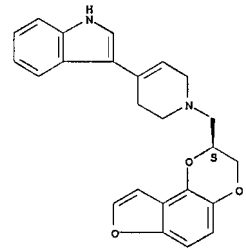
Absolute stereochemistry.



CM 2

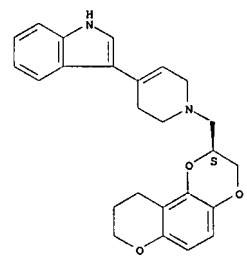
CRN 110-17-8
CMF C4 H4 O4

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474621-98-2 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

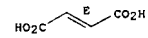


RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

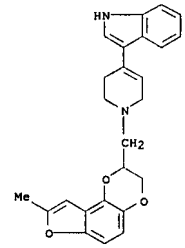
Absolute stereochemistry.

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

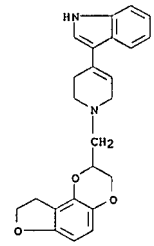
Double bond geometry as shown.



RN 474622-14-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

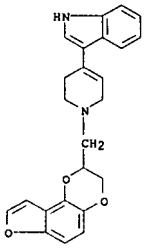


RN 474622-15-6 CAPLUS
CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

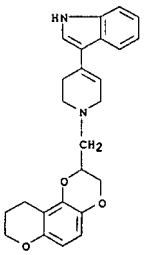


RN 474622-16-7 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

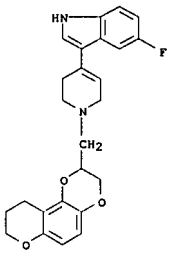


RN 474622-17-8 CAPLUS
CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)



RN 474622-18-9 CAPLUS
CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



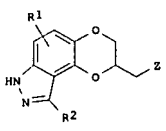
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849636 CAPLUS
DOCUMENT NUMBER: 137:353036
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088133	A1	20021107	WO 2002-US13284	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183331	A1	20021205	US 2002-128748	20020423
US 6800641	B2	20041005		
AU 2002308491	A1	20021111	AU 2002-308491	20020426
PRIORITY APPLN. INFO.:			US 2001-286568P P	20010426
			WO 2002-US13284 W	20020426

OTHER SOURCE(S): MARPAT 137:353036
GI



I

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un)substituted piperazino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-

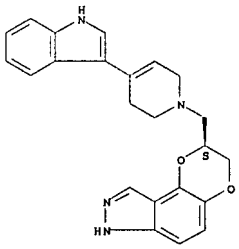
L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ylmethyl 4-methylbenzenesulfonate (multi-step prepn. given) with PhCH2NH2 in DMSO afforded 84% (S)-I [R1, R2 = H; Z = NHCH2Ph] which showed IC50 of 0.45 nM against D2 receptor binding.

IT 474383-10-3P 474383-12-5P 474383-13-6P
474383-14-7P 474383-23-8P 474383-24-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene)

RN 474383-10-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

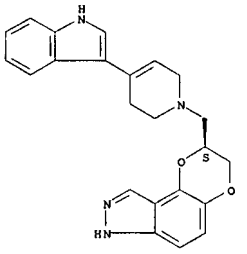
Absolute stereochemistry.



RN 474383-12-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

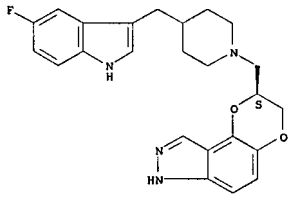
L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 474383-13-6 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

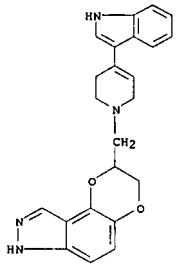


RN 474383-14-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

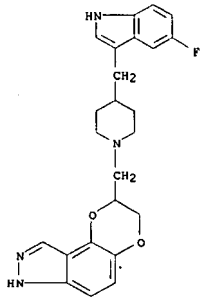
CM 1

CRN 474383-13-6

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474383-24-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-((5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

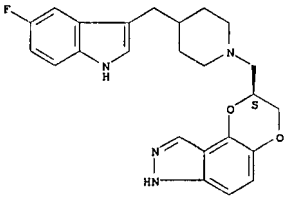


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C24 H25 F N4 O2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 474383-23-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

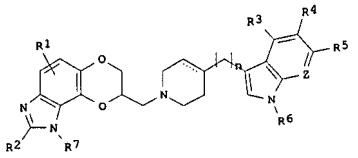
L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849634 CAPLUS
DOCUMENT NUMBER: 137:353034
TITLE: Preparation of antidepressant (SSRI) azaheterocyclylmethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

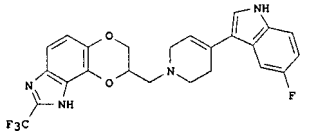
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088131	A1	20021107	WO 2002-US12993	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445552	A1	20021107	CA 2002-2445552	20020423
AU 2002258988	A1	20021111	AU 2002-258988	20020423
EP 1401839	A1	20040331	EP 2002-728968	20020423
EP 1401839	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009408	A	20040706	BR 2002-9408	20020423
JP 2004527561	T	20040909	JP 2002-585430	20020423
CN 1535274	A	20041006	CN 2002-808817	20020423
AT 304016	T	20050915	AT 2002-728968	20020423
ES 2247327	T3	20060301	ES 2002-728968	20020423
MX 2003PA09828	A	20050307	MX 2003-PA9828	20031024
PRIORITY APPLN. INFO.:			US 2001-286579P	P 20010426
			WO 2002-US12993	W 20020423

OTHER SOURCE(S): MARPAT 137:353034
GI

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

AB The title compds. [I; R1-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting [(8R)-2-trifluoromethyl-7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO afforded (S)-II which showed Ki of 3.07 nM against 5-HT1A receptor binding.

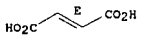
IT 474623-47-7P 474623-48-8P 474623-51-3P
474623-53-5P 474623-56-8P 474623-59-1P
474623-61-5P 474623-64-8P 474623-67-1P
474623-69-3P 474623-73-9P 474623-77-3P
474623-90-0P 474623-93-3P 474623-96-6P
474623-99-9P 474624-02-7P 474624-05-0P
474624-06-1P 474624-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant (SSRI) azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)

RN 474623-47-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 2

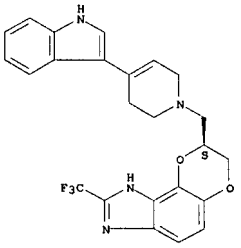
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 474623-51-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 474623-53-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

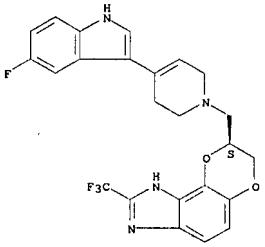
CM 1

CRN 474623-51-3
CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(CA INDEX NAME)

Absolute stereochemistry.

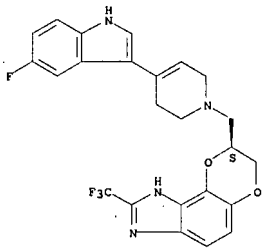


RN 474623-48-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

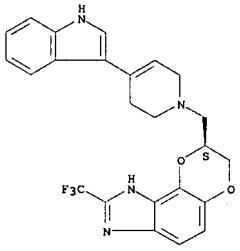
CM 1

CRN 474623-47-7
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.



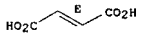
L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



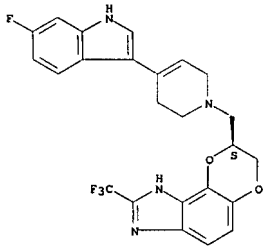
RN 474623-56-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-55-7
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

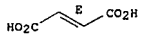
L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



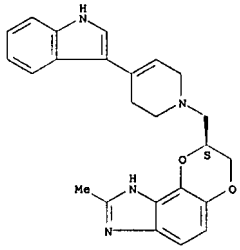
RN 474623-59-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0
CMF C24 H24 N4 O2

Absolute stereochemistry.

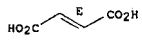
L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

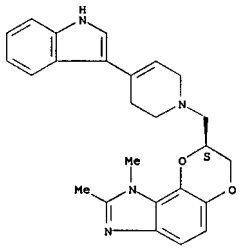
Double bond geometry as shown.



RN 474623-61-5 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

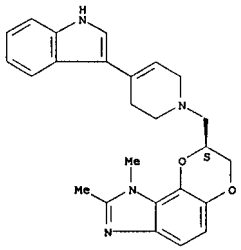


RN 474623-64-8 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-61-5
CMF C25 H26 N4 O2

Absolute stereochemistry.

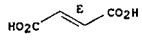


CM 2

CRN 110-17-8
CMF C4 H4 O4

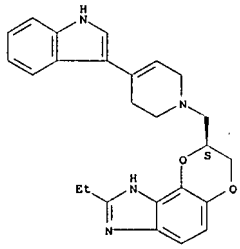
Double bond geometry as shown.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474623-67-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

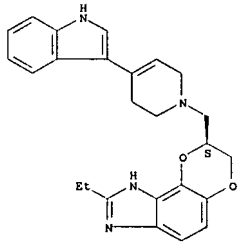


RN 474623-69-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-67-1
CMF C25 H26 N4 O2

Absolute stereochemistry.

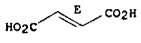


L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

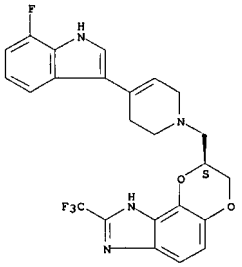


RN 474623-73-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-72-8
CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

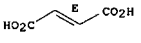


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

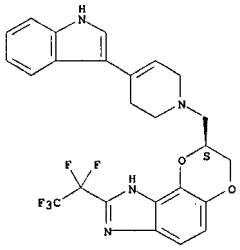


RN 474623-77-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-76-2
CMF C25 H21 F5 N4 O2

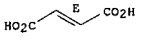
Absolute stereochemistry.



CM 2

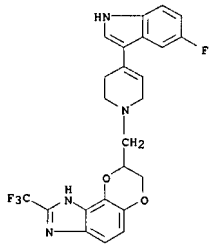
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

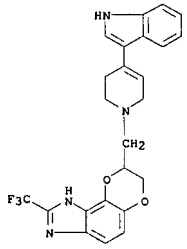


RN 474623-90-0 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

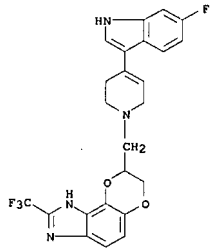


RN 474623-93-3 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

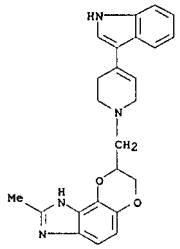


RN 474623-96-6 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

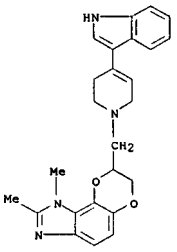


RN 474623-99-9 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

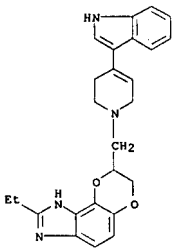


RN 474624-02-7 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

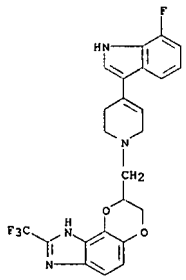


RN 474624-05-0 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro- (CA INDEX NAME)

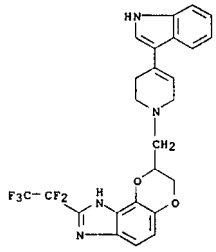


RN 474624-06-1 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474624-07-2 CAPLUS
CN 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

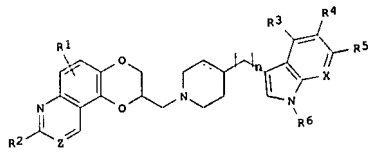
ACCESSION NUMBER: 2002:849632 CAPLUS
DOCUMENT NUMBER: 137:353058
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinazoline
INVENTOR(S): Husbands, George Edward Morris; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088129	A1	20021107	WO 2002-US12738	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002252709	A1	20021111	AU 2002-252709	20020423
US 2002183341	A1	20021205	US 2002-127926	20020423
US 6656947	B2	20031202		
EP 1381612	A1	20040121	EP 2002-721799	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-286573P P 20010426
WO 2002-US12738 W 20020423

OTHER SOURCE(S): MARPAT 137:353058
GI

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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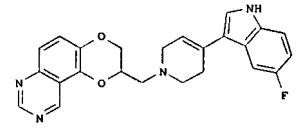


II

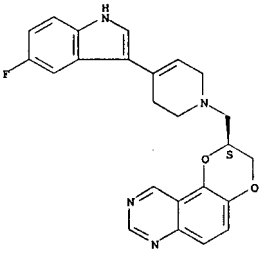
AB The title compds. [I; R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH, halo, etc.; R6 = H, alkyl; Z = N, N-oxide; X = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-1,4-dioxino[2,3-f]quinazolin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded 35% (S)-II which showed Ki of 51.53 nM against 5-HT1A receptor binding.

IT 474607-77-7P 474607-78-8P 474607-79-9P
474607-80-2P 474607-81-3P 474607-86-8P
474607-87-9P 474607-88-0P 474607-89-1P
474607-90-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinazoline)

RN 474607-77-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

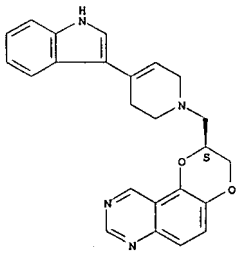
Absolute stereochemistry.

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-78-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

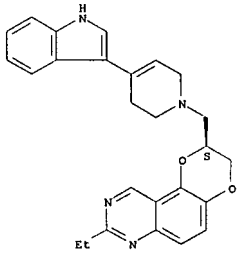
Absolute stereochemistry.



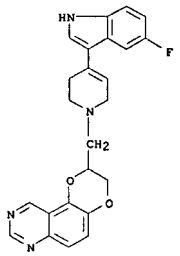
RN 474607-79-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

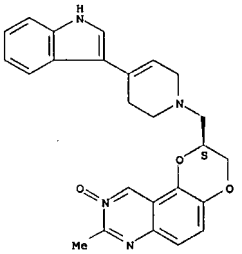


RN 474607-86-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



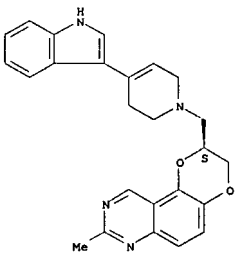
RN 474607-87-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-80-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

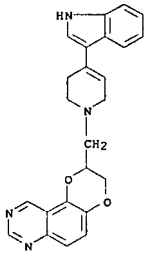
Absolute stereochemistry.



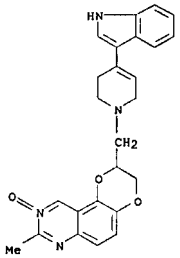
RN 474607-81-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

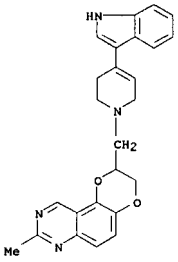


RN 474607-88-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide (CA INDEX NAME)

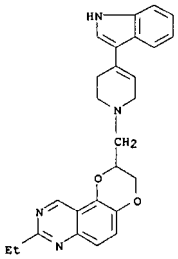


RN 474607-89-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474607-90-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

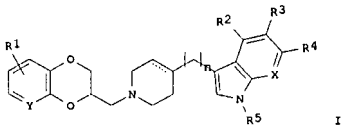
L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832806 CAPLUS
DOCUMENT NUMBER: 137:337898
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4-dioxino[2,3-b]pyridine
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085911	A1	20021031	WO 2002-US12847	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183355	A1	20021205	US 2002-127923	20020423
US 6656950	B2	20031202		
AU 2002307501	A1	20021105	AU 2002-307501	20020424
US 2004058953	A1	20040325	US 2003-661182	20030912
US 6987117	B2	20060117		

PRIORITY APPLN. INFO.: US 2001-286301P P 20010425
US 2002-127923 A1 20020423
WO 2002-US12847 W 20020424

OTHER SOURCE(S): MARPAT 137:337898
GI

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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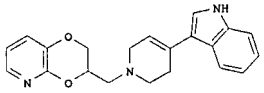


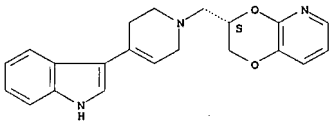
II

AB The title compds. [I; R1 = H, OH, halo, etc.; R2-R4, R6 = H, halo, CN, etc.; R5 = H, alkyl; X = CR6, N; n = 0-2; Y = N, N-oxide], useful for the treatment of depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse, and dysthymia, were prepared Thus, reacting 3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole with 2-bromo-3-[(2S)-oxiranylmethoxy]pyridine (yield 71%) followed by cyclization of the intermediate afforded 52% (S)-II which showed Ki of 14.30 nM against 5-HT1A receptor binding.

IT 473996-68-8P 473996-69-9P 473996-70-2P
473996-71-3P 473996-72-4P 473996-73-5P
473996-74-6P 473996-75-7P 473996-81-5P
473996-82-6P 473996-83-7P 473996-84-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4-dioxino[2,3-b]pyridine)

RN 473996-68-8 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

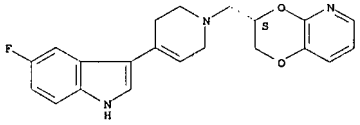
Absolute stereochemistry.



L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

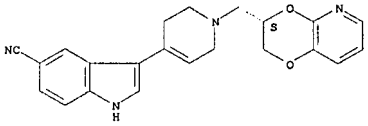
RN 473996-69-9 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



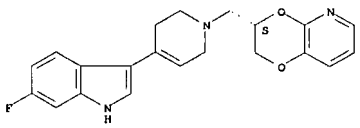
RN 473996-70-2 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(3S)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473996-71-3 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

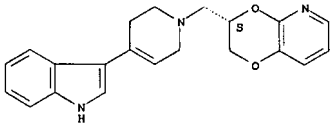


RN 473996-72-4 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 473996-68-8
CMF C21 H21 N3 O2

Absolute stereochemistry.



CM 2

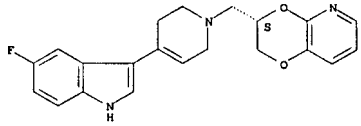
CRN 144-62-7
CMF C2 H2 O4

RN 473996-73-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

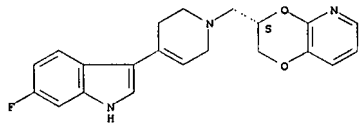
CRN 473996-69-9
CMF C21 H20 F N3 O2

Absolute stereochemistry.



CM 2

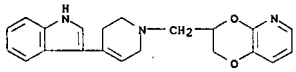
L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



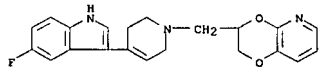
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473996-81-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473996-83-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

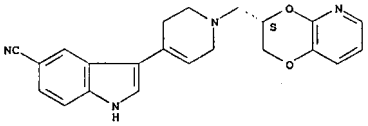
L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 144-62-7
CMF C2 H2 O4

RN 473996-74-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(3S)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, ethanedioate (5:7) (CA INDEX NAME)

CM 1

CRN 473996-70-2
CMF C22 H20 N4 O2

Absolute stereochemistry.



CM 2

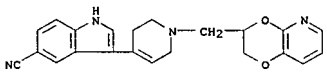
CRN 144-62-7
CMF C2 H2 O4

RN 473996-75-7 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

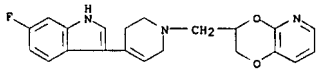
CM 1

CRN 473996-71-3
CMF C21 H20 F N3 O2

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473996-84-8 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832792 CAPLUS
DOCUMENT NUMBER: 137:337896
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Husbands, George Edward Morris; Stack, Gary Paul; Mewshaw, Richard Eric; Cliffe, Ian Anthony
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085896	A1	20021031	WO 2002-US12843	20020423
WO 2002085896	A8	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002258971	A1	20021105	AU 2002-258971	20020423
EP 1381600	A1	20040121	EP 2002-728950	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-286056P P 20010424
WO 2002-US12843 W 20020423

OTHER SOURCE(S): MARPAT 137:337896
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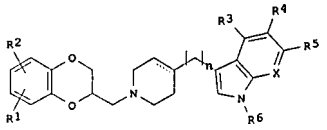
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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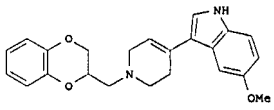


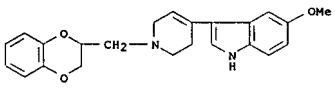
II

AB The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting 2,3-dihydro-benzo[1,4]dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HT1A receptor binding.

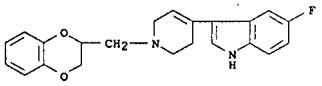
IT 473993-79-2P 473993-80-5P 473993-81-6P
473993-82-7P 473993-83-8P 473993-84-9P
473993-85-0P 473993-86-1P 473993-87-2P
473993-88-3P 473993-89-4P 473993-90-7P
473993-91-8P 473993-92-9P 473993-93-0P
473993-94-1P 473994-01-3P 473994-02-4P
473994-03-5P 473994-04-6P 473994-05-7P
473994-06-8P 473994-07-9P 473994-08-0P
473994-09-1P 473994-10-4P 473994-11-5P
473994-12-6P 473994-14-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 473993-79-2 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

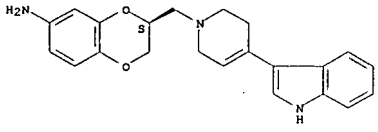


RN 473993-80-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)



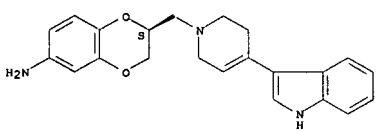
RN 473993-81-6 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-82-7 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

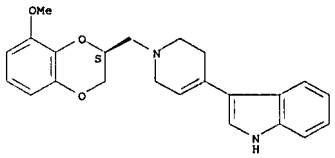
Absolute stereochemistry.



RN 473993-83-8 CAPLUS
CN 1H-Indole,
3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-

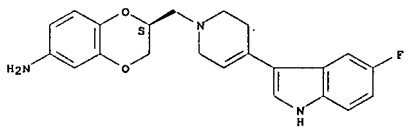
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



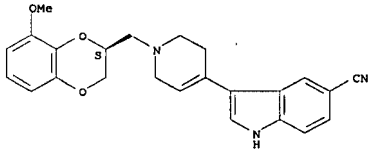
RN 473993-84-9 CAPLUS
CN 1,4-Benzodioxin-6-amine,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-85-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

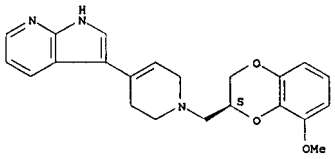
Absolute stereochemistry.



● HCl

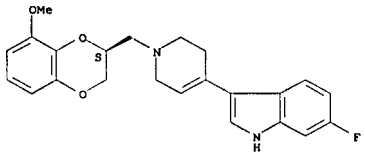
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473993-86-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-87-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

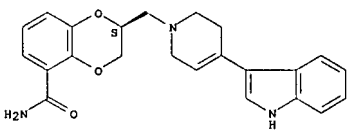
Absolute stereochemistry.



RN 473993-88-3 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

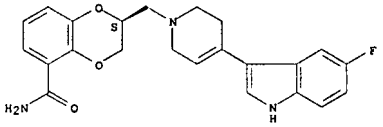
Absolute stereochemistry.

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



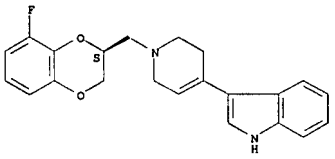
RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-90-7 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

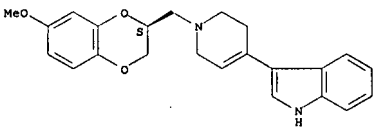
Absolute stereochemistry.



RN 473993-91-8 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

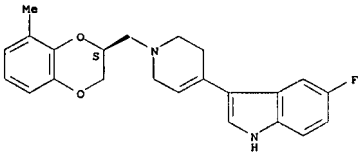
Absolute stereochemistry.

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 473993-92-9 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

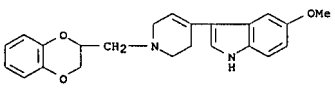
Absolute stereochemistry.



RN 473993-93-0 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-79-2
CMF C23 H24 N2 O3



CM 2

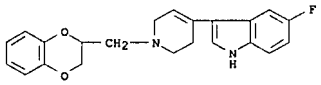
CRN 144-62-7
CMF C2 H2 O4

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-94-1 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5
CMF C22 H21 F N2 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

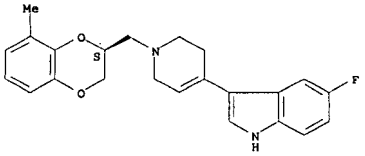
RN 473994-01-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-92-9
CMF C23 H23 F N2 O2

Absolute stereochemistry.

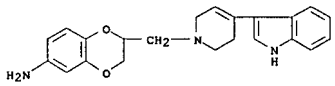
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



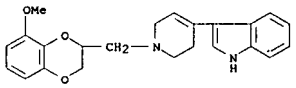
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 473994-02-4 CAPLUS
CN 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

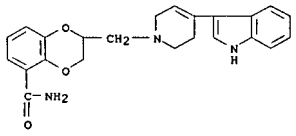


RN 473994-03-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

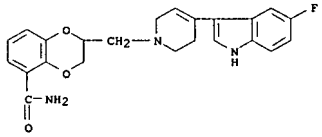


RN 473994-04-6 CAPLUS
CN 1,4-Benzodioxin-6-amine,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-

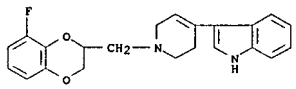
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



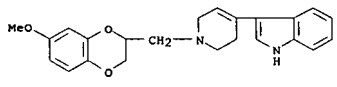
RN 473994-09-1 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



RN 473994-10-4 CAPLUS
CN 1H-Indole, 3-[1-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

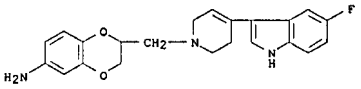


RN 473994-11-5 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

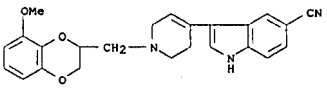


RN 473994-12-6 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

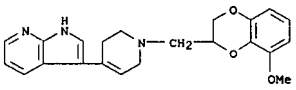
L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



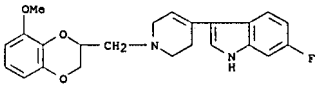
RN 473994-05-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 473994-06-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine,
3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

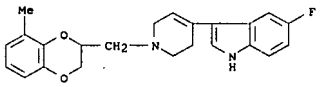


RN 473994-07-9 CAPLUS
CN 1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

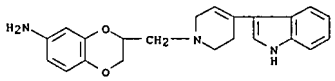


RN 473994-08-0 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

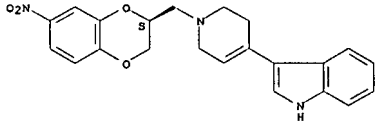


RN 473994-14-8 CAPLUS
CN 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)



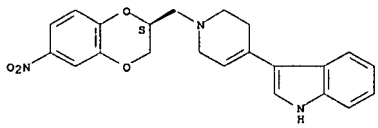
IT 473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl deriva. of 2,3-dihydro-1,4-benzodioxane)
RN 473993-95-2 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 473993-96-3 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

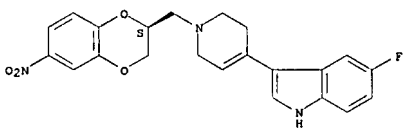
Absolute stereochemistry.



L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-97-4 CAPLUS
CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

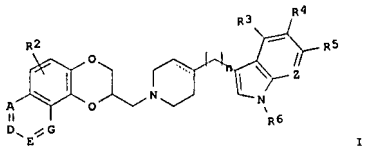
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072587	A1	20020919	WO 2002-US7192	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002252263	A1	20020924	AU 2002-252263	20020312
US 6458802	B2	20021001	US 2002-95505	20020312
US 2002165245	A1	20021107		
EP 1392697	A1	20040303	EP 2002-721325	20020312
EP 1392697	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 281459	T	20041115	AT 2002-721325	20020312
PT 1392697	T	20050131	PT 2002-721325	20020312
ES 2230484	T3	20050501	ES 2002-2721325	20020312
US 2003045542	A1	20030306	US 2002-228744	20020827
US 6599915	B2	20030729		

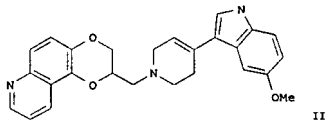
PRIORITY APPLN. INFO.:
US 2001-275564P P 20010314
US 2002-95505 A1 20020312
WO 2002-US7192 W 20020312

OTHER SOURCE(S): MARPAT 137:247706
GI

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

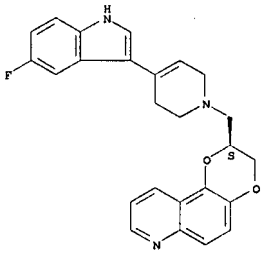
AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HT1A receptor activity (biol. data given).

IT 460353-58-6P 460353-70-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

RN 460353-58-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

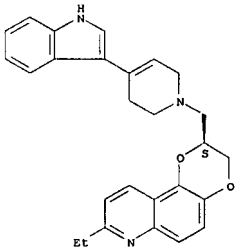
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-70-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

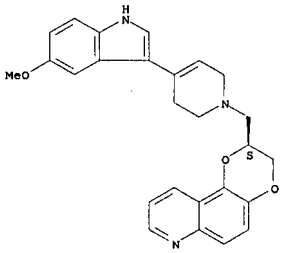
Absolute stereochemistry.



IT 460353-57-5P 460353-59-7P 460353-60-0P
460353-61-1P 460353-62-2P 460353-63-3P
460353-64-4P 460353-65-5P 460353-66-6P
460353-68-8P 460353-69-9P 460353-71-3P
460353-72-4P 460353-73-5P 460353-74-6P
460353-75-7P 460353-76-8P 460353-77-9P
460353-78-0P 460353-79-1P 460353-80-4P
460353-81-5P 460353-82-6P 460353-83-7P
460353-84-8P 460353-85-9P 460353-86-0P
460353-87-1P 460353-88-2P 460353-89-3P
460353-90-6P 460353-91-7P 460353-92-8P
460353-93-9P 460353-94-0P 460353-95-1P

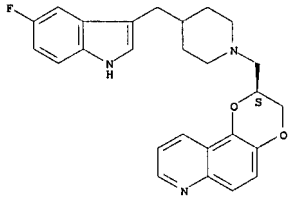
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)
RN 460353-57-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-59-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

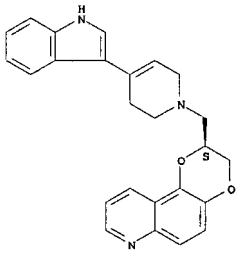
Absolute stereochemistry.



RN 460353-60-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-

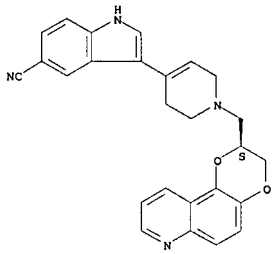
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-61-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

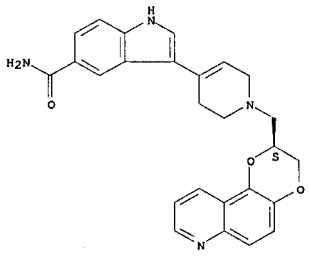
Absolute stereochemistry.



RN 460353-62-2 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

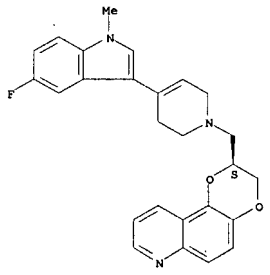
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-63-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

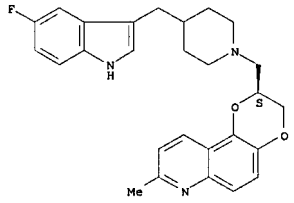
Absolute stereochemistry.



RN 460353-64-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

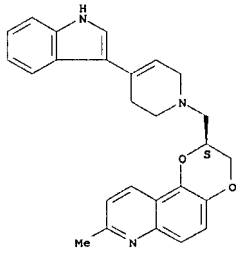
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-65-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

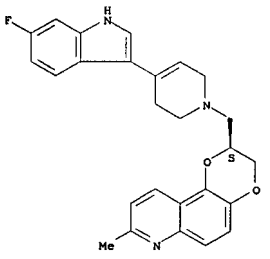
Absolute stereochemistry.



RN 460353-66-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

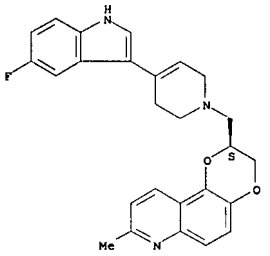
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-68-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

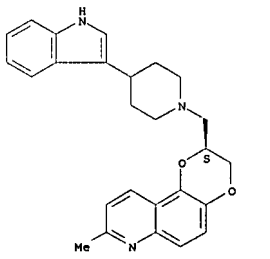
Absolute stereochemistry.



RN 460353-69-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-8-methyl-, (2S)- (CA INDEX NAME)

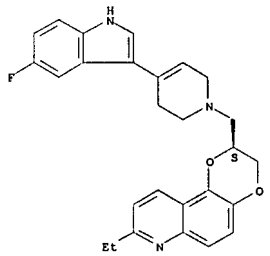
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-71-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

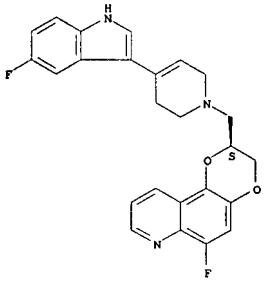
Absolute stereochemistry.



RN 460353-72-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

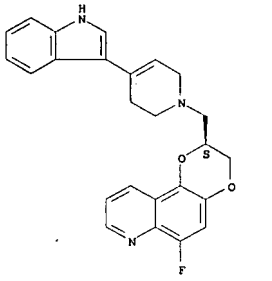
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-73-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3-dihydro-, (2S)- (CA INDEX NAME)

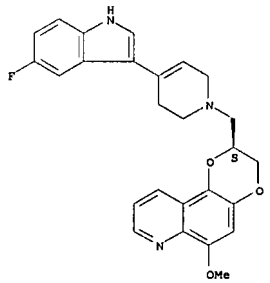
Absolute stereochemistry.



RN 460353-74-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)- (CA INDEX NAME)

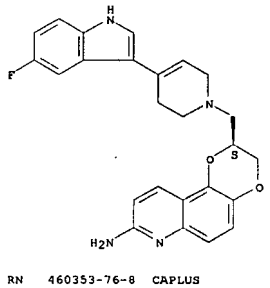
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-75-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

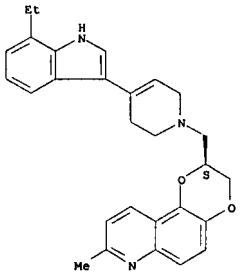
Absolute stereochemistry.



RN 460353-76-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-ethyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

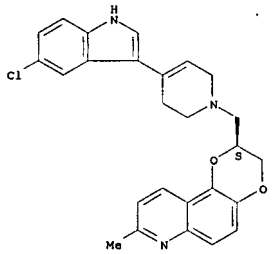
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-77-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

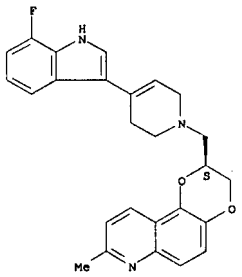
Absolute stereochemistry.



RN 460353-78-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

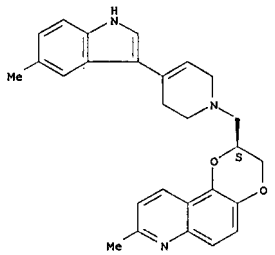
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-79-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



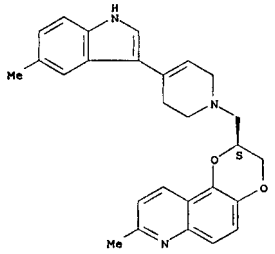
RN 460353-80-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 460353-79-1
CMF C27 H27 N3 O2

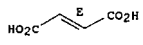
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

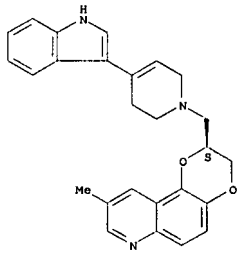
Double bond geometry as shown.



RN 460353-81-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-9-methyl-, (2S)- (CA INDEX NAME)

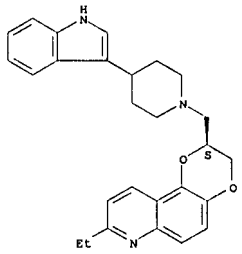
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-82-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



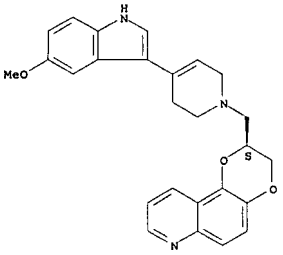
RN 460353-83-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-57-5
CMF C26 H25 N3 O3

Absolute stereochemistry.

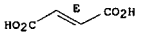
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



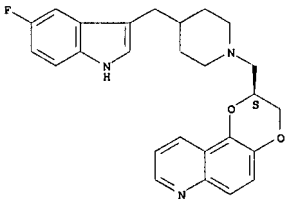
RN 460353-84-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-59-7
CMF C26 H26 F N3 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

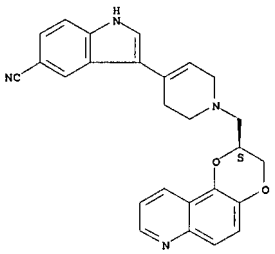
RN 460353-85-9 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-61-1
CMF C26 H22 N4 O2

Absolute stereochemistry.

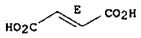
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



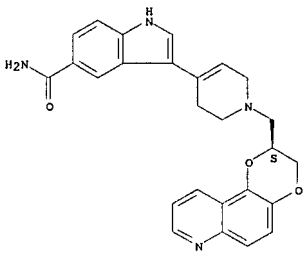
RN 460353-86-0 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-62-2
CMF C26 H24 N4 O3

Absolute stereochemistry.

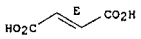
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

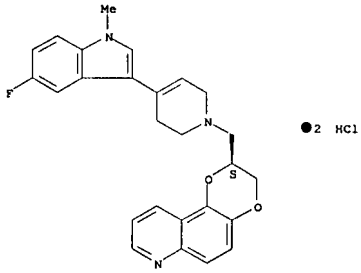
Double bond geometry as shown.



RN 460353-87-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



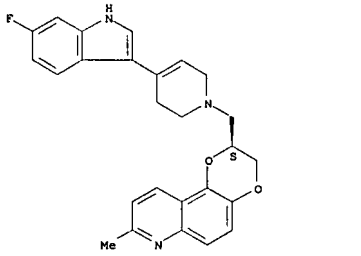
●2 HCl

RN 460353-88-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-66-6
CMF C26 H24 F N3 O2

Absolute stereochemistry.

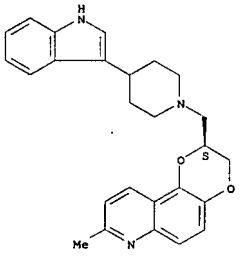


L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 460353-90-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA
INDEX NAME)

CM 1

CRN 460353-69-9
CMF C26 H27 N3 O2

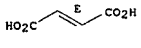
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 460353-91-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-71-3
CMF C27 H26 F N3 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 2

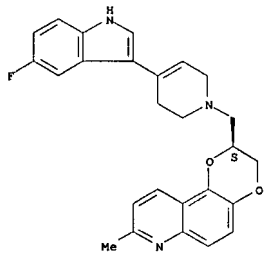
CRN 144-62-7
CMF C2 H2 O4

RN 460353-89-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-68-8
CMF C26 H24 F N3 O2

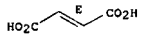
Absolute stereochemistry.



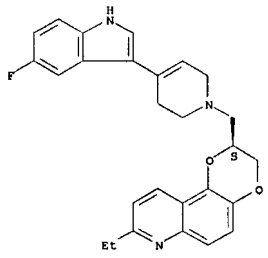
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



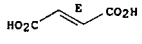
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



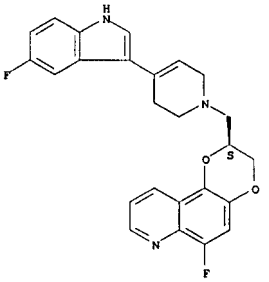
RN 460353-92-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-72-4
CMF C25 H21 F2 N3 O2

Absolute stereochemistry.

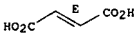
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



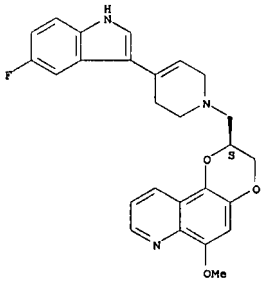
RN 460353-93-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-74-6
CMF C26 H24 F N3 O3

Absolute stereochemistry.

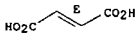
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



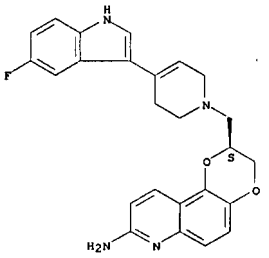
RN 460353-94-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-75-7
CMF C25 H23 F N4 O2

Absolute stereochemistry.

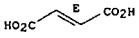
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



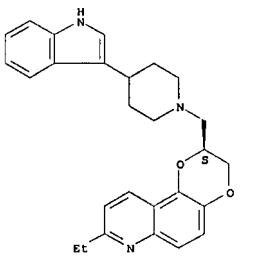
RN 460353-95-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 460353-82-6
CMF C27 H29 N3 O2

Absolute stereochemistry.

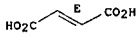
L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

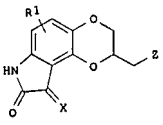


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:100823 CAPLUS
DOCUMENT NUMBER: 130:168383
TITLE: Preparation of 2-(azaheterocyclymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-ones as antipsychotics.
INVENTOR(S): Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869490	A	19990209	US 1997-947565	19971009
PRIORITY APPLN. INFO.:			US 1997-947565	19971009

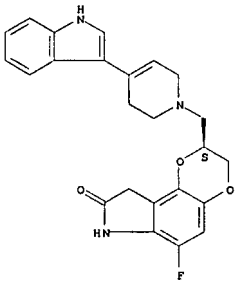
OTHER SOURCE(S): CASREACT 130:168383; MARPAT 130:168383
GI



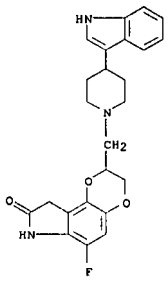
I

AB Title compds. [I; X = H2, O; R1 = H, OH, halo, CF3, OCF3, alkyl, alkoxy, aralkoxy, alkanoyloxy, amino, alkanamido, alkanesulfonamido; Z = (substituted) piperazinyl, (substituted) (benzo-fused) piperidinyl], were prepared Thus, (R)-(2-tosyloxymethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one and tetrahydroisoquinoline were heated 4 h in Me2SO to give (S)-2-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-amine, isolated as the fumarate. This showed D2 receptor affinity with IC50 = 0.23 nM.
IT 206355-42-2P 220456-60-0P 220456-63-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclymethyltetrahydrodioxinoindolones as antipsychotics)
RN 206355-42-2 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.

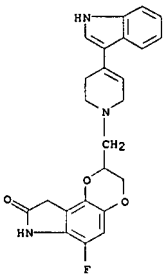


RN 220456-60-0 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]- (CA INDEX NAME)



RN 220456-63-3 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro- (CA INDEX NAME)

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:251174 CAPLUS
DOCUMENT NUMBER: 128:308493
TITLE: Preparation of azaheterocyclymethyl derivatives of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation
INVENTOR(S): Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816530	A1	19980423	WO 1997-US18275	19971010
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268195	A1	19980423	CA 1997-2268195	19971010
CA 2268195	C	20060829		
AU 9748138	A	19980511	AU 1997-48138	19971010
EP 932609	A1	19990804	EP 1997-910866	19971010
EP 932609	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001502327	T	20010220	JP 1998-518447	19971010
AT 240335	T	20030515	AT 1997-910866	19971010
PT 932609	T	20030930	PT 1997-910866	19971010
ES 2196312	T3	20031216	ES 1997-910866	19971010
PRIORITY APPLN. INFO.:			US 1996-732807 A	19961015
			WO 1997-US18275 W	19971010

OTHER SOURCE(S): MARPAT 128:308493
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

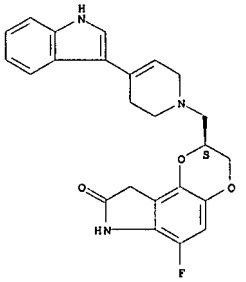
AB The title compds. [I; X = H2, O; R1 = H, OH, halo, etc.; Z = II, III, IV (wherein R2 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H and R4 = H, (un)substituted 1-benzimidazolyl-2-one, indolyl, etc.; R3R4 taken together with the carbon atom to which they are attached form V or VI; R5 = H and R6 = (un)substituted Ph, naphthyl, thienyl, etc.; R5R6 taken together with the carbon atoms to which they are attached complete a benzene ring optionally substituted with R1)] and their salts, useful for the treatment of brain dopamine dysregulation, especially schizophrenia or a schizoaffective

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
disorder, were prepd. Thus, reaction of (R)-2-(toluene-4-sulfonyloxymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one (prepn. described) with tetrahydroisoquinoline in DMSO afforded 82% (S)-I [X = H2; R1 = H; Z = 3,4-dihydro-1H-isoquinolin-2-yl] which showed IC50 of
0.35 nM against the dopamine D2 receptor binding.

IT 206355-42-2P 206355-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclymethyl derivs. of 2,3,8,9-tetrahydro-7h-1,4-
dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation)

RN 206355-42-2 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

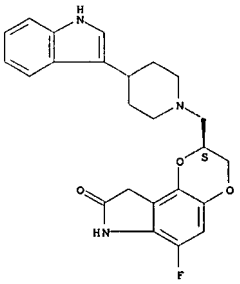
Absolute stereochemistry.



RN 206355-44-4 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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 NEWS  3  JUL 02   SCISEARCH enhanced with complete author names
 NEWS  4  JUL 02   CHEMCATS accession numbers revised
 NEWS  5  JUL 02   CA/CAplus enhanced with utility model patents from China
 NEWS  6  JUL 16   CAplus enhanced with French and German abstracts
 NEWS  7  JUL 18   CA/CAplus patent coverage enhanced
 NEWS  8  JUL 26   USPATFULL/USPAT2 enhanced with IPC reclassification
 NEWS  9  JUL 30   USGENE now available on STN
 NEWS 10  AUG 06   CAS REGISTRY enhanced with new experimental property tags
 NEWS 11  AUG 06   FSTA enhanced with new thesaurus edition
 NEWS 12  AUG 13   CA/CAplus enhanced with additional kind codes for granted
                   patents
 NEWS 13  AUG 20   CA/CAplus enhanced with CAS indexing in pre-1907 records
 NEWS 14  AUG 27   Full-text patent databases enhanced with predefined
                   patent family display formats from INPADOCDB
 NEWS 15  AUG 27   USPATOLD now available on STN
 NEWS 16  AUG 28   CAS REGISTRY enhanced with additional experimental
                   spectral property data
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 NEWS 18  SEP 13   FORIS renamed to SOFIS
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 NEWS 20  SEP 17   CA/CAplus enhanced with printed CA page images from
                   1967-1998
 NEWS 21  SEP 17   CAplus coverage extended to include traditional medicine
                   patents
 NEWS 22  SEP 24   EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 23  OCT 02   CA/CAplus enhanced with pre-1907 records from Chemisches
                   Zentralblatt
 NEWS 24  OCT 19   BEILSTEIN updated with new compounds
 NEWS 25  NOV 15   Derwent Indian patent publication number format enhanced
 NEWS 26  NOV 19   WPIX enhanced with XML display format

 NEWS EXPRESS  19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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 NEWS IPC8     For general information regarding STN implementation of IPC 8
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                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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DICTIONARY FILE UPDATES:  26 NOV 2007  HIGHEST RN 955995-34-3

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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\Stnexp\Queries\10-556,931c.str
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chain nodes :
11 12
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23
chain bonds :
8-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 15-16 15-20 15-21 16-17
16-23 17-18 18-19 19-20 21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 8-11 9-10 11-12 15-16 15-20
15-21 16-17 16-23 17-18 18-19 19-20 21-22 22-23

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

10-556,931.trn

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:06:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      317 TO ITERATE

100.0% PROCESSED      317 ITERATIONS                              29 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5272 TO     7408
PROJECTED ANSWERS:             257 TO      903

L2            29 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10-556,931d.str
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chain nodes :
11  12
ring nodes :
1  2  3  4  5  6  7  8  9  10  15  16  17  18  19  20  21  22  23
chain bonds :
8-11  11-12
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  15-16  15-20  15-21  16-17
 16-23  17-18  18-19  19-20  21-22  22-23
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  8-11  9-10  11-12  15-16  15-20
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isolated ring systems :
containing 1 : 15 :


G1:C,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
22:Atom  23:Atom



L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l3 sss sam
SAMPLE SEARCH INITIATED 17:09:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      317 TO ITERATE

100.0% PROCESSED      317 ITERATIONS                                 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5272 TO     7408
PROJECTED ANSWERS:              33 TO      447

L4           12 SEA SSS SAM L3

=> s l3 sss full
FULL SEARCH INITIATED 17:09:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     6781 TO ITERATE

100.0% PROCESSED     6781 ITERATIONS                            293 ANSWERS
SEARCH TIME: 00.00.01

L5          293 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             174.80     175.01

FILE 'CAPLUS' ENTERED AT 17:10:22 ON 27 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 27 Nov 2007 VOL 147 ISS 23
FILE LAST UPDATED: 26 Nov 2007 (20071126/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

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=> s l5
L6          26 L5

=> s l4
L7           3 L4

=> s l5
L8          26 L5

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 26 ANSWERS - CONTINUE? Y/(N):y
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L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:820627 CAPLUS
DOCUMENT NUMBER: 147:181575
TITLE: Heterocyclic compounds for inhibiting the melanocortin receptor MC2R
INVENTOR(S): Tkachenko, S. E.; Okun, Ilya Matusovich; Rivkis, Skot Andre; Kravchenko, D. V.; Khvat, Alexander Viktorovich; Ivashchenko, A. V.
PATENT ASSIGNEE(S): Ivashchenko, Andrei Aleksandrovich, Russia; Chemdiv Inc.
SOURCE: Russ., 118pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

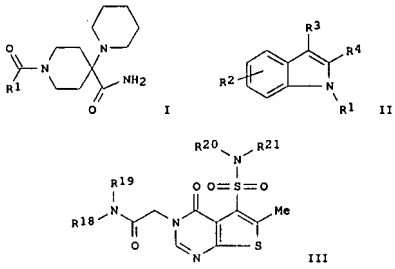
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2303597	C1	20070727	RU 2006-116303	20060512
WO 2007133108	A1	20071122	WO 2006-RU528	20061012

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: RU 2006-116303 A 20060512

GI

L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



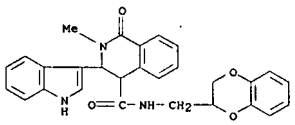
I II III

AB The invention relates to pharmaceutical compns. possessing inhibitory effect with respect to MC2R-receptors, for preparing medicinal prepns. as tablets, granules, capsules, suspensions, solns. or injections placed into pharmaceutically acceptable package for treating diseases associated with oversecretion of ACTH. As active substance the composition comprises azaheterocyclic compound of general formulas (I) , (II) or (III) , wherein R1 in I represents substituted alkyl, aryl, heteroaryl, heterocyclyl, or R1 in II represents a substitute of amino-group chosen from hydrogen atom or possibly substituted lower alkyl or lower acyl; each R2, R3 and R4 represents independently of one another a substitute of cyclic system chosen from hydrogen atom, azaheterocycle, possibly substituted lower alkyl, possibly substituted hydroxy-group, carboxy-group, cycloalkyl; or R3 and R4 in common with carbon atoms to which they are bound form azaheterocycle, or R1 in common with nitrogen atom to which it is bound, and R3 and R4 in common with carbon atoms to which they are bound form azaheterocycle through R1, R3 and R4. R18 and R19 represent independently of one another substitutes of amino-group chosen from hydrogen atom or lower alkyl substituted with azaheterocycle as their racemates, optically active isomers or their pharmaceutically acceptable salts and/or hydrates; R20 and R21 in common with nitrogen atom to which they are bound form possibly substituted azaheterocycle. Also, the invention relates to a method for preparing a pharmaceutical composition and using compds. and compns. for preparing medicinal prepns. and for treatment or prophylaxis of diseases associated with enhanced activation of adrenocorticotropic hormone for compds. of general formulas I, II, and III, and for using compds. for exptl. investigations of indicated processes in vitro or in vivo also.

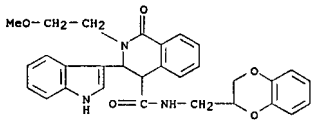
IT 944465-94-5 944466-23-3

L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for inhibiting the melanocortin receptor MC2R)

RN 944465-94-5 CAPLUS
CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,4-tetrahydro-3-(1H-indol-3-yl)-2-methyl-1-oxo- (CA INDEX NAME)



RN 944466-23-3 CAPLUS
CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,4-tetrahydro-3-(1H-indol-3-yl)-2-(2-methoxyethyl)-1-oxo- (CA INDEX NAME)



L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:748781 CAPLUS
DOCUMENT NUMBER: 147:166205
TITLE: Preparation of 1-oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines, their combinatorial and focused libraries and their protein kinase inhibitory activities
INVENTOR(S): Ivashchenko, Alexander Vasilevich; Kravchenko, D. V.; Loseva, M. V.; Okun, Ilya Matusovich; Tkachenko, S. E.; Khvat, Alexander Viktorovich
PATENT ASSIGNEE(S): Alla Chem, LLC, USA
SOURCE: Russ., 73pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

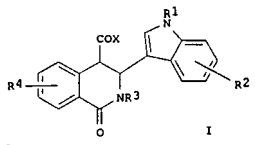
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2302417	C1	20070710	RU 2006-107658	20060314
WO 2007105989	A2	20070920	WO 2007-RU116	20070312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: RU 2006-107658 A 20060314

OTHER SOURCE(S): MARPAT 147:166205
GI

L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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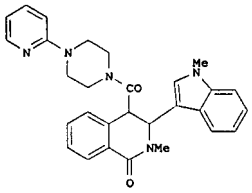


III

AB 1-Oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines, including their cis and trans isomers (I; R1, R2, R4 = H, alkyl; R3 = alkyl, cycloalkyl, and alkyl optionally substituted by aryl, heteroaryl, heterocyclyl, alkoxy, amino, alkylamino, dialkylamino) and 4-carbamoyl-1-oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines [II; same R1-R4; R5, R6 = H, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkyl, and alkyl optionally substituted by aryl, heteroaryl, heterocyclyl, cycloalkyl, cycloalkenyl, alkoxy, amino, alkylamino, dialkylamino, or arylalkylamino; or R5 and R6, together with N atom to which they are linked, form (un)substituted aza-heterocycle], useful as protein kinase inhibitors, are claimed. Compds. I are prepared by reaction of the corresponding indol-3-ylmethylamines with homophthalic anhydrides in an organic solvent. Compds. II were prepared by treating I with thionyl chloride or 1,1'-carbonyldiimidazole and then with amines R5R6NH (same R5, R6) in an organic solvent. Compds. of invention exhibit ABL kinase inhibiting activities. Thus, carbamoyl derivative III (preparation given as part of combinatorial library) showed 79% inhibition of ABL kinase. Combinatorial and focused libraries are also provided to reveal leading compds.

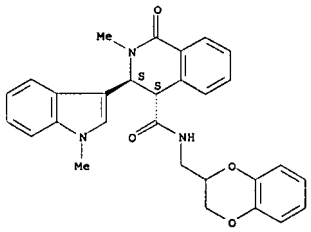
IT 943931-72-4P 943934-78-9P 943936-28-5P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 1-oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines,

L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
their combinatorial and focused libraries and their ABL kinase inhibitory activities)

RN 943931-72-4 CAPLUS

CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,4-tetrahydro-2-methyl-3-(1-methyl-1H-indol-3-yl)-1-oxo-, (3R,4R)-rel- (CA INDEX NAME)

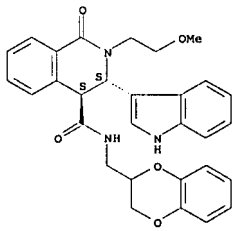
Relative stereochemistry.



RN 943934-78-9 CAPLUS

CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,4-tetrahydro-3-(1H-indol-3-yl)-2-(2-methoxyethyl)-1-oxo-, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

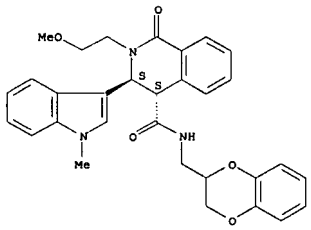


RN 943936-28-5 CAPLUS

CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,4-tetrahydro-2-(2-methoxyethyl)-3-(1-methyl-1H-indol-3-yl)-1-oxo-,

L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:13522 CAPLUS
DOCUMENT NUMBER: 146:121816
TITLE: Preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS
INVENTOR(S): Lindsley, Craig W.; Leister, William H.; Wolkenberg, Scott E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 85pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

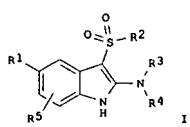
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002481	A2	20070104	WO 2006-US24611	20060623
WO 2007002481	A3	20071115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-694744P P 20050628
US 2005-707365P P 20050811

OTHER SOURCE(S): MARPAT 146:121816
GI



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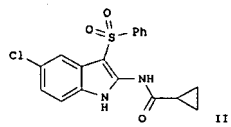
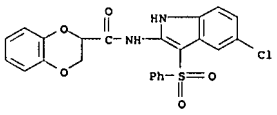


II

AB Title compds. I [wherein R1 = halo, CN, NO2, etc.; R2 = (un)substituted alkyl, haloalkyl, (hetero)aryl, etc.; R3 = H or alkyl; R4 = H, (un)substituted alkyl, (hetero)aryl, etc.; R5 = H or R1, with limitations] and their pharmaceutically acceptable salts were prepared as non-nucleoside

L8 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
HIV reverse transcriptase inhibitors. For instance, successive substitution of 2,5-dichloro-3-(phenylsulfonyl)-1H-indole with hydrazine, treatment with Raney Ni, and acylation with cyclopropanecarbonyl chloride gave amide II. This product showed inhibition against HIV reverse transcriptase both in vitro and in vivo with IC50 values of less than 20 μM. It also showed inhibition of HIV replication with IC95 < 1 μM, and exhibited no cytotoxicity at its IC95 concn. Therefore, I and their pharmaceutical compns. are useful in the inhibition of HIV reverse transcriptase, the prophylaxis and treatment of infection by HIV and in the prophylaxis, delay in the onset, and treatment of AIDS.
IT 918493-34-2P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)
RN 918493-34-2 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, N-[5-chloro-3-(phenylsulfonyl)-1H-indol-2-yl]-2,3-dihydro- (CA INDEX NAME)

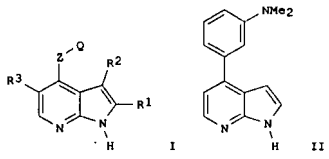


L8 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1252802 CAPLUS
DOCUMENT NUMBER: 146:27814
TITLE: Pyrrolopyridines useful as inhibitors of protein kinase and their preparation, pharmaceutical compositions, and use in the treatment of various diseases
INVENTOR(S): Ledeboer, Mark W.; Wannamaker, Marion W.; Farmer, Luc J.; Wang, Tiansheng; Pierce, Albert C.; Martinez-Botella, Gabriel; Bethiel, Randy S.; Bemis, Guy W.; Wang, Jian; Salituro, Francesco G.; Arnost, Michael J.; Come, Jon H.; Green, Jeremy; Stewart, Michelle; Marhefka, Craig
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 201pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006127587	A1	20061130	WO 2006-US19711	20060522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007135466	A1	20070614	US 2006-438748	20060522
PRIORITY APPLN. INFO.:			US 2005-683554P	P 20050520

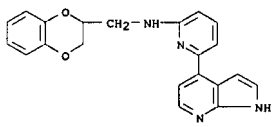
OTHER SOURCE(S): MARPAT 146:27814
GI

L8 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I II

AB The invention relates to compds. of formula I, which are useful as inhibitors of protein kinases, particularly of JAK family and ROCK family kinases. The invention also provides pharmaceutically acceptable compns. comprising said compds. and methods of using the compns. in the treatment of various disease, conditions, or disorders. Compds. of formula I wherein Q is a (un)substituted (un)saturated 3- to 8-membered (hetero)monocyclic ring and (un)saturated 8- to 12-membered (hetero)bicyclic ring; Z is a bond, NH, C1-3 alkylamine, and C=CH2; R1 and R2 are independently (un)substituted C1-2 alkyl; R3 is H, Cn, NO2, (un)substituted C1-6 aliphatic; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by cross-coupling of 4-bromo-1-tosyl-1H-[2,3-b]pyridine with 3-dimethylaminophenylboronic acid derivative All the invention compds. were evaluated for their JAK and ROCK kinase inhibitory activity. From the kinase inhibition assay, it was determined that compound II exhibited Ki values of less than 0.5 μM against JAK2, JAK3 and ROCK-I.
IT 916172-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridines as inhibitors of protein kinase useful in the treatment of various diseases)
RN 916172-58-2 CAPLUS
CN 2-Pyridinamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-6-(1H-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)



L8 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

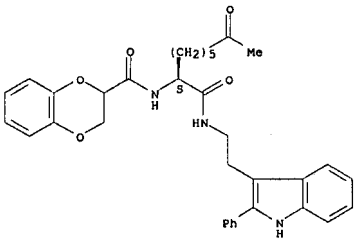
L8 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:54368 CAPLUS
DOCUMENT NUMBER: 144:150635
TITLE: Preparation of amino acid amide derivatives as inhibitors of histone deacetylase
INVENTOR(S): Chakravarty, Prasun K.; Colletti, Steven L.; Ingenito, Raffaele; Jones, Philip; Meinke, Peter T.; Muraglia, Ester; Petrocchi, Alessia; Rowley, Michael; Scarpelli, Rita; Steinkuhler, Christian
PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare p Angeletti S.p.A., Italy; Merck & Co. Inc.
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005941	A1	20060119	WO 2005-GB2729	20050711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005261487	A1	20060119	AU 2005-261487	20050711
CA 2573378	A1	20060119	CA 2005-2573378	20050711
EP 1768955	A1	20070404	EP 2005-759671	20050711
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN00569	A	20070817	IN 2007-DN569	20070122
PRIORITY APPLN. INFO.:			US 2004-587177P P	20040712
			US 2004-610707P P	20040917
			WO 2005-GB2729 W	20050711

OTHER SOURCE(S): MARPAT 144:150635
AB The invention relates to compds. R1(CH2)0-3NR5COCH[NR4-X-(CH2)0-3R3](CH2)3-6COR2 [X is CH2, CO, SO2, CONH, CO2, C(S)NH or CONHSO2; R1 is (un)substituted carbalkoxy, amino groups, aryl, aryloxy, cycloalkyl, aryl or heterocyclyl; R2 is H, (un)substituted alkyl, carbamoyl, CF3, cycloalkyl, aryl or heterocyclyl; R3 is H, CF3, oxo, OH, CN, halo, amino groups, (un)substituted carboxylic ester, acyl, sulfonyl groups, etc.; R4 is H or alkyl; R5 is H or together with R1(CH2)0-3N forms (un)substituted

L8 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
piperazinyl) that are inhibitors of histone deacetylase (HDAC) and are useful for treating cancer, neurodegenerative diseases, schizophrenia, stroke and other diseases. Thus, (2S)-2-[[(5-methoxy-2-methyl-1H-indol-3-yl)acetyl]amino]-8-oxo-N-[2-(2-phenyl-1H-indol-3-yl)ethyl]nonanamide was prepd. by a multistep sequence involving reactions of Me 8-oxononanoate, ethylenediol, (S)-(-)-4-benzyl-2-oxazolidinone, 2-(2-phenyl-1H-indol-3-yl)ethanaminium chloride, and 5-methoxy-2-methyl-3-indolylacetic acid. Compds. of the invention were found to have HDAC inhibitory activity (IC50 < 30 μM).
IT 874154-63-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide derivs. as inhibitors of histone deacetylase)
RN 874154-63-9 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 2,3-dihydro-N-[(1S)-7-oxo-1-[[[2-(2-phenyl-1H-indol-3-yl)ethyl]amino]carbonyl]octyl]- (CA INDEX NAME)

Absolute stereochemistry.

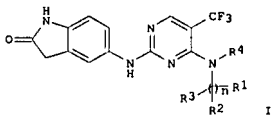


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1219890 CAPLUS
DOCUMENT NUMBER: 143:460182
TITLE: Preparation of pyrimidine derivatives for the treatment of abnormal cell growth
INVENTOR(S): Kath, John Charles; Luzzio, Michael Joseph
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 68 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

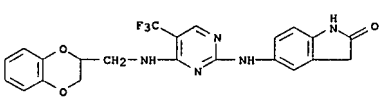
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256145	A1	20051117	US 2005-127809	20050512
US 7109337	B2	20060919		
AU 2005243397	A1	20051124	AU 2005-243397	20050502
CA 2566707	A1	20051124	CA 2005-2566707	20050502
WO 2005111023	A1	20051124	WO 2005-IB1201	20050502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751143	A1	20070214	EP 2005-732043	20050502
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1953974	A	20070425	CN 2005-80015530	20050502
NL 1029045	A1	20051115	NL 2005-1029045	20050513
NL 1029045	C2	20060602		
US 2006205945	A1	20060914	US 2006-432920	20060511
NL 1031845	A1	20060731	NL 2006-1031845	20060519
NL 1031845	C2	20061123		
US 2006281774	A1	20061214	US 2006-506689	20060817
NO 2006004576	A	20061107	NO 2006-4576	20061009
IN 2006DN05926	A	20070713	IN 2006-DN5926	20061011
MX 2006PA11890	A	20061214	MX 2006-PA11890	20061013
KR 2007012477	A	20070125	KR 2006-723767	20061113
PRIORITY APPLN. INFO.:			US 2002-435670P P	20021220
			US 2003-500742P P	20030905
			US 2004-571312P P	20040514
			US 2003-733215 A1	20031211
			WO 2005-IB1201 W	20050502
			US 2005-127809 A3	20050512

L8 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 143:460182
GI



I

AB Title compds. I [n = 1-3; R1 = H, OH, alkyl, etc.; R2 = H, alk(en/yn)yl, cycloalkyl, etc. and R1 and R2 may be taken together with the atom to which they are attached to form a cyclic group; R3 = H, aryl, heteroaryl, etc.] are prepared For instance, (R)-5-([4-(1-Phenylethylamino)-5-trifluoromethylpyrimidin-2-yl]amino]-1,3-dihydroindol-2-one is prepared from 5-((4-Chloro-5-trifluoromethylpyrimidin-2-yl)amino)-1,3-dihydroindol-2-one and (R)-(+)-1-phenethylamine. I are useful for the treatment of abnormal cell growth [no data].
IT 717907-07-8P, 5-[[4-[(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)amino]-5-trifluoromethylpyrimidin-2-yl]amino]-1,3-dihydroindol-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. for treatment of abnormal cell growth)
RN 717907-07-8 CAPLUS
CN 2H-Indol-2-one, 5-[[4-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1004705 CAPLUS
DOCUMENT NUMBER: 143:306169
TITLE: Indole-2-carboxylic acid hydrazides
INVENTOR(S): Bradley, Stuart Edward; Jeevaratnam, Revathy Perpetua;
Krulle, Thomas Martin; Procter, Martin James; Rowley, Robert John; Thomas, Gerard Hugh; Valdes, Ana
PATENT ASSIGNEE(S): Prosidion Limited, UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

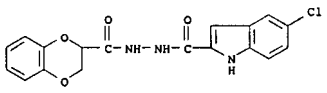
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085194	A2	20050915	WO 2005-GB872	20050308
WO 2005085194	A3	20060105		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1768957	A2	20070404	EP 2005-717940	20050308
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007527903	T	20071004	JP 2007-502386	20050308
PRIORITY APPLN. INFO.:			US 2004-551255P P	20040308
			WO 2005-GB872 W	20050308

OTHER SOURCE(S): CASREACT 143:306169; MARPAT 143:306169
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

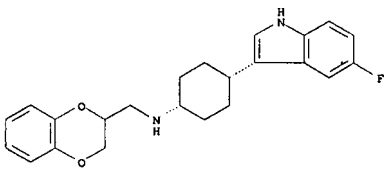
AB Compds. of formula I [wherein Y = -C(O)-, -S(O)2-, or -C(NH)-; Z = C1-4alkylene, O, -(CH2)mO-, -O(CH2)m, etc. (m = 1-4); R1, R2 = independently halogen, hydroxym cyano, etc.; R3 = CO-4alkyl, C1-4alkoxyC1-3alkyl-, hydroxyC1-4alkyl, etc.; R4 = H, -COOCO-4alkyl, C1-4alkyl, etc.] or pharmaceutically acceptable salts thereof, were prepared as inhibitors of glycogen phosphorylase. Thus, a solution of

L8 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
5-chloro-1H-indole-2-carboxylic acid hydrazide (II) in 1,4-dioxane was treated with phenylmethanesulfonyl chloride and DIPEA for 16H at room temp. to provide 5-chloro-1H-indole-2-carboxylic acid N'-(phenylmethanesulfonyl)hydrazide (III). Compds. of formula I are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia, e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth.
IT 864658-90-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-2-carboxylic acid hydrazides as inhibitors of glycogen phosphorylase)
RN 864658-90-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]hydrazide (CA INDEX NAME)



L8 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:86370 CAPLUS
DOCUMENT NUMBER: 142:309195
TITLE: Studies towards the next generation of antidepressants. Part 4: Derivatives of 4-(5-fluoro-1H-indol-3-yl)cyclohexylamine with affinity for the serotonin transporter and the 5-HT1A receptor
AUTHOR(S): Evrard, Deborah A.; Zhou, Ping; Yi, Soo Y.; Zhou, Dahui; Smith, Deborah L.; Sullivan, Kelly M.; Hornby, Geoffrey A.; Schechter, Lee E.; Andree, Terrance H.; Mewshaw, Richard E.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(4), 911-914
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:309195
AB Derivs. of the serotonin reuptake inhibitor 4-(5-fluoro-1H-indol-3-yl)cyclohexylamine, in which serotonin 1A (5-HT1A) receptor pharmacophoric elements are incorporated, are reported. Analogs exhibiting affinity for both the serotonin transporter and the 5-HT1A receptor are described. Compds. containing 1-(4-indolyl)piperazine and 2-(1H-indol-4-yloxy)ethylamine are promising leads for further SAR studies.
IT 848072-02-6P 848072-03-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Studies towards the next generation of antidepressants, derivs. of cyclohexylamine with affinity for the serotonin transporter)
RN 848072-02-6 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[cis-4-(5-fluoro-1H-indol-3-yl)cyclohexyl]-2,3-dihydro- (CA INDEX NAME)

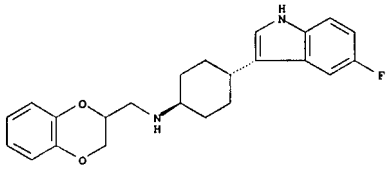
Relative stereochemistry.



RN 848072-03-7 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[trans-4-(5-fluoro-1H-indol-3-yl)cyclohexyl]-2,3-dihydro- (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004106298	A1	20041209	WO 2003-EP305789	20030530
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AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP5789 A 20030530
WO 2003-EP305789 A 20030530
WO 2004-EP50922 W 20040526

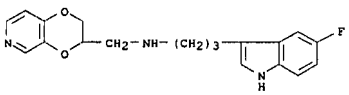
OTHER SOURCE(S): MARPAT 142:38264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L8 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production
IT 805232-67-1P 805232-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)
RN 805232-67-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805230-16-4
CMF C19 H20 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-71-7 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

L8 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
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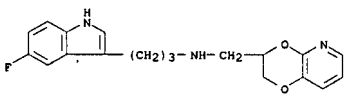


CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106298	A1	20041209	WO 2003-EP5789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
AT 367392	T	20070815	AT 2004-741649	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP305789 A 20030530
WO 2003-EP5789 A 20030530
WO 2004-EP50922 W 20040526

OTHER SOURCE(S): MARPAT 142:38263
GI

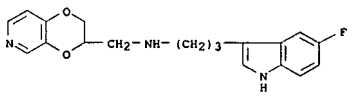
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 =

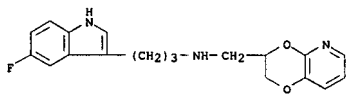
L8 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addn. salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their prodn.

IT 805230-16-4P 805230-20-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)

RN 805230-16-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-20-0 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)



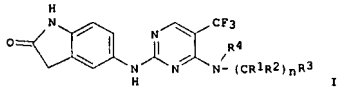
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:546498 CAPLUS
DOCUMENT NUMBER: 141:106485
TITLE: Preparation of pyrimidine derivatives for treatment of abnormal cell growth
INVENTOR(S): Kath, John Charles; Luzzio, Michael Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056807	A1	20040708	WO 2003-IB5883	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2529611	A1	20040708	CA 2003-2529611	20031208
AU 2003285614	A1	20040714	AU 2003-285614	20031208
EP 1625121	A1	20060215	EP 2003-778613	20031208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005009853	A1	20050113	US 2003-733215	20031211
US 7109335	B2	20060919		
NL 1025067	A1	20040622	NL 2003-1025067	20031218
NL 1025067	C2	20050215		
NZ 543719	A	20070126	NZ 2004-543719	20041208
MX 2006PA02608	A	20070123	MX 2006-PA2608	20060306
IN 2006DN01255	A	20070803	IN 2006-DN1255	20060308
NO 2006001533	A	20060524	NO 2006-1533	20060404
US 2006281774	A1	20061214	US 2006-506689	20060817
PRIORITY APPLN. INFO.:			US 2002-435670P	P 20021220
			US 2003-500742P	P 20030905
			WO 2003-IB5883	W 20031208
			US 2003-733215	A1 20031211

OTHER SOURCE(S): MARPAT 141:106485
GI

L8 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

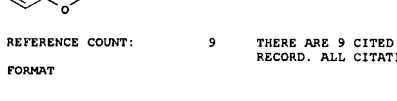


I

AB Pyrimidine derivs. I (R1 = H, OH, alkyl, cycloalkyl, amino, etc.; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, et.; R3 = H, aryl, heteroaryl, etc.; R4 = H, alkyl, cycloalkyl, heterocyclyl, etc.; n = 1, 2, 3), useful for treatment of abnormal cell growth, such as cancer, are prepared Thus, reaction of 5-(4-chloro-5-trifluoromethylpyrimidin-2-ylamino)-1,3-dihydroindol-2-one with (R)-(+)-α-phenethylamine in DCE/t-BuOH in the presence of diisopropylethylamie at 80° for 16 h gave 11% 5-[4-(R-1-phenylethylamino)-5-trifluoromethylpyrimidin-2-ylamino]-1,3-dihydroindol-2-one.

IT 717907-07-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. for treatment of abnormal cell growth)

RN 717907-07-8 CAPLUS
CN 2H-Indol-2-one, 5-[[4-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)



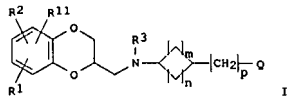
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:252509 CAPLUS
DOCUMENT NUMBER: 140:287394
TITLE: Preparation of antidepressant cycloalkylamine derivatives of 2,3-dihydro-1,4-benzodioxane
INVENTOR(S): Evrard, Deborah Ann; Shah, Uresh Shantilal; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

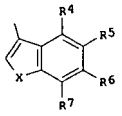
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024723	A1	20040325	WO 2003-US28296	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004127543	A1	20040701	US 2003-659193	20030910
US 7041697	B2	20060509		
CA 2498010	A1	20040325	CA 2003-2498010	20030911
AU 2003267082	A1	20040430	AU 2003-267082	20030911
EP 1537103	A1	20050608	EP 2003-749557	20030911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014280	A	20050726	BR 2003-14280	20030911
CN 1681807	A	20051012	CN 2003-821666	20030911
JP 2006503037	T	20060126	JP 2004-536411	20030911
MX 2005PA02740	A	20050603	MX 2005-PA2740	20050311
US 2006148881	A1	20060706	US 2006-373666	20060310
US 2006160881	A1	20060720	US 2006-372716	20060310
PRIORITY APPLN. INFO.:			US 2002-410169P	P 20020912
			US 2003-659193	A 20030910
			WO 2003-US28296	W 20030911

OTHER SOURCE(S): MARPAT 140:287394
GI

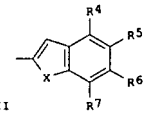
L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



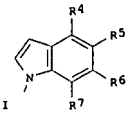
I



II



III



IV

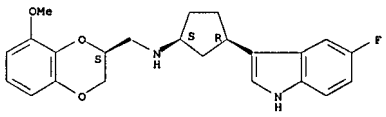
AB The title compds. (I; R11, R1, R2 = H, halo, CN, carboxamido, etc.; R3 = H, alkyl; m = 1-3; n = 1-2; p = 0-3 (with the proviso that when p = 0, both m and n may not be 2); Q = II-IV (R4-R7 = H, halo, CN, etc.; X = NR8, O, S; R8 = H, alkyl)] useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2R)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl 4-methylbenzenesulfonate with cis-3-(5-fluoro-1H-indol-3-yl)cyclopentylamine (preparation given) in DMSO afforded 48% N-[(cis)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl}amine. The latter was separated into two diastereoisomers and biol. data (5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors were tested) were given for the mixture and both separated isomers. The pharmaceutical composition comprising the compound I is claimed.

IT 675831-47-7P 675831-48-8P 675831-49-9P
675831-50-2P 675831-51-3P 675831-52-4P
675831-53-5P 675831-54-6P 675831-55-7P
675831-56-8P 675831-57-9P 675831-58-0P
675831-59-1P 675831-60-4P 675831-75-1P
675831-76-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant cycloalkylamine derivs. of 2,3-dihydro-1,4-benzodioxane)

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

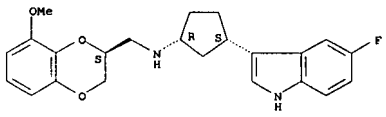
RN 675831-47-7 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



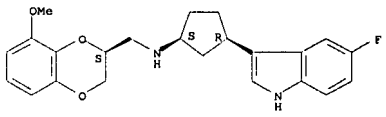
RN 675831-48-8 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 675831-49-9 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

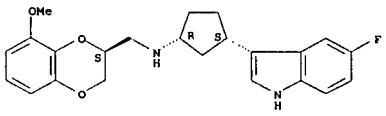


● HCl

RN 675831-50-2 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

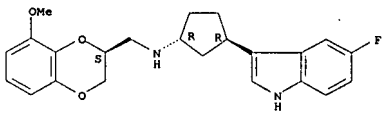
Absolute stereochemistry. Rotation (-).



● HCl

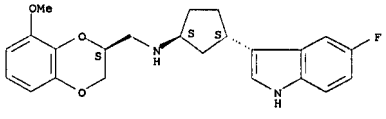
RN 675831-51-3 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 675831-52-4 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

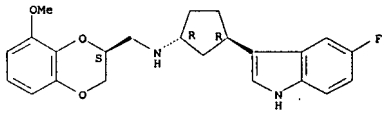
Absolute stereochemistry.



RN 675831-53-5 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



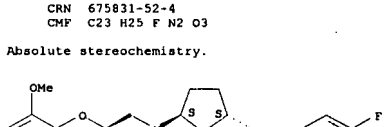
●x HCl

RN 675831-54-6 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 675831-52-4
CMF C23 H25 F N2 O3

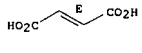
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

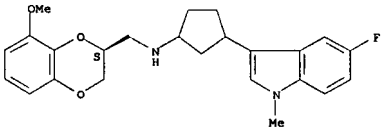
Double bond geometry as shown.



RN 675831-55-7 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

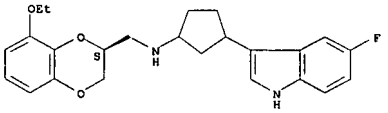
L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 675831-56-8 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

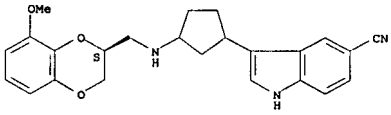
Absolute stereochemistry.



● HCl

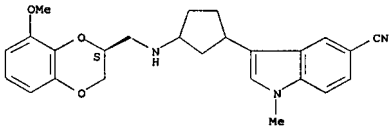
RN 675831-57-9 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-58-0 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-

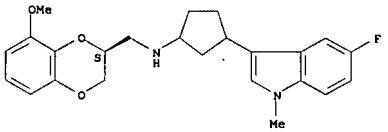
L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

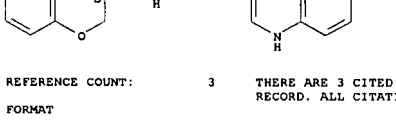
RN 675831-75-1 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, N-[3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-76-2 CAPLUS
CN 1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

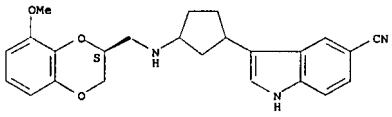


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzodioxin-2-yl]methyl]amino]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

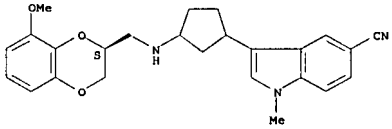
Absolute stereochemistry.



● HCl

RN 675831-59-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-60-4 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

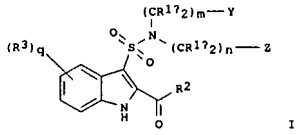
ACCESSION NUMBER: 2004:142899 CAPLUS
DOCUMENT NUMBER: 140:181323
TITLE: Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors
INVENTOR(S): Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014300	A2	20040219	WO 2003-US24393	20030805
WO 2004014300	A3	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493575	A1	20040219	CA 2003-2493575	20030805
AU 2003257170	A1	20040225	AU 2003-257170	20030805
EP 1534268	A2	20050601	EP 2003-784904	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504668	T	20060209	JP 2004-527739	20030805
US 2006128783	A1	20060615	US 2005-523286	20050203

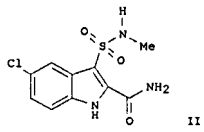
PRIORITY APPLN. INFO.: US 2002-402482P P 20020809
WO 2003-US24393 W 20030805

OTHER SOURCE(S): CASREACT 140:181323; MARPAT 140:181323
GI

L8 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



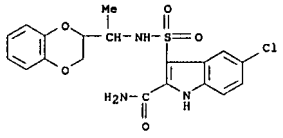
II

AB Title compds. I (wherein R1a, R1b = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocyclyl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)oR4, S(O)oNH2 and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or Insulin receptor kinase with an IC50 ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.

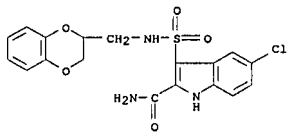
IT 660414-09-5P, 5-Chloro-3-[[[1-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino]sulfonyl]-1H-indole-2-carboxamide 660414-20-0P, 5-Chloro-3-[[(2,3-dihydro-1,4-benzodioxin-2-ylmethyl)amino]sulfonyl]-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L8 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(IGF-1R inhibitor; prepn. of indolesulfonamides as tyrosine kinase inhibitors)

RN 660414-09-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[[1-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino]sulfonyl]- (CA INDEX NAME)



RN 660414-20-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]sulfonyl]- (CA INDEX NAME)



L8 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:877309 CAPLUS
DOCUMENT NUMBER: 140:138730
TITLE: Synthesis and biological activity of N-Acylated ornithine analogues of daptomycin
AUTHOR(S): Hill, Jason; Siedlecki, James; Parr, Ian; Morytko, Michael; Yu, Xiang; Zhang, Yanzhi; Silverman, Jared; Controneo, Nicole; Laganas, Valerie; Li, Tongchuan; Lai, Jan-Ji; Keith, Dennis; Shimer, George; Finn, John
CORPORATE SOURCE: Cubist Pharmaceuticals Inc., Lexington, MA, 02421, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4187-4191
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

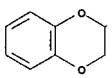
AB N-Acylated ornithine analogs of daptomycin were synthesized and tested for their antibacterial efficacy.

IT 345643-51-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and structure-activity of N-Acylated ornithine analogs of daptomycin as antibacterial agents)

RN 345643-51-8 CAPLUS
CN Daptomycin, 6-[N5-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

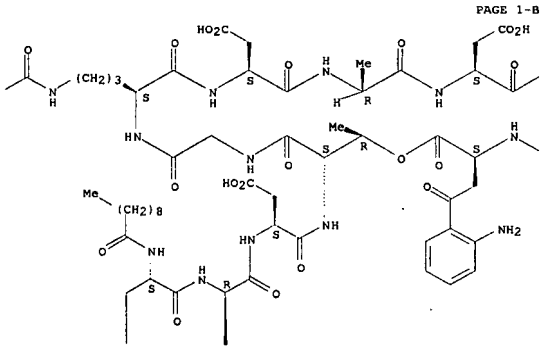
Absolute stereochemistry.

PAGE 1-A

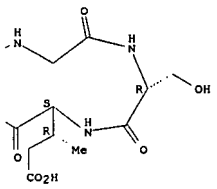


L8 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B

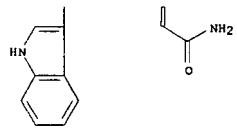


PAGE 1-C



L8 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 2-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

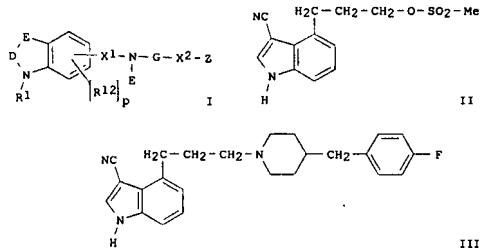
L8 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:837073 CAPLUS
DOCUMENT NUMBER: 139:337888
TITLE: Preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases
INVENTOR(S): Schadt, Oliver; Boettcher, Henning; Leibrock, Joachim; Schiemann, Kai; Heinrich, Timo; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087086	A2	20031023	WO 2003-EP3806	20030411
WO 2003087086	A3	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10217006	A1	20031106	DE 2002-10217006	20020416
CA 2482655	A1	20031023	CA 2003-2482655	20030411
AU 2003224064	A1	20031027	AU 2003-224064	20030411
EP 1497279	A2	20050119	EP 2003-720455	20030411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005523310	T	20050804	JP 2003-584042	20030411
US 2005153980	A1	20050714	US 2004-511155	20041014
PRIORITY APPLN. INFO.:			DE 2002-10217006 A	20020416
			WO 2003-EP3806 W	20030411

OTHER SOURCE(S): MARPAT 139:337888
GI

L8 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

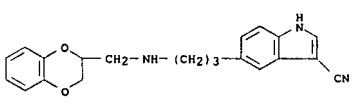
II

III

AB Title compds. I [R1 = H, A, SO2A; A = alkyl, alkoxyalkyl; D-E = R2C=CR4, R2R3C-CR4R5; R2, R3, R4, R5 = H, A, cycloalkyl, etc.; X1 = (CHR7)g, (CHR7)h-Q-(CHR8)k; Q = O, S, NR6, etc.; R6 = H, A, cycloalkyl; R7, R8, R12 = definition as given for R2-R5; g = 1-6; h, k = 0-6; p = 0-3; E = H, A, cycloalkyl, etc.; G = (un)substituted alkylene; E and G together form (un)substituted mono or bicyclic heterocycle; X2 = definition as given for X1; Z = H, (un)substituted aromatic carbocyle] and their pharmaceutically acceptable salts and formulations were prepared For example, N-alkylation of 4-(4-fluorobenzyl)piperideine with methanesulfonic ester II, e.g., prepared from indole-4-carboxylic acid Me ester in 7-steps, afforded the hydrochloride salt of indole-3-carbonitrile III after work-up. Compds. I are claimed useful as excitatory amino acid antagonists (no data provided) and as 5-HT reuptake inhibitors.

IT 615569-64-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases)

RN 615569-64-7 CAPLUS
CN 1H-Indole-3-carbonitrile, 5-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)



L8 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L8 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:453092 CAPLUS
DOCUMENT NUMBER: 135:61555
TITLE: Preparation of lipopeptides as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044274	A1	20010621	WO 2000-US34205	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394350	A1	20010621	CA 2000-2394350	20001215
BR 2000016467	A	20020827	BR 2000-16467	20001215
EP 1246838	A1	20021009	EP 2000-991867	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003517480	T	20030527	JP 2001-544763	20001215
US 2004067878	A1	20040408	US 2000-737908	20001215
IN 2000CA00688	A	20050311	IN 2000-CA688	20001215
AU 784812	B2	20060629	AU 2001-36357	20001215
NO 2002002887	A	20020812	NO 2002-2887	20020617
MX 2002PA06030	A	20040823	MX 2002-PA6030	20020617
ZA 2002005108	A	20031117	ZA 2002-5108	20020625
PRIORITY APPLN. INFO.:			US 1999-170946P P	19991215
			US 2000-208222P P	20000530
			WO 2000-US34205 W	20001215

OTHER SOURCE(S): MARPAT 135:61555
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl,

L8 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

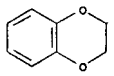
alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepd. for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) ≤ 1 μg/mL.

IT 345643-51-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lipopeptides as antibacterial agents)

RN 345643-51-8 CAPLUS
CN Daptomycin, 6-[N5-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

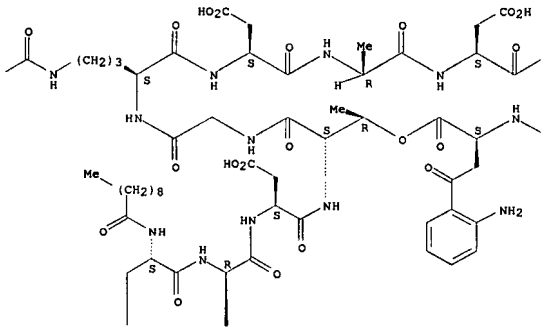
Absolute stereochemistry.

PAGE 1-A



L8 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B



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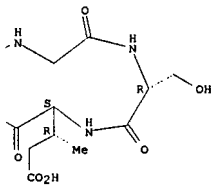
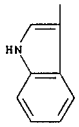


L8 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 2-B

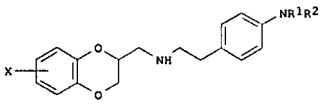


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:707157 CAPLUS
DOCUMENT NUMBER: 133:266860
TITLE: Preparation of N-[2-(4-Aminophenyl)ethyl]-2,3-dihydro-1,4-benzodioxin-2-methanamine derivatives and their affinity for D3, D2, and 5-HT1A receptors
INVENTOR(S): Almario Garcia, Antonio; De Peretti, Daniele; Evanno, Yannick; Gibert, Jean-Francois; Peynot, Michel; Renones, Maria-Carmen; Roy, Jocelyne
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058301	A1	20001005	WO 2000-FR762	20000327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2791675	A1	20001006	FR 1999-3936	19990330
FR 2791675	B1	20010504		
PRIORITY APPLN. INFO.:			FR 1999-3936 A	19990330

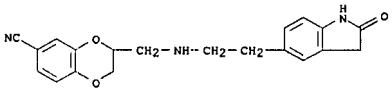
OTHER SOURCE(S): MARPAT 133:266860
GI



I

AB Title compds. I [X = H, halo, cyano, Me, methoxy, phenylmethoxy group; R1 = H, Me; R2 = alkanoyl, phenylalkanoyl, methoxyacetyl, cycloalkylcarbonyl, optionally substituted benzoyl, N-phenylcarbamoyl, N-alkylcarbamoyl, N-(2-methoxyethyl)carbamoyl, alkoxycarbonyl, alkylsulfonyl, phenylsulfonyl group; or NR1R2 = 2-oxo-4,5-dihydrooxazolidin-3-yl] were prepared E.g., N-[4-[2-[[(5-fluoro-2,3-dihydro-1,4-benzodioxin-2-

L8 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl)methyl]amino]ethyl]phenyl]benzamide was prepd. by reaction of (5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl tosylate (prepn. given) and N-[4-(2-aminoethyl)phenyl]benzamide (prepn. given). Their affinities for D3, D2, and 5-HT1A receptors were detd.
IT 298708-60-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(aminophenyl)ethyl]dihydro-1,4-benzodioxin-2-methanamine derivs. and their affinity for D3, D2, and 5-HT1A receptors)
RN 298708-60-8 CAPLUS
CN 1,4-Benzodioxin-6-carbonitrile, 3-[[[2-(2,3-dihydro-2-oxo-1H-indol-5-yl)ethyl]amino]methyl]-2,3-dihydro- (CA INDEX NAME)



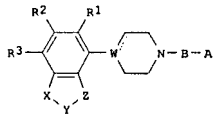
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:513686 CAPLUS
DOCUMENT NUMBER: 133:120348
TITLE: Preparation of piperidine, tetrahydropyridine and piperazine derivatives as serotonin re-uptake inhibitors and 5-HT1A antagonists
INVENTOR(S): Moltzen, Ejner Knud; Krog-Jensen, Christian; Bjornholm, Berith
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043382	A1	20000727	WO 2000-DK26	20000121
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361059	A1	20000727	CA 2000-2361059	20000121
EP 1149087	A1	20011031	EP 2000-901481	20000121
EP 1149087	B1	20040407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009007	A	20011127	BR 2000-9007	20000121
TR 200102089	T2	20020722	TR 2001-2089	20000121
HU 2001005065	A2	20020729	HU 2001-5065	20000121
JP 2002535322	T	20021022	JP 2000-594798	20000121
AU 767377	B2	20031106	AU 2000-22781	20000121
AT 263763	T	20040415	AT 2000-901481	20000121
ZA 2001005548	A	20020705	ZA 2001-5548	20010705
US 2002035113	A1	20020321	US 2001-901585	20010709
US 6596722	B2	20030722		
IN 2001CN00981	A	20050304	IN 2001-CN981	20010711
MX 2001PA07226	A	20020424	MX 2001-PA7226	20010716
NO 2001003538	A	20010917	NO 2001-3538	20010717
BG 105781	A	20020531	BG 2001-105781	20010803
US 2002173512	A1	20021121	US 2002-147950	20020516
PRIORITY APPLN. INFO.:			DK 1999-84 A	19990122
			WO 2000-DK26 W	20000121
			US 2001-901585 A3	20010709

OTHER SOURCE(S): MARPAT 133:120348
GI

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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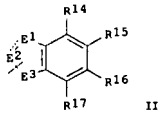

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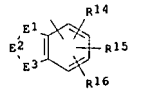

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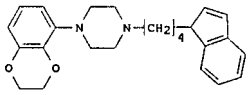
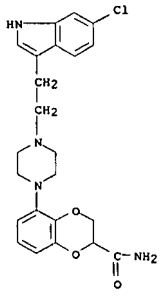


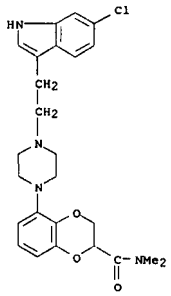
IV

AB The title compds. [I; B = alkylene, alkenylene, alkynylene; X = O, S, CR4R5; Y CR6R7, CR6R7CR8R9, CR6:CR7; X and Y together form a group CR4:CR5, CR4:CR5CR6R7; Z = O, S; W = N, C, CH; the dotted line is an optional bond; R4-R9 = H, halo, CF3, etc.; A = II, III (wherein E1-E3 = O, S, N, etc.; provided that E2 and E1 and/or E3 may not simultaneously be O, or S; R14-R17 = H, halo, CF3, etc.); R1-R3 = H, halo, CF3, etc.] and their acid addition salts, useful for the treatment of affective disorders, such as depression, psychosis, anxiety disorders including general anxiety disorder, panic disorder, obsessive compulsive disorder, and eating disorders, were prepared Thus, reacting 4-(1-indenyl)butyl methanesulfonate with 1-(1,4-benzodioxan-5-yl)piperazine in the presence of K2CO3 in 3-methyl-2-pentanone followed by conversion of the free base to its oxalate afforded IV.oxalate which showed IC50 of 1.7 nM against 3H-5-CT binding.
IT 285999-83-9P 285999-84-0P 285999-85-1P
285999-86-2P 285999-87-3P 285999-92-0P
285999-93-1P 285999-94-2P 285999-95-3P
285999-96-4P 286000-01-9P 286000-02-0P
286000-03-1P 286000-04-2P 286000-05-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine, tetrahydropyridine and piperazine derivs. as serotonin re-uptake inhibitors and 5-HT1A antagonists)
RN 285999-83-9 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

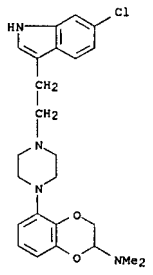


RN 285999-84-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

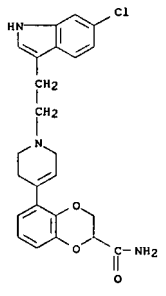


RN 285999-85-1 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

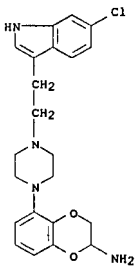


RN 285999-92-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro- (CA INDEX NAME)

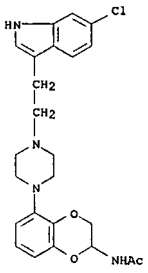


RN 285999-93-1 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

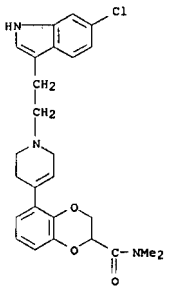


RN 285999-86-2 CAPLUS
CN Acetamide, N-[5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro-1,4-benzodioxin-2-yl]- (CA INDEX NAME)

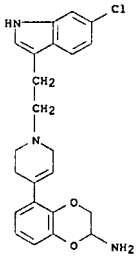


RN 285999-87-3 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

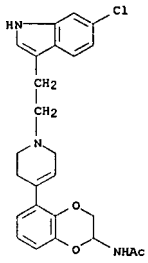


RN 285999-94-2 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro- (CA INDEX NAME)

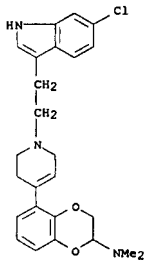


RN 285999-95-3 CAPLUS
CN Acetamide, N-[5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro-1,4-benzodioxin-2-yl]- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

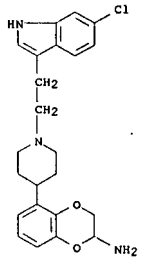


RN 285999-96-4 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

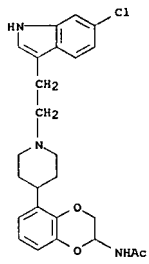


RN 286000-01-9 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

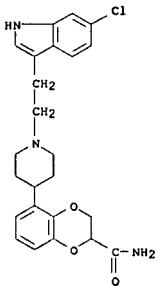


RN 286000-04-2 CAPLUS
CN Acetamide, N-[5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro-1,4-benzodioxin-2-yl]- (CA INDEX NAME)

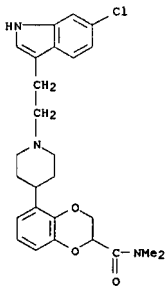


RN 286000-05-3 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

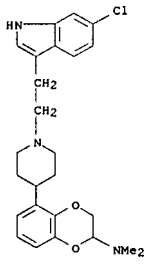


RN 286000-02-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)



RN 286000-03-1 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:300618 CAPLUS
DOCUMENT NUMBER: 129:4651
TITLE: Preparation of indolealkyl derivatives of benzodioxanmethylamine as antidepressants and antipsychotic agents
INVENTOR(S): Kang, Young H.; Stack, Gary P.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRIORITY APPLN. INFO.:			US 1996-739912	19961030

OTHER SOURCE(S): MARPAT 129:4651
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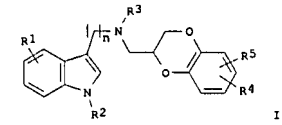

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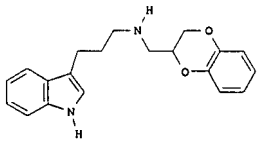


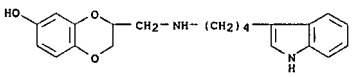
II

AB The title compds. [I; R1, R4, R5 = H, alkyl, alkoxy, etc.; R1 is defined as above and R4R5 are ortho substituted methylenedioxy, ethylenedioxy, or propylenedioxy; R2, R3 = H, alkyl; n = 3-4) and their pharmaceutically acceptable salts, useful in the treatment of depression and related disorders, were prepared Thus, reaction of 3-indolepropionic acid with

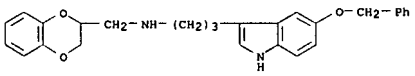
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2,3-dihydro-1,4-benzodioxin-2-methanamine.HCl in the presence of 1-hydroxybenzotriazole and 1,3-diisopropylcarbodiimide in DMF followed by treatment of the resulting amide with LiAlH4 in THF afforded the title compd. II which showed IC50 of 3.50 nM against D2 receptor binding and IC50 of 3.77 nM against 5-HT1A receptor binding.

IT 191012-95-0P 191012-96-1P 191012-97-2P
191012-98-3P 191012-99-4P 191013-01-1P
191013-02-2P 191013-03-3P 191013-04-4P
191013-05-5P 191013-06-6P 191013-07-7P
191013-08-8P 191013-09-9P 191013-10-2P
191013-11-3P 191013-13-5P 191013-14-6P
191013-15-7P 191013-18-0P 191013-19-1P
191013-20-4P 191013-21-5P 191013-22-6P
191013-23-7P 191013-24-8P 191013-25-9P
191013-26-0P 191013-27-1P 191013-28-2P
191013-29-3P 191013-30-6P 191013-31-7P
191013-32-8P 191013-33-9P 191013-34-0P
191013-35-1P 191013-36-2P 191013-37-3P
191013-38-4P 191013-39-5P 191013-40-8P
191013-41-9P 191013-42-0P 191013-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)

RN 191012-95-0 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

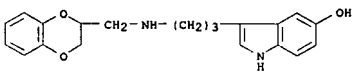


RN 191012-96-1 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

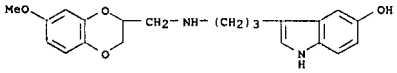


RN 191012-97-2 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

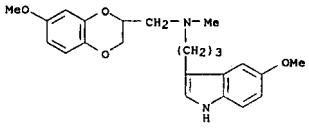
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



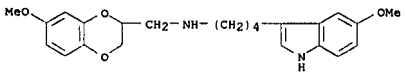
RN 191012-98-3 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)



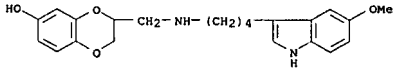
RN 191012-99-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)



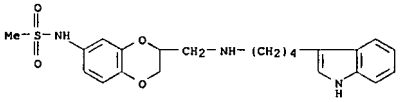
RN 191013-01-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



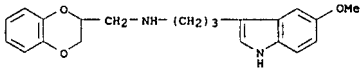
RN 191013-02-2 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)



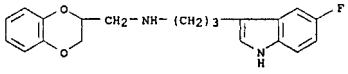
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 191013-03-3 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



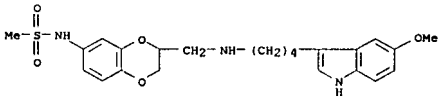
RN 191013-04-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



RN 191013-05-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

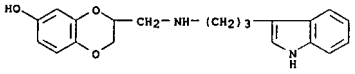


RN 191013-06-6 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

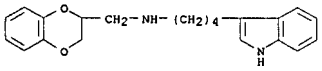


RN 191013-07-7 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

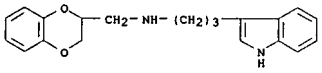
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



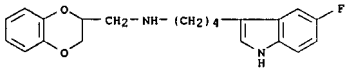
RN 191013-08-8 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA
INDEX NAME)



RN 191013-09-9 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-
(CA INDEX NAME)

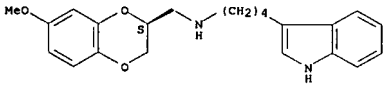


RN 191013-10-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-
fluoro- (CA INDEX NAME)



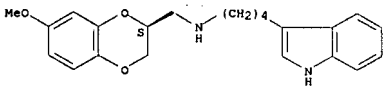
RN 191013-11-3 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-
yl)methyl]-5-fluoro- (CA INDEX NAME)

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



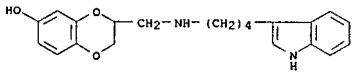
RN 191013-19-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-
yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



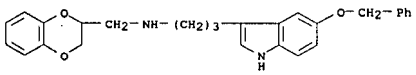
● HCl

RN 191013-20-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-
yl)butyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



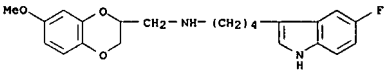
● HCl

RN 191013-21-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-
(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

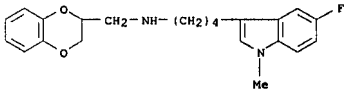


● HCl

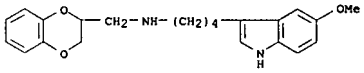
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



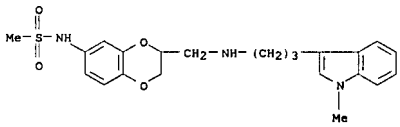
RN 191013-13-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-
fluoro-1-methyl- (CA INDEX NAME)



RN 191013-14-6 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-
methoxy- (CA INDEX NAME)



RN 191013-15-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-
yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



RN 191013-18-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-
yl]methyl]- (CA INDEX NAME)

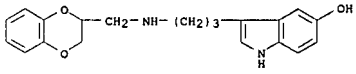
Absolute stereochemistry.

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-22-6 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-
yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA
INDEX NAME)

CM 1

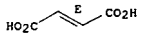
CRN 191012-97-2
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

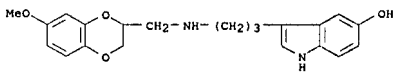
Double bond geometry as shown.



RN 191013-23-7 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-
yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA
INDEX NAME)

CM 1

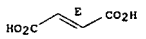
CRN 191012-98-3
CMF C21 H24 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

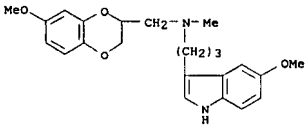


L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

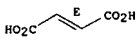
CRN 191012-99-4
CMF C23 H28 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

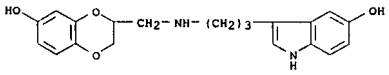
Double bond geometry as shown.



RN 191013-25-9 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

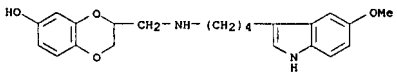
CM 1

CRN 191013-00-0
CMF C20 H22 N2 O4



CM 2

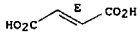
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

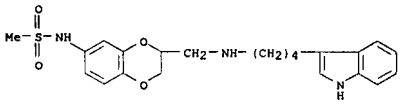
Double bond geometry as shown.



RN 191013-28-2 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

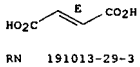
CRN 191013-03-3
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-29-3 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

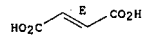
CM 1

CRN 191013-04-4

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

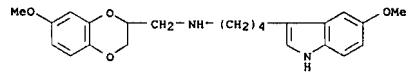
Double bond geometry as shown.



RN 191013-26-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

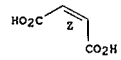
CRN 191013-01-1
CMF C23 H28 N2 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

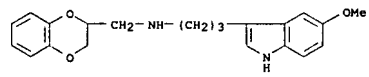


RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-02-2
CMF C22 H26 N2 O4

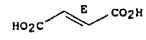
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C21 H24 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

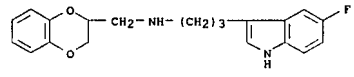
Double bond geometry as shown.



RN 191013-30-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

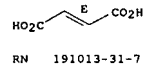
CRN 191013-05-5
CMF C20 H21 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

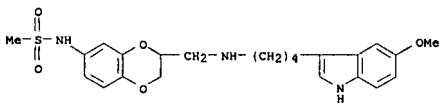


RN 191013-31-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-06-6

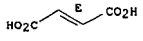
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C23 H29 N3 O5 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

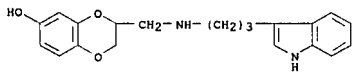
Double bond geometry as shown.



RN 191013-32-8 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

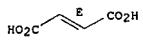
CRN 191013-07-7
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

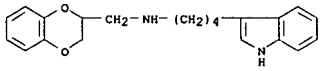


RN 191013-33-9 CAPLUS

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

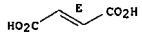
CRN 191013-08-8
CMF C21 H24 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

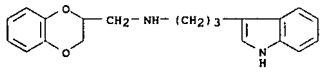
Double bond geometry as shown.



RN 191013-34-0 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-09-9
CMF C20 H22 N2 O2

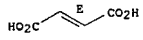


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

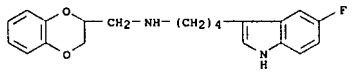
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-35-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

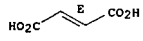
CRN 191013-10-2
CMF C21 H23 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

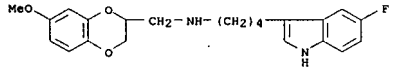
Double bond geometry as shown.



RN 191013-36-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-11-3
CMF C22 H25 F N2 O3

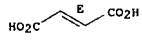


CM 2

CRN 110-17-8
CMF C4 H4 O4

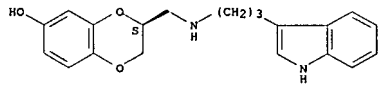
Double bond geometry as shown.

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-37-3 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

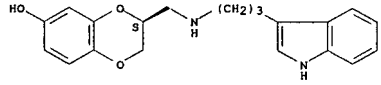


RN 191013-38-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-37-3
CMF C20 H22 N2 O3

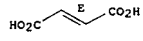
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

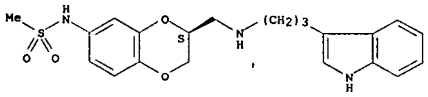
Double bond geometry as shown.



RN 191013-39-5 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

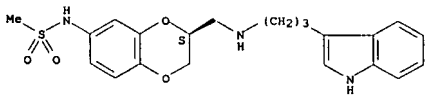


RN 191013-40-8 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-39-5
CMF C21 H25 N3 O4 S

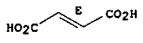
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

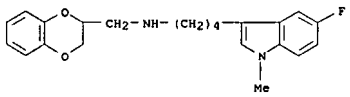


RN 191013-41-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-13-5
CMF C22 H25 F N2 O2

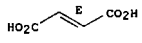
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

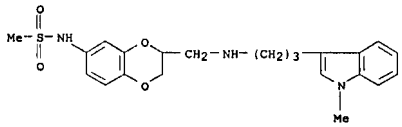
Double bond geometry as shown.



RN 191013-42-0 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

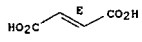
CRN 191013-15-7
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

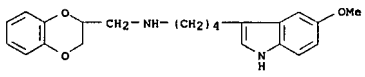


L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-71-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

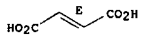
CRN 191013-14-6
CMF C22 H26 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

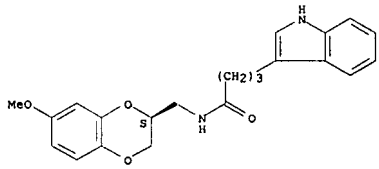


IT 191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-56-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)

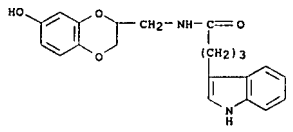
RN 191013-44-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

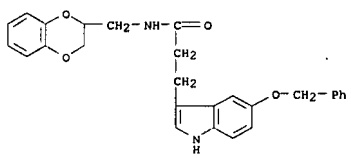
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-45-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

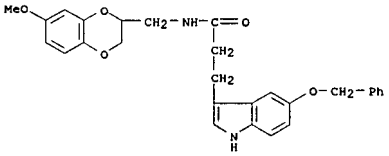


RN 191013-46-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

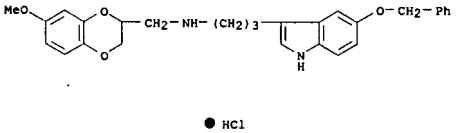


RN 191013-47-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

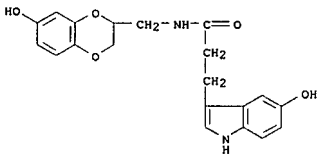


RN 191013-48-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



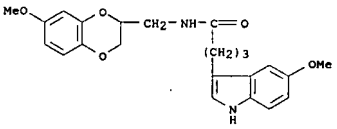
● HCl

RN 191013-49-7 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-hydroxy- (CA INDEX NAME)

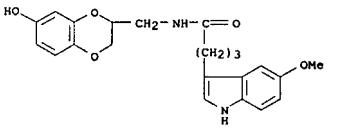


RN 191013-50-0 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

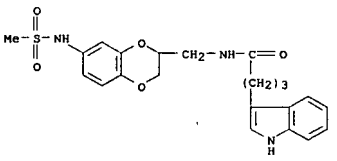
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-51-1 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

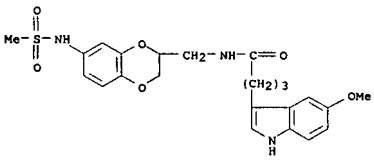


RN 191013-52-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

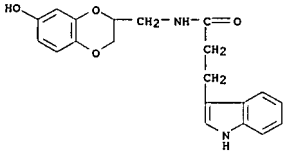


RN 191013-53-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

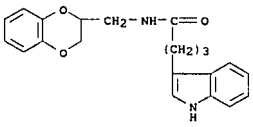
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-54-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

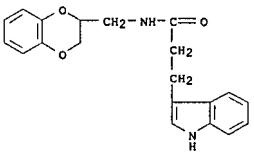


RN 191013-55-5 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA
INDEX NAME)

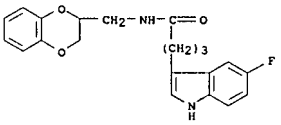


RN 191013-56-6 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

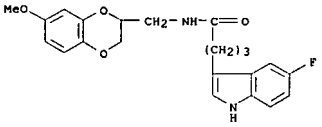
L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-57-7 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



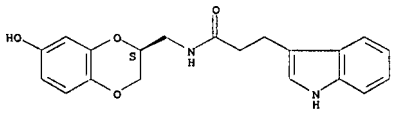
RN 191013-58-8 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



RN 191013-59-9 CAPLUS
CN 1H-Indole-3-propanamide,
N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

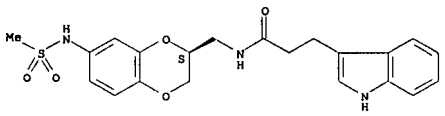
Absolute stereochemistry.

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

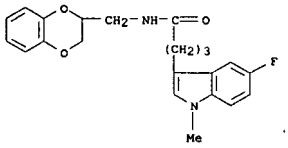


RN 191013-60-2 CAPLUS
CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

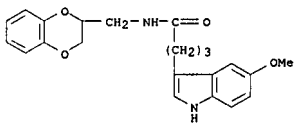


RN 191013-61-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

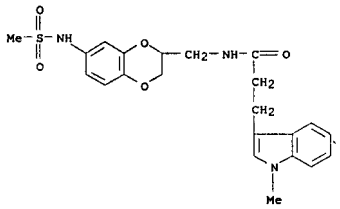


RN 191013-62-4 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-63-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)



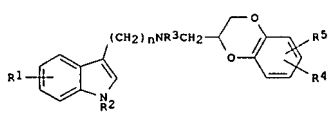
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:429564 CAPLUS
DOCUMENT NUMBER: 127:50651
TITLE: Preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders.
INVENTOR(S): Kang, Young Hee; Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717343	A1	19970515	WO 1996-US17275	19961029
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236678	A1	19970515	CA 1996-2236678	19961029
AU 9675245	A	19970529	AU 1996-75245	19961029
AU 704216	B2	19990415		
EP 861248	A1	19980902	EP 1996-937782	19961029
EP 861248	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9611406	A	19990105	BR 1996-11406	19961029
CN 1205700	A	19990120	CN 1996-199286	19961029
CN 1074414	B	20011107		
JP 2000500136	T	20000111	JP 1997-518222	19961029
HU 9902091	A2	20000228	HU 1999-2091	19961029
HU 9902091	A3	20000328		
IL 124095	A	20011031	IL 1996-124095	19961029
AT 210659	T	20011215	AT 1996-937782	19961029
ES 2166470	T3	20020416	ES 1996-937782	19961029
ZA 9609221	A	19980504	ZA 1996-9221	19961101
TW 498075	B	20020811	TW 1996-85113500	19961105
HK 1015366	A1	20020328	HK 1999-100444	19990202
PRIORITY APPLN. INFO.:			US 1995-7284P P	19951106
			WO 1996-US17275 W	19961029

OTHER SOURCE(S): MARPAT 127:50651
GI



I

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. (I; R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy, OH, halo, CF3, amino, alkanamido, alkanesulfonamido; R4R5 = ortho substituted methylenedioxy, ethylenedioxy, propylenedioxy; R2, R3 = H, alkyl; n = 3, 4), were prepared Thus, 2,3-dihydro-1,4-benzodioxin-2-methanamine hydrochloride was heated with 5-methoxy-3-(3-bromopropyl)indole and diisopropylethylamine in DMF at 80° to give (2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)-3[3-(5-methoxy-1H-indol-3-yl)propyl]amine. The latter showed 5-HT1A receptor affinity with IC50 = 0.10 nM for displacement of [3H]-8-OHDPAT.

IT 191012-94-9P 191012-95-0P 191012-96-1P
191012-97-2P 191012-98-3P 191012-99-4P
191013-00-0P 191013-01-1P 191013-02-2P
191013-03-3P 191013-04-4P 191013-05-5P
191013-06-6P 191013-07-7P 191013-08-8P
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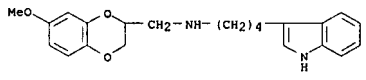
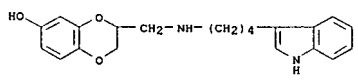
191013-35-1P 191013-36-2P 191013-37-3P
191013-38-4P 191013-39-5P 191013-40-8P
191013-41-9P 191013-42-0P 191013-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders)

RN 191012-94-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

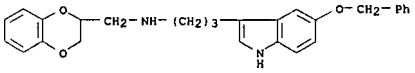


RN 191012-95-0 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

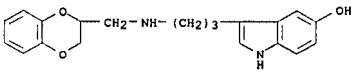


RN 191012-96-1 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-

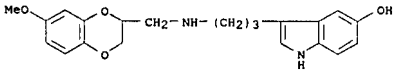
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(phenylmethoxy)- (CA INDEX NAME)



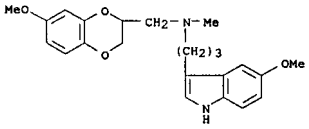
RN 191012-97-2 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)



RN 191012-98-3 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

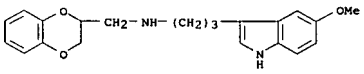


RN 191012-99-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)

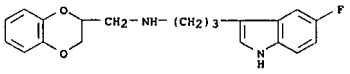


RN 191013-00-0 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

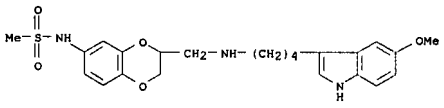
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



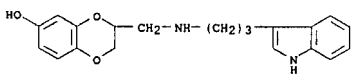
RN 191013-05-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



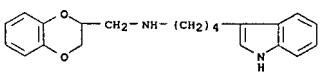
RN 191013-06-6 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



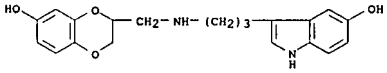
RN 191013-07-7 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)



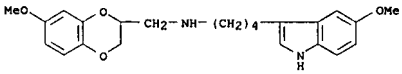
RN 191013-08-8 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (CA
INDEX NAME)



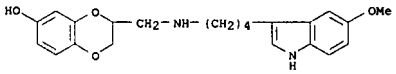
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



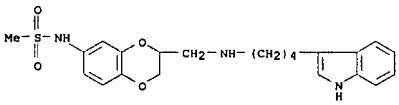
RN 191013-01-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



RN 191013-02-2 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

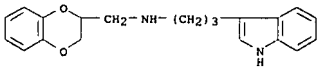


RN 191013-03-3 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

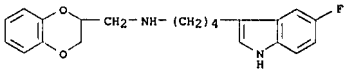


RN 191013-04-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

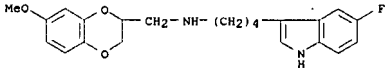
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 191013-09-9 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-
(CA INDEX NAME)



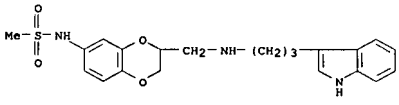
RN 191013-10-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



RN 191013-11-3 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

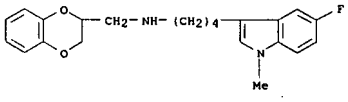


RN 191013-12-4 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

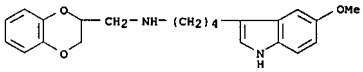


RN 191013-13-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

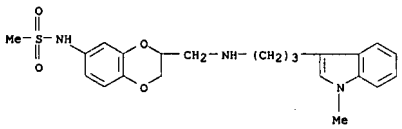
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-14-6 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

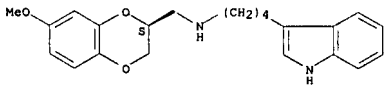


RN 191013-15-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



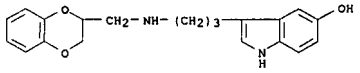
RN 191013-18-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 191013-19-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

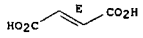
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

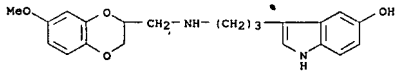
Double bond geometry as shown.



RN 191013-23-7 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

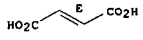
CRN 191012-98-3
CMF C21 H24 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

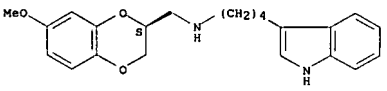


RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

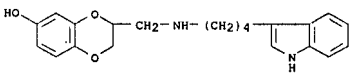
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



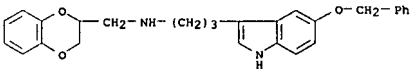
● HCl

RN 191013-20-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 191013-21-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



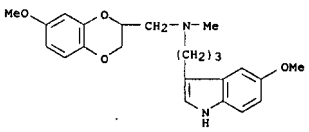
● HCl

RN 191013-22-6 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-97-2

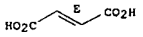
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 191012-99-4
CMF C23 H28 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

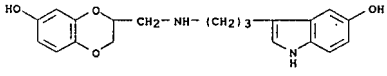
Double bond geometry as shown.



RN 191013-25-9 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

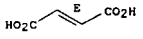
CRN 191013-00-0
CMF C20 H22 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

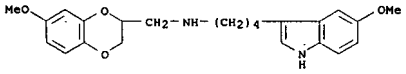


RN 191013-26-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl)methyl]-5-methoxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

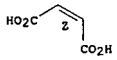
CRN 191013-01-1
CMF C23 H28 N2 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

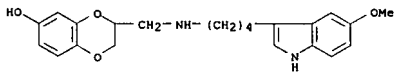
Double bond geometry as shown.



RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-02-2
CMF C22 H26 N2 O4

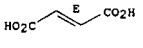


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

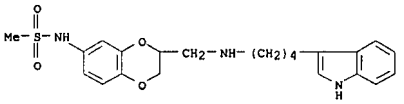
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-28-2 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

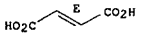
CRN 191013-03-3
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

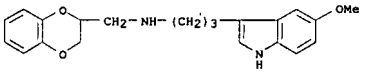
Double bond geometry as shown.



RN 191013-29-3 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

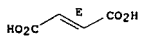
CRN 191013-04-4
CMF C21 H24 N2 O3



L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 2

CRN 110-17-8
CMF C4 H4 O4

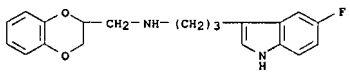
Double bond geometry as shown.



RN 191013-30-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

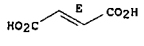
CRN 191013-05-5
CMF C20 H21 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

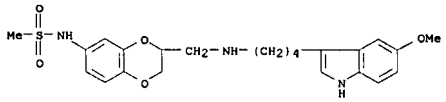
Double bond geometry as shown.



RN 191013-31-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-06-6
CMF C23 H29 N3 O5 S

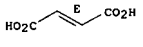


L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

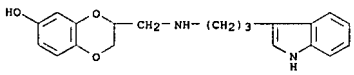
Double bond geometry as shown.



RN 191013-32-8 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

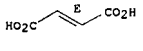
CRN 191013-07-7
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

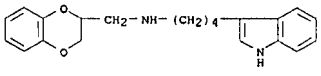
Double bond geometry as shown.



RN 191013-33-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-08-8
CMF C21 H24 N2 O2

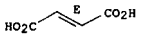


L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

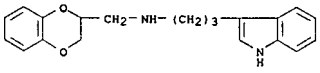
Double bond geometry as shown.



RN 191013-34-0 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

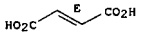
CRN 191013-09-9
CMF C20 H22 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

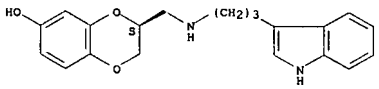


RN 191013-35-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-10-2
CMF C21 H23 F N2 O2

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

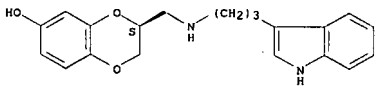


RN 191013-38-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-37-3
CMF C20 H22 N2 O3

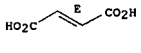
Absolute stereochemistry.



CM 2

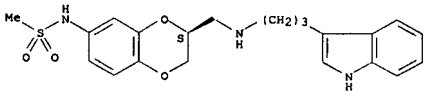
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



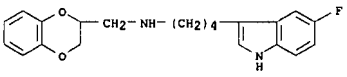
RN 191013-39-5 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 191013-40-8 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

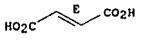
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

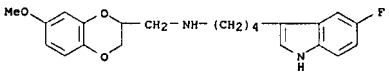
Double bond geometry as shown.



RN 191013-36-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

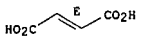
CRN 191013-11-3
CMF C22 H25 F N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-37-3 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)- (CA INDEX NAME)

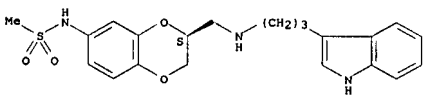
Absolute stereochemistry.

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 191013-39-5
CMF C21 H25 N3 O4 S

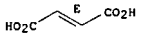
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

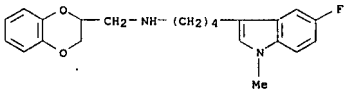
Double bond geometry as shown.



RN 191013-41-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

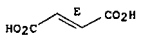
CRN 191013-13-5
CMF C22 H25 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

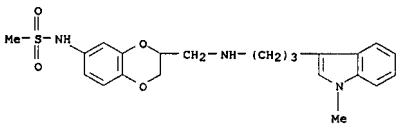


L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-42-0 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

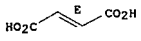
CRN 191013-15-7
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

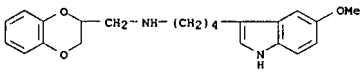
Double bond geometry as shown.



RN 191013-71-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-14-6
CMF C22 H26 N2 O3

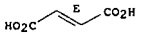


CM 2

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

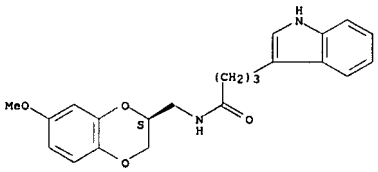
Double bond geometry as shown.



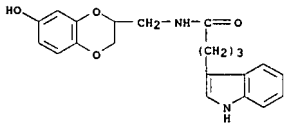
IT 191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-56-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders)

RN 191013-44-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

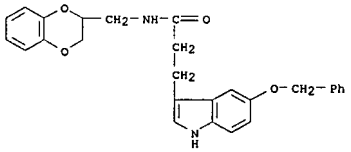


RN 191013-45-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

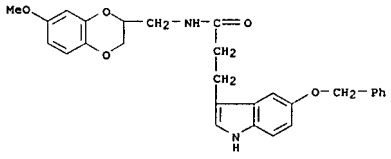


L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

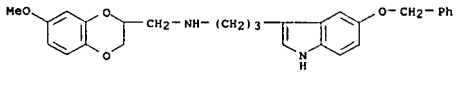
RN 191013-46-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)



RN 191013-47-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)



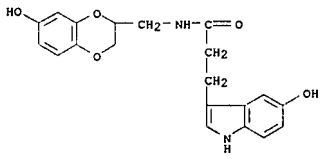
RN 191013-48-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



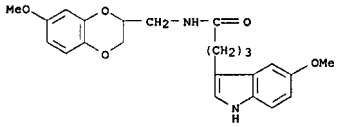
● HCl

RN 191013-49-7 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-hydroxy- (CA INDEX NAME)

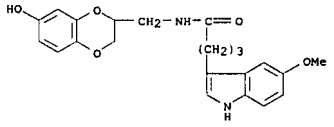
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-50-0 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

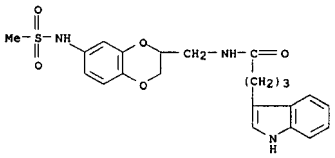


RN 191013-51-1 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

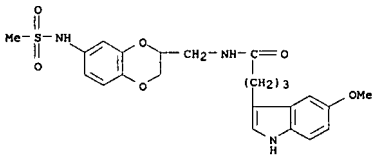


RN 191013-52-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

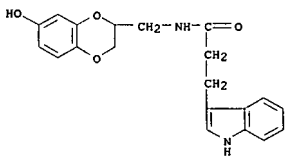
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-53-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

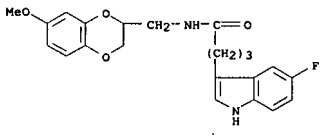


RN 191013-54-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)



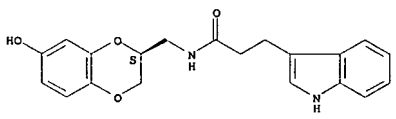
RN 191013-55-5 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (CA
INDEX NAME)

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



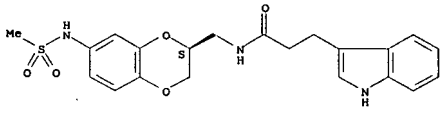
RN 191013-59-9 CAPLUS
CN 1H-Indole-3-propanamide,
N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



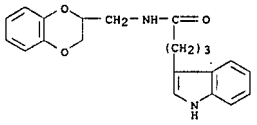
RN 191013-60-2 CAPLUS
CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

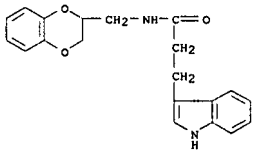


RN 191013-61-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

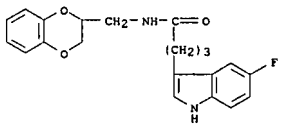
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-56-6 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

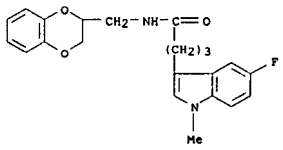


RN 191013-57-7 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

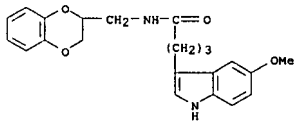


RN 191013-58-8 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

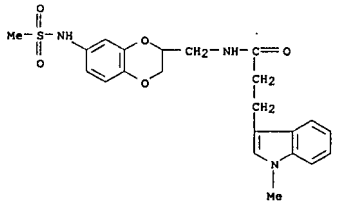
L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-62-4 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



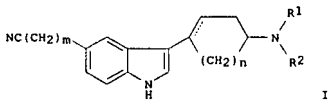
RN 191013-63-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)



L8 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:1003035 CAPLUS
DOCUMENT NUMBER: 124:175827
TITLE: Antidepressant 3-(aminocycloalkenyl)indole-5-nitrile derivatives
INVENTOR(S): Cipollina, Joseph A.; Mattson, Ronald J.; Sloan, Charles P.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5468767	A	19951121	US 1994-178073	19940106
US 5607961	A	19970304	US 1995-517999	19950822
PRIORITY APPLN. INFO.:			US 1994-178073 A3	19940106

OTHER SOURCE(S): CASREACT 124:175827; MARPAT 124:175827
GI



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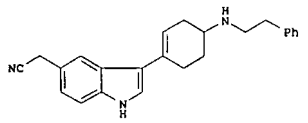
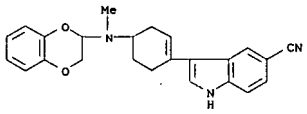


II

AB Title compds. I [R1 = H or C1-4 alkyl; R2 = C1-4 alkyl or (CH2)pAr; Ar = (un)substituted Ph, pyridinyl, pyrimidinyl or 1,4-benzodioxan-2-yl; m = 0 or 1; n = 1-3; p = 0-4; dotted line = optional double bond] are claimed, and several examples were prepared and tested for use as antidepressants. For example, condensation of 1H-indole-5-acetonitrile with 4-[(2-phenylethyl)amino]cyclohexanone [preparation given] in EtOH in the presence of pyrrolidine gave 35% title compound II. Of 18 selected I [most

L8 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

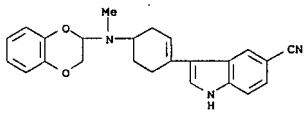
L8 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
with m = 0, all with n = 2 and double bond in ring], all 18 compds. had IC50 for in vitro inhibition of 5-HT uptake activity of < 100 nM, and 14 compds. had IC50 of < 10 nM.
IT 173906-58-6P 173906-87-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant (aminocycloalkenyl)indolenitrile derivs.)
RN 173906-58-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methylamino]-1-cyclohexen-1-yl]- (CA INDEX NAME)



RN 173906-87-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methylamino]-1-cyclohexen-1-yl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 173906-58-6
CMF C24 H23 N3 O2

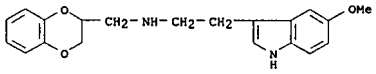


CM 2

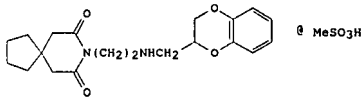
CRN 144-62-7
CMF C2 H2 O4

L8 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:204695 CAPLUS
DOCUMENT NUMBER: 118:204695
TITLE: 3-D QSAR for intrinsic activity of 5-HT1A receptor ligands by the method of comparative molecular field analysis
AUTHOR(S): Agarwal, Atul; Taylor, Ethan Will
CORPORATE SOURCE: Comput. Cent. Mol. Struct. Des., Univ. Georgia, Athens, GA, 30602-2352, USA
SOURCE: Journal of Computational Chemistry (1993), 14(2), 237-45
CODEN: JCCHDD; ISSN: 0192-8651
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The affinity of a ligand for a receptor is usually expressed in terms of the dissociation constant (Ki) if the drug-receptor complex, conveniently measured by the inhibition of radioligand binding. However, a ligand can be an antagonist, a partial agonist, or a full agonist, a property largely independent of its receptor affinity. This property can be quantitated as intrinsic activity (IA), which can range from 0 for a full antagonist to 1 for a full agonist. Although QSAR methods have been applied for the prediction of receptor affinity with considerable success, the prediction of IA, even qual., has rarely been attempted. Because most traditional QSAR methods are limited to congeneric series, and there are often major structural differences between agonists and antagonists, this lack of success in predicting IA is understandable. To overcome this limitation, the authors used the method of comparative mol. field anal. (CoMFA), which, unlike traditional Hansch anal., permits the inclusion of structurally dissimilar compds. in a single QSAR model. A structurally diverse set of 5-hydroxytryptamine 1A (5-HT1A) receptor ligands, with literature IA data (determined by the inhibition of 5-HT sensitive forskolin-stimulated adenylate cyclase), was used to develop a 3-D QSAR model correlating intrinsic activity with mol. structure properties of 5HT1A receptor ligands. This CoMFA model had a cross validated r2 of 0.481, five components and final conventional f2 of 0.943. The receptor model suggests that agonist and antagonist ligands can share parts of a common binding site on the receptor, with a primary agonist binding region that is also occupied by antagonists and partial agonists. The CoMFA steric field graph clearly shows that agonists tend to be "flatter" (more coplanar) than antagonists, consistent with the difference between the 5-HT1A agonist and antagonist pharmacophores proposed by Hibert and coworkers. The CoMFA electrostatic field graph suggests that, in the region surrounding the essential protonated aliphatic amino group, the pos. mol. electrostatic potential may be weaker in antagonists as compared to agonists. Together, the steric and electrostatic maps suggest that in the secondary binding site region increased hydrophobic binding may enhance antagonist activity. These can successfully distinguish between agonist and antagonist 5-HT1A ligands. This is the first time this or any other QSAR method has been successfully applied to the correlation of structure with IA rather than potency or affinity. The anal. has suggested various structural features associated with agonist and antagonist behaviors of 5-HT1A ligands and thus should assist in the future design of drugs that act via 5-HT1A receptors.
IT 116729-30-7

L8 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: BIOL (Biological study)
(intrinsic activity of, as serotonin S1A receptor ligand, QSAR for, mol. field anal. of)
RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

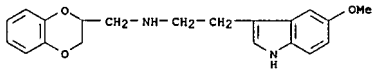


L8 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:151250 CAPLUS
DOCUMENT NUMBER: 112:151250
TITLE: MDL 73005EF: partial agonist at the 5-HT1A receptor negatively linked to adenylate cyclase
AUTHOR(S): Cornfield, Linda J.; Nelson, David L.; Taylor, E. W.; Martin, A. R.
CORPORATE SOURCE: Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA
SOURCE: European Journal of Pharmacology (1989), 173(2-3), 189-92
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

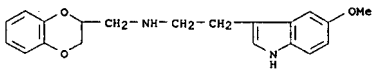


I

AB MDL 73005EF (I) has been recently described as a potent, highly selective 5-HT1A ligand. Although proposed to act predominantly as an antagonist, it was demonstrated that I also acts as a highly efficacious partial agonist at the 5-HT1A receptor, based on its ability to inhibit forskolin-stimulated adenylate cyclase in rat hippocampal membranes. Compared with two structurally related 5-HT1A partial agonists, the rank order of potency of I in the forskolin-stimulated adenylate cyclase assay was comparable to affinity calculated by radioligand binding.
IT 116729-30-7
RL: BIOL (Biological study)
(serotoninergic S1A receptor partial agonist, in brain hippocampus, adenylate cyclase in)
RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



L8 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:542399 CAPLUS
DOCUMENT NUMBER: 109:142399
TITLE: Use of forskolin stimulated adenylate cyclase in rat hippocampus as a screen for compounds that act through
5-HTR1A receptors
AUTHOR(S): Cornfield, L. J.; Nelson, D. L.; Monroe, P. J.; Taylor, E. W.; Nikam, S. S.
CORPORATE SOURCE: Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA
SOURCE: Proceedings of the Western Pharmacology Society (1988), 31, 265-7
CODEN: PWPSA8; ISSN: 0083-8969
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 5-HT, buspirone and 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) inhibited forskolin stimulated cAMP production in rat hippocampus with varying degrees of efficacy. The EC50 values for these compds. in the cyclase assay system were uniformly less than the IC50 values against [3H]8-OH-DPAT binding, although a reasonably good correlation was found between the EC50 and IC50 values for these compds. N-(2-(5-Methoxyindole-3-yl)ethyl)-2 aminomethyl-1,4-benzodioxan, 5-carboxamido-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-yl)ethyl)indole and 5-methoxy-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-yl)ethylindole, and spiroxatrine exhibited potential 5-HT1A agonistic activity, as shown by varying degrees of inhibition of forskolin-stimulated adenylate cyclase. However, there was no correlation between the potencies of the cyclase data and the [3H]-8-OH-DPAT binding data for these 4 compds. Spiroxatrine produced a complex inhibition curve with a maximal inhibition that was greater than that observed with 5-HT itself. Nonlinear regression anal. of this curve revealed high and low potency components. The ratio of the EC50 for the high-potency component to the IC50 value at 5-HT1A binding sites was consistent with that for the other 5-HT1A agonists, 5-HT, 8-OH-DPAT and bispirone.
IT 116729-30-7
RL: BIOL (Biological study)
(forskolin-stimulated adenylate cyclase of brain response to)
RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



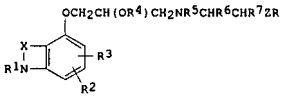
L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:121503 CAPLUS
DOCUMENT NUMBER: 94:121503
TITLE: Aminopropanol derivatives and pharmaceutical compositions containing them
INVENTOR(S): Friebe, Walter Gunar; Michel, Helmut; Ross, Carl Heinz; Wiedemann, Fritz; Bartsch, Wolfgang; Dietmann, Karl
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 57 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2905877	A1	19800828	DE 1979-2905877	19790216
US 4346093	A	19820824	US 1980-117190	19800131
CA 1134827	A1	19821102	CA 1980-345099	19800205
IL 59352	A	19850131	IL 1980-59352	19800210
FI 8000408	A	19800817	FI 1980-408	19800211
FI 66371	B	19840629		
FI 66371	C	19841010		
AU 8055410	A	19800821	AU 1980-55410	19800211
AU 531282	B2	19830818		
SU 1243622	A3	19860707	SU 1980-2878004	19800211
EP 14951	A2	19800903	EP 1980-100719	19800213
EP 14951	A3	19810204		
EP 14951	B1	19830112		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 148774	A5	19810610	DD 1980-219037	19800213
AT 2190	T	19830115	AT 1980-100719	19800213
DK 8000653	A	19800817	DK 1980-653	19800215
ES 488657	A1	19800916	ES 1980-488657	19800215
JP 55120559	A	19800917	JP 1980-16711	19800215
JP 63048864	B	19880930		
ZA 8000894	A	19810930	ZA 1980-894	19800215
HU 28416	A2	19831228	HU 1980-350	19800215
HU 184719	B	19841029		
CS 227305	B2	19840416	CS 1980-1055	19800215
CS 227312	B2	19840416	CS 1980-6604	19800930
CS 227313	B2	19840416	CS 1980-6605	19800930
CS 227327	B2	19840416	CS 1981-4336	19810610

PRIORITY APPLN. INFO.: DE 1979-2905877 A 19790216
EP 1980-100719 A 19800213
CS 1980-1055 A3 19800215

OTHER SOURCE(S): MARPAT 94:121503
GI

L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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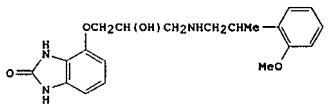


II

AB The title compds. [I; R = (substituted) aryl, pyridyl; R1 = H, alkyl, alkanoyl, aralkyl; R2, R3 = H, alkyl, (esterified) hydroxyalkyl, alkoxycarbonyl; R4 = H, acyl, aroyl; R5 = H, alkyl, aralkyl; R6 = H, alkyl; R7 = H, OH, alkyl; Z = bond, CH2, O, S; X = X1X2, X3:X4 [X1 = (substituted) NH, CH2; X2 = CH2, CO, CS; X3, X4 = N, (substituted) CH]] were prepared for use as coronary vasodilators and antihypertensives (no data). Thus, refluxing 2,3-dinitro-4-(glycidyloxy)toluene with PhCH2NHCH2CHMeOC6H4OMe-2 in EtOH, followed by hydrogenation over Pd-C and cyclizing the resulting diamine with COCl2 gave II.HCl.

IT 76650-89-0P 76651-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

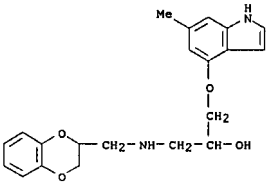
RN 76650-89-0 CAPLUS

CN Benzoic acid, 4-chloro-, compd. with 1-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-3-[(6-methyl-1H-indol-4-yl)oxy]-2-propanol (1:1) (9CI) (CA INDEX NAME)

CM 1

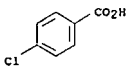
CRN 76650-88-9
CMF C21 H24 N2 O4

L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



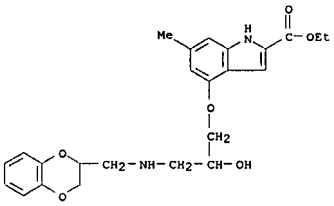
CM 2

CRN 74-11-3
CMF C7 H5 Cl O2



RN 76651-14-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-2-hydroxypropoxy]-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L8 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:52778 CAPLUS
DOCUMENT NUMBER: 60:52778
ORIGINAL REFERENCE NO.: 60:9287d-f
TITLE: 1,4-Benzodioxan-2-carboxamides
INVENTOR(S): Bid, John H.; Judd, Claude I.
PATENT ASSIGNEE(S): Lakeside Laboratories, Inc.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3117978		19640114	US 1961-84753	19610125
PRIORITY APPLN. INFO.:			US	19610125

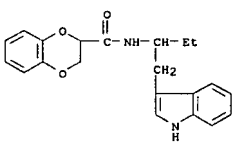
GI For diagram(s), see printed CA Issue.

AB The title compds., possessing antidepressant and central nervous system stimulating properties, are prepared by treating 1,4-benzodioxan-2-carbonyl chloride (I) with an aralkylamine in an inert solvent in the presence of an acid acceptor. Thus, 7.5 g. trans-2-phenylcyclopropylamine, 10.1 g. K2CO3, and 50 ml. anhydrous C6H6 is treated dropwise with 11.2 g. I, the mixture stirred several hrs. at room temperature, 100 ml. H2O added, the C6H6 layer separated, and the solvent evaporated to give 16.0 g. of an oil which crystallized when covered with n-hexane and Et2O to yield 7.5 g. N-(trans-2-phenylcyclopropyl)-1,4-benzodioxan-2-carboxamide (Ia), m. 96-112°. Recrystn. from Et2O gave 3.1 g. pure product, m. 129-31°. Also prepared are N-(2-phenyl-1-propyl)-1,4-benzodioxan-2-carboxamide, m. 82-90° (mixture of isomers), and N[1-(3-indoyl)-2-butyl]-1,4-benzodioxan-2-carboxamide (II), m. 99-102°. A single pure isomer of II was also isolated, m. 135-8°.

IT 94862-17-6P, 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl]-
RL: PREP (Preparation)
(preparation of)

RN 94862-17-6 CAPLUS

CN 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl]- (7CI) (CA INDEX NAME)



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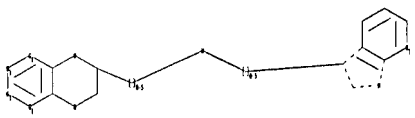

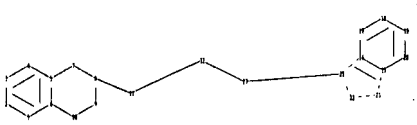

chain nodes :
11 12 27
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23
chain bonds :
8-11 11-12 12-27 23-27
ring bonds :
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16-23 17-18 18-19 19-20 21-22 22-23
exact/norm bonds :

10-556,931.trn

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 8-11 9-10 11-12 12-27 15-16
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isolated ring systems :
containing 1 : 15 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 27:CLASS

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L3 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 156 TO ITERATE

100.0% PROCESSED 156 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2371 TO 3869
PROJECTED ANSWERS: 6 TO 266

L4 6 SEA SSS SAM L3

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SEARCH TIME: 00.00.01

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FULL ESTIMATED COST 196.85 199.62

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L6 8 L5

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L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004106298	A1	20041209	WO 2003-EP305789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP5789 A 20030530
WO 2003-EP305789 A 20030530
WO 2004-EP50922 W 20040526

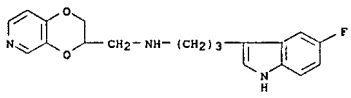
OTHER SOURCE(S): MARPAT 142:38264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production
IT 805232-67-1P 805232-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)
RN 805232-67-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805230-16-4
CMF C19 H20 F N3 O2



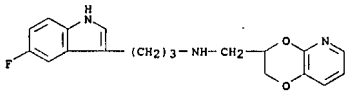
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 805232-71-7 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 805230-20-0
CMF C19 H20 F N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Preparation of indole derivatives with an improved antipsychotic activity
INVENTOR(S): Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose Ignacio
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106298	A1	20041209	WO 2003-EP5789	20030530
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2004242802	A1	20041209	AU 2004-242802	20040526
CA 2525282	A1	20041209	CA 2004-2525282	20040526
WO 2004106346	A1	20041209	WO 2004-EP50922	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1636239	A1	20060322	EP 2004-741649	20040526
EP 1636239	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528957	T	20061228	JP 2006-530219	20040526
AT 367392	T	20070815	AT 2004-741649	20040526
US 2007066608	A1	20070322	US 2005-556931	20051116

PRIORITY APPLN. INFO.:
WO 2003-EP305789 A 20030530
WO 2003-EP5789 A 20030530
WO 2004-EP50922 W 20040526

OTHER SOURCE(S): MARPAT 142:38263
GI

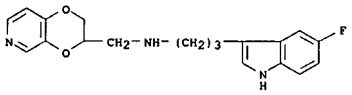
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 =

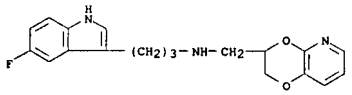
L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addn. salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their prodn.

IT 805230-16-4P 805230-20-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)

RN 805230-16-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)



RN 805230-20-0 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)



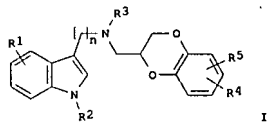
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

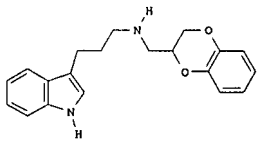
ACCESSION NUMBER: 1998:300618 CAPLUS
DOCUMENT NUMBER: 129:4651
TITLE: Preparation of indolealkyl derivatives of benzodioxanmethylamine as antidepressants and antipsychotic agents
INVENTOR(S): Kang, Young H.; Stack, Gary P.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5750724	A	19980512	US 1996-739912	19961030
PRIORITY APPLN. INFO.:			US 1996-739912	19961030

OTHER SOURCE(S): MARPAT 129:4651
GI



I



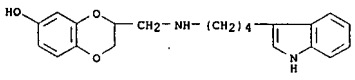
II

AB The title compds. [I; R1, R4, R5 = H, alkyl, alkoxy, etc.; R1 is defined as above and R4R5 are ortho substituted methylenedioxy, ethylenedioxy, or propylenedioxy; R2, R3 = H, alkyl; n = 3-4] and their pharmaceutically acceptable salts, useful in the treatment of depression and related disorders, were prepared Thus, reaction of 3-indolepropionic acid with 2,3-dihydro-1,4-benzodioxin-2-methanamine.HCl in the presence of 1-hydroxybenzotriazole and 1,3-diisopropylcarbodiimide in DMF followed by

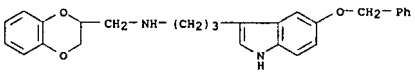
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
treatment of the resulting amide with LiAlH4 in THF afforded the title compd. II which showed IC50 of 3.50 nM against D2 receptor binding and IC50 of 3.77 nM against 5-HT1A receptor binding.

IT 191012-95-0P 191012-96-1P 191012-97-2P
191012-98-3P 191012-99-4P 191013-01-1P
191013-02-2P 191013-03-3P 191013-04-4P
191013-05-5P 191013-06-6P 191013-07-7P
191013-08-8P 191013-09-9P 191013-10-2P
191013-11-3P 191013-13-5P 191013-14-6P
191013-15-7P 191013-18-0P 191013-19-1P
191013-20-4P 191013-21-5P 191013-22-6P
191013-23-7P 191013-24-8P 191013-25-9P
191013-26-0P 191013-27-1P 191013-28-2P
191013-29-3P 191013-30-6P 191013-31-7P
191013-32-8P 191013-33-9P 191013-34-0P
191013-35-1P 191013-36-2P 191013-37-3P
191013-38-4P 191013-39-5P 191013-40-8P
191013-41-9P 191013-42-0P 191013-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)

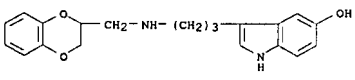
RN 191012-95-0 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)



RN 191012-96-1 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

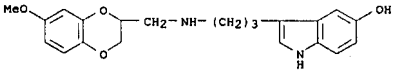


RN 191012-97-2 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

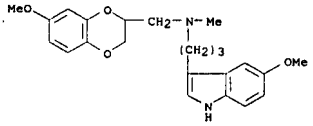


L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

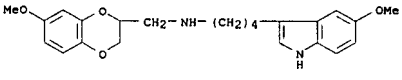
RN 191012-98-3 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)



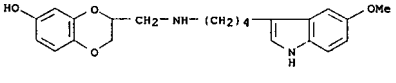
RN 191012-99-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)



RN 191013-01-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

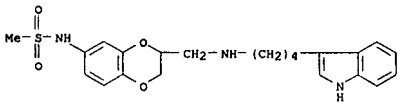


RN 191013-02-2 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

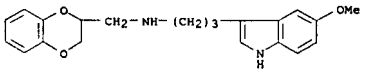


RN 191013-03-3 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

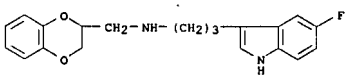
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



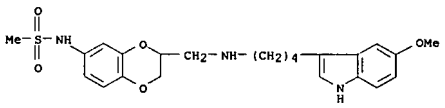
RN 191013-04-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



RN 191013-05-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

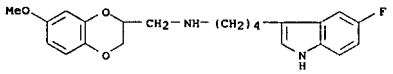


RN 191013-06-6 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

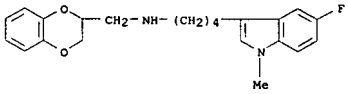


RN 191013-07-7 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

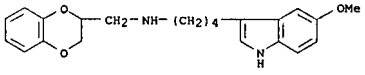
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



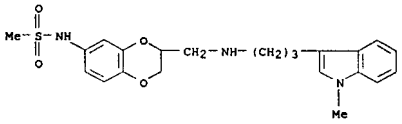
RN 191013-13-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)



RN 191013-14-6 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



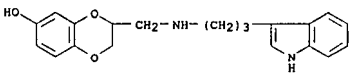
RN 191013-15-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



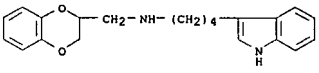
RN 191013-18-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

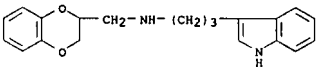
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



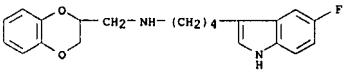
RN 191013-08-8 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)



RN 191013-09-9 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

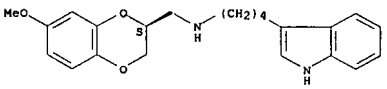


RN 191013-10-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



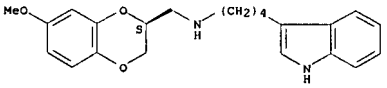
RN 191013-11-3 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



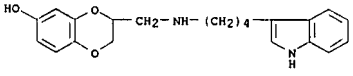
RN 191013-19-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



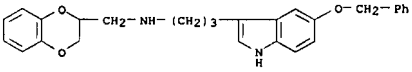
● HCl

RN 191013-20-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 191013-21-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

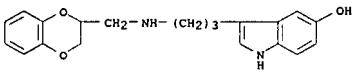


● HCl

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 191013-22-6 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

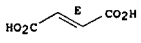
CRN 191012-97-2
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

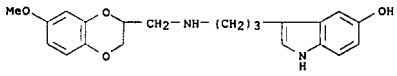
Double bond geometry as shown.



RN 191013-23-7 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-98-3
CMF C21 H24 N2 O4

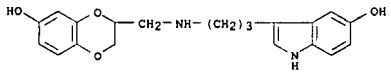


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

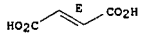
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

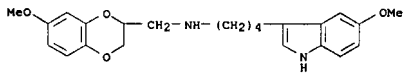
Double bond geometry as shown.



RN 191013-26-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

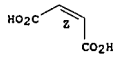
CRN 191013-01-1
CMF C23 H28 N2 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

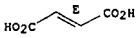
Double bond geometry as shown.



RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX
NAME)

CM 1

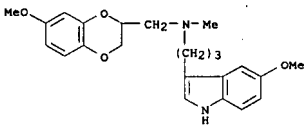
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX
NAME)

CM 1

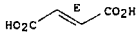
CRN 191012-99-4
CMF C23 H28 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

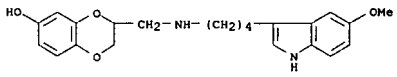


RN 191013-25-9 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-00-0
CMF C20 H22 N2 O4

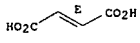
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 191013-02-2
CMF C22 H26 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

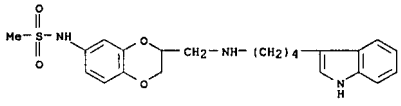
Double bond geometry as shown.



RN 191013-28-2 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

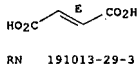
CRN 191013-03-3
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

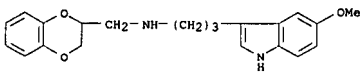
Double bond geometry as shown.



RN 191013-29-3 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

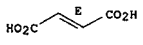
CRN 191013-04-4
CMF C21 H24 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

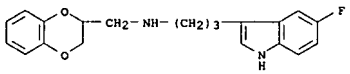
Double bond geometry as shown.



RN 191013-30-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

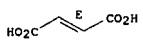
CRN 191013-05-5
CMF C20 H21 F N2 O2



CM 2

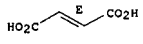
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-31-7 CAPLUS

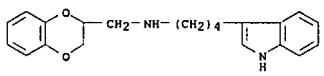
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Double bond geometry as shown.



RN 191013-33-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

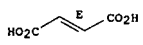
CRN 191013-08-8
CMF C21 H24 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

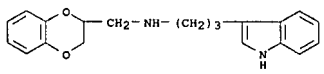
Double bond geometry as shown.



RN 191013-34-0 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-09-9
CMF C20 H22 N2 O2



CM 2

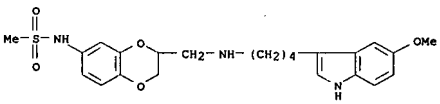
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

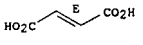
CRN 191013-06-6
CMF C23 H29 N3 O5 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

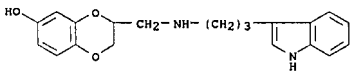
Double bond geometry as shown.



RN 191013-32-8 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

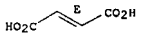
CRN 191013-07-7
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

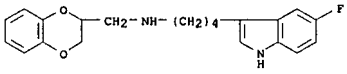
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-35-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

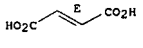
CRN 191013-10-2
CMF C21 H23 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

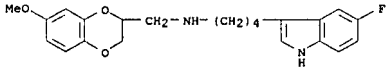
Double bond geometry as shown.



RN 191013-36-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-11-3
CMF C22 H25 F N2 O3

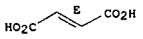


CM 2

CRN 110-17-8
CMF C4 H4 O4

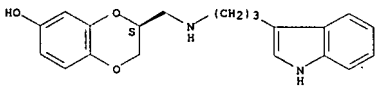
Double bond geometry as shown.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-37-3 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

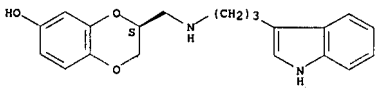


RN 191013-38-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-37-3
CMF C20 H22 N2 O3

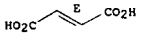
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

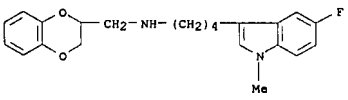
Double bond geometry as shown.



RN 191013-39-5 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

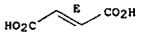
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

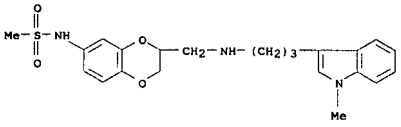
Double bond geometry as shown.



RN 191013-42-0 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

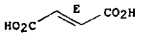
CRN 191013-15-7
CMF C22 H27 N3 O4 S



CM 2

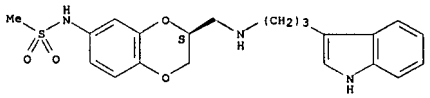
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-71-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

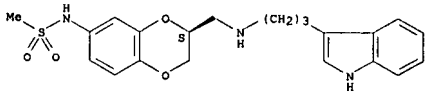


RN 191013-40-8 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-39-5
CMF C21 H25 N3 O4 S

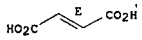
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-41-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

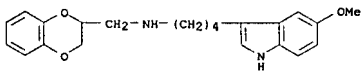
CM 1

CRN 191013-13-5
CMF C22 H25 F N2 O2

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

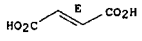
CRN 191013-14-6
CMF C22 H26 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

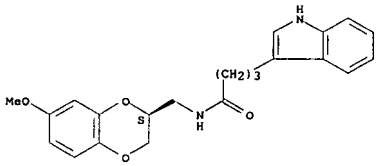
Double bond geometry as shown.



IT 191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-56-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)

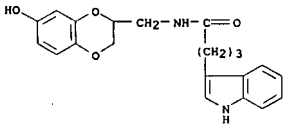
RN 191013-44-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

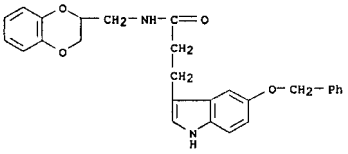


RN 191013-45-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-

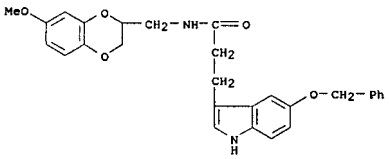
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl)methyl]- (CA INDEX NAME)



RN 191013-46-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

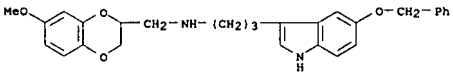


RN 191013-47-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)



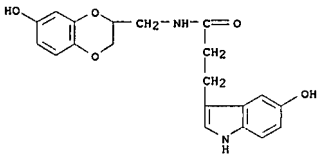
RN 191013-48-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

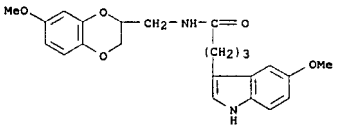


● HCl

RN 191013-49-7 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-hydroxy- (CA INDEX NAME)

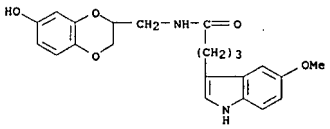


RN 191013-50-0 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

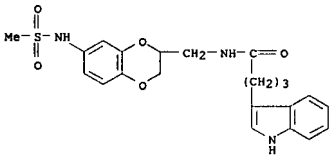


RN 191013-51-1 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

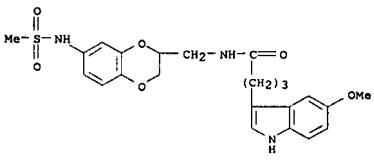
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-52-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

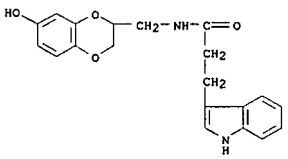


RN 191013-53-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

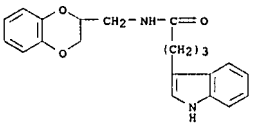


RN 191013-54-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

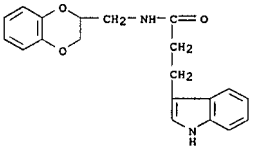
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-55-5 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA
INDEX NAME)

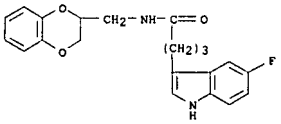


RN 191013-56-6 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

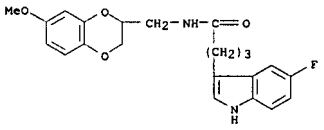


RN 191013-57-7 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

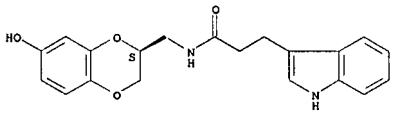


RN 191013-58-8 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



RN 191013-59-9 CAPLUS
CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

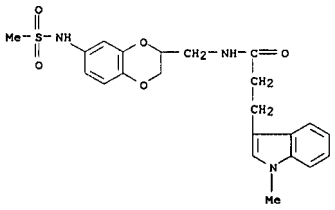
Absolute stereochemistry.



RN 191013-60-2 CAPLUS
CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

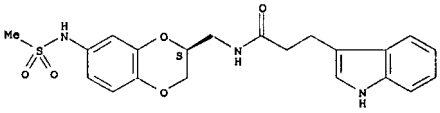
Absolute stereochemistry.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

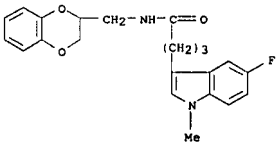


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

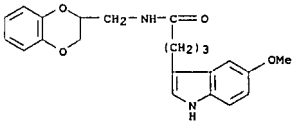
L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-61-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)



RN 191013-62-4 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



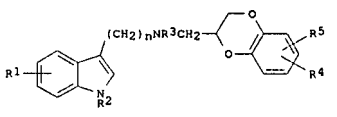
RN 191013-63-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:429564 CAPLUS
DOCUMENT NUMBER: 127:50651
TITLE: Preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders.
INVENTOR(S): Kang, Young Hee; Stack, Gary Paul
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717343	A1	19970515	WO 1996-US17275	19961029
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236678	A1	19970515	CA 1996-2236678	19961029
AU 9675245	A	19970529	AU 1996-75245	19961029
AU 704216	B2	19990415		
EP 861248	A1	19980902	EP 1996-937782	19961029
EP 861248	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9611406	A	19990105	BR 1996-11406	19961029
CN 1205700	A	19990120	CN 1996-199286	19961029
CN 1074414	B	20011107		
JP 2000500136	T	20000111	JP 1997-518222	19961029
HU 9902091	A2	20000228	HU 1999-2091	19961029
HU 9902091	A3	20000328		
IL 124095	A	20011031	IL 1996-124095	19961029
AT 210659	T	20011215	AT 1996-937782	19961029
ES 2166470	T3	20020416	ES 1996-937782	19961029
ZA 9609221	A	19980504	ZA 1996-9221	19961101
TW 498075	B	20020811	TW 1996-85113500	19961105
HK 1015366	A1	20020328	HK 1999-100444	19990202
PRIORITY APPLN. INFO.:			US 1995-7284P	P 19951106
			WO 1996-US17275	W 19961029

OTHER SOURCE(S): MARPAT 127:50651
GI



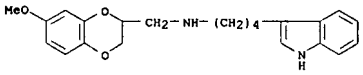
I

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. (I; R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy, OH, halo, CF3, amino, alkanamido, alkanesulfonamido; R4R5 = ortho substituted methylenedioxy, ethylenedioxy, propylenedioxy; R2, R3 = H, alkyl; n = 3, 4), were prepared Thus, 2,3-dihydro-1,4-benzodioxin-2-methanamine hydrochloride was heated with 5-methoxy-3-(3-bromopropyl)indole and diisopropylethylamine in DMF at 80° to give (2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)-3[3-(5-methoxy-1H-indol-3-yl)propyl]amine. The latter showed 5-HT1A receptor affinity with IC50 = 0.10 nM for displacement of [3H]-8-OHDPAT.

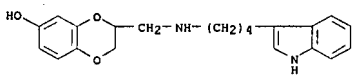
IT 191012-94-9P 191012-95-0P 191012-96-1P
191012-97-2P 191012-98-3P 191012-99-4P
191013-00-0P 191013-01-1P 191013-02-2P
191013-03-3P 191013-04-4P 191013-05-5P
191013-06-6P 191013-07-7P 191013-08-8P
191013-09-9P 191013-10-2P 191013-11-3P
191013-12-4P 191013-13-5P 191013-14-6P
191013-15-7P 191013-18-0P 191013-19-1P
191013-20-4P 191013-21-5P 191013-22-6P
191013-23-7P 191013-24-8P 191013-25-9P
191013-26-0P 191013-27-1P 191013-28-2P
191013-29-3P 191013-30-6P 191013-31-7P
191013-32-8P 191013-33-9P 191013-34-0P
191013-35-1P 191013-36-2P 191013-37-3P
191013-38-4P 191013-39-5P 191013-40-8P
191013-41-9P 191013-42-0P 191013-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders)

RN 191012-94-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

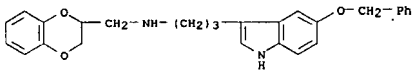


RN 191012-95-0 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

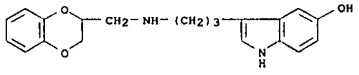
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



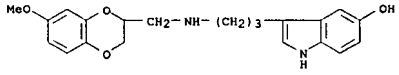
RN 191012-96-1 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)



RN 191012-97-2 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

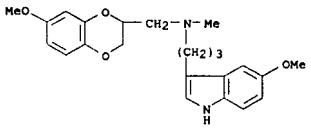


RN 191012-98-3 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

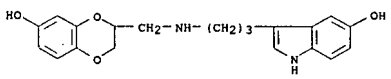


RN 191012-99-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)

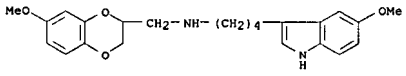
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



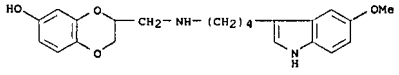
RN 191013-00-0 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)



RN 191013-01-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

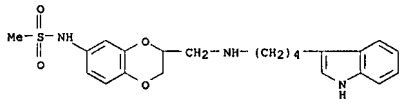


RN 191013-02-2 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

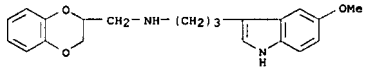


RN 191013-03-3 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

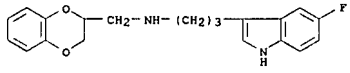
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



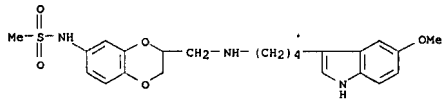
RN 191013-04-4 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



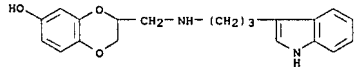
RN 191013-05-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



RN 191013-06-6 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

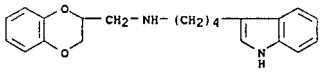


RN 191013-07-7 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

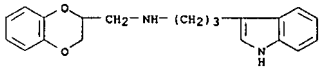


L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

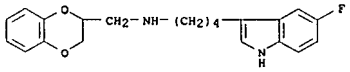
RN 191013-08-8 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)



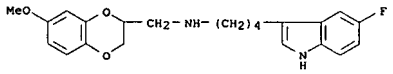
RN 191013-09-9 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)



RN 191013-10-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

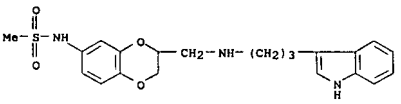


RN 191013-11-3 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

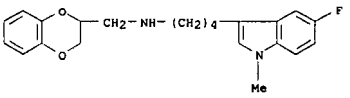


RN 191013-12-4 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

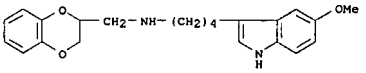
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



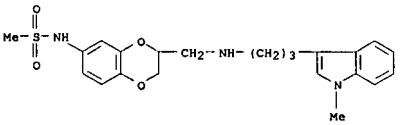
RN 191013-13-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)



RN 191013-14-6 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

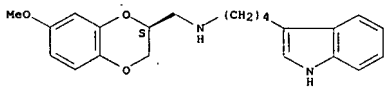


RN 191013-15-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)



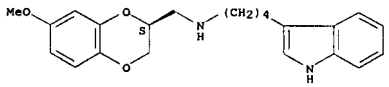
RN 191013-18-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



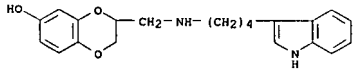
RN 191013-19-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



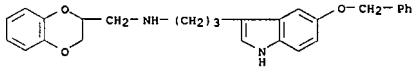
● HCl

RN 191013-20-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 191013-21-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



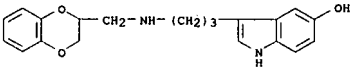
● HCl

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-22-6 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

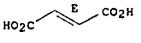
CRN 191012-97-2
CMF C20 H22 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

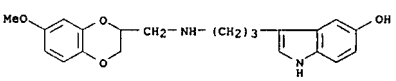
Double bond geometry as shown.



RN 191013-23-7 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-98-3
CMF C21 H24 N2 O4

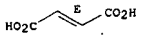


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

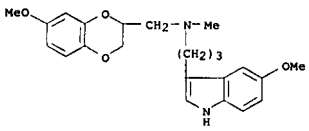
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

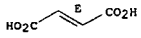
CRN 191012-99-4
CMF C23 H28 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-25-9 CAPLUS
CN 1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

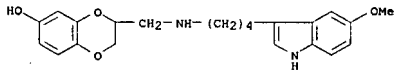
CM 1

CRN 191013-00-0
CMF C20 H22 N2 O4

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)

CM 1

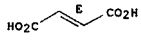
CRN 191013-02-2
CMF C22 H26 N2 O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

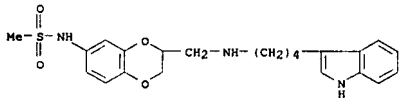
Double bond geometry as shown.



RN 191013-28-2 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

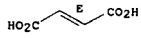
CRN 191013-03-3
CMF C22 H27 N3 O4 S



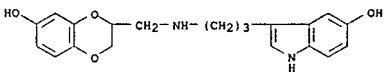
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



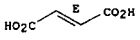
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

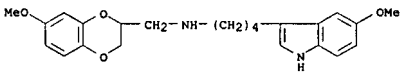
Double bond geometry as shown.



RN 191013-26-0 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

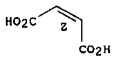
CRN 191013-01-1
CMF C23 H28 N2 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

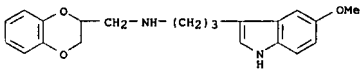


RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 191013-29-3 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

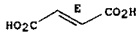
CRN 191013-04-4
CMF C21 H24 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

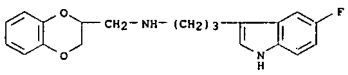
Double bond geometry as shown.



RN 191013-30-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

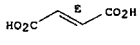
CRN 191013-05-5
CMF C20 H21 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

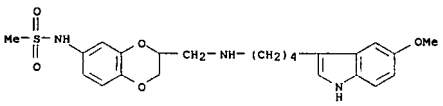


RN 191013-31-7 CAPLUS

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

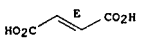
CRN 191013-06-6
CMF C23 H29 N3 O5 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

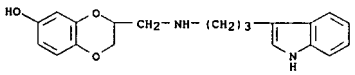
Double bond geometry as shown.



RN 191013-32-8 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-07-7
CMF C20 H22 N2 O3

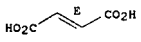


CM 2

CRN 110-17-8
CMF C4 H4 O4

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 110-17-8
CMF C4 H4 O4

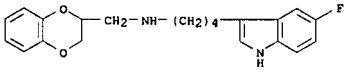
Double bond geometry as shown.



RN 191013-35-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

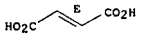
CRN 191013-10-2
CMF C21 H23 F N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

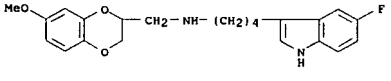
Double bond geometry as shown.



RN 191013-36-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

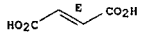
CRN 191013-11-3
CMF C22 H25 F N2 O3



CM 2

CRN 110-17-8

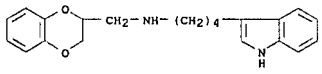
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Double bond geometry as shown.



RN 191013-33-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

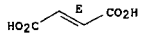
CRN 191013-08-8
CMF C21 H24 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

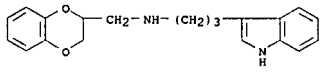
Double bond geometry as shown.



RN 191013-34-0 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

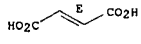
CRN 191013-09-9
CMF C20 H22 N2 O2



CM 2

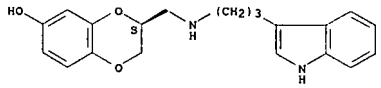
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



RN 191013-37-3 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

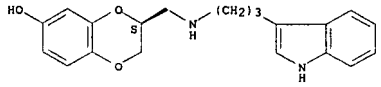


RN 191013-38-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191013-37-3
CMF C20 H22 N2 O3

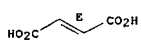
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

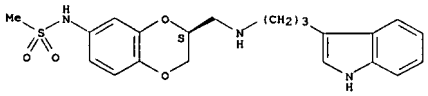
Double bond geometry as shown.



RN 191013-39-5 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

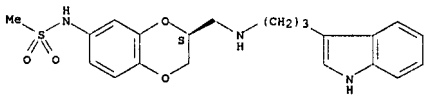


RN 191013-40-8 CAPLUS
CN Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-39-5
CMF C21 H25 N3 O4 S

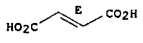
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

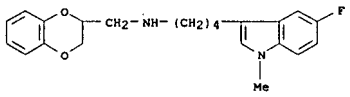


RN 191013-41-9 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-13-5
CMF C22 H25 F N2 O2

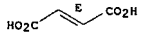
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

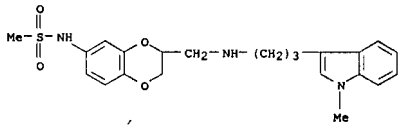
Double bond geometry as shown.



RN 191013-42-0 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

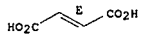
CRN 191013-15-7
CMF C22 H27 N3 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

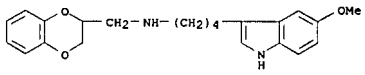


L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-71-5 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

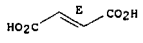
CRN 191013-14-6
CMF C22 H26 N2 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

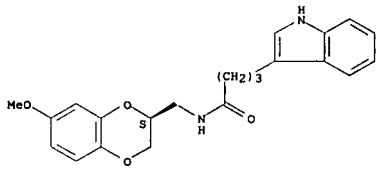


IT 191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-56-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders)

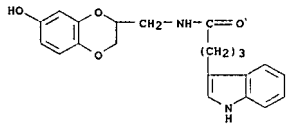
RN 191013-44-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

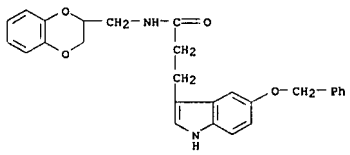
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-45-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

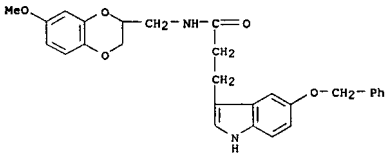


RN 191013-46-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

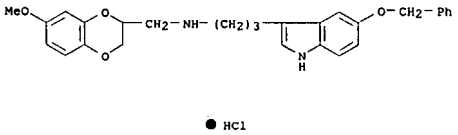


RN 191013-47-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

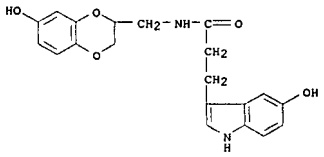


RN 191013-48-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



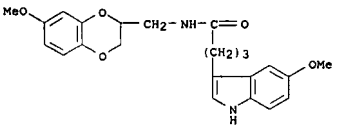
● HCl

RN 191013-49-7 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-hydroxy- (CA INDEX NAME)

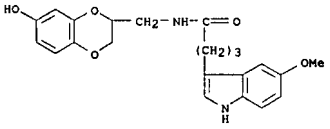


RN 191013-50-0 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

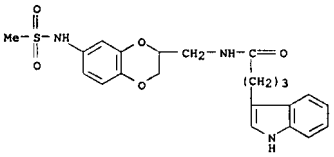
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-51-1 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

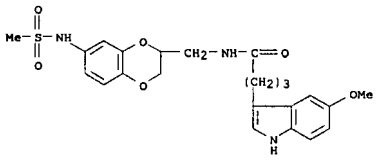


RN 191013-52-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

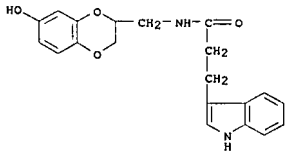


RN 191013-53-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

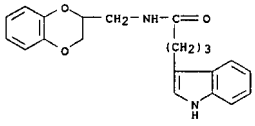
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-54-4 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

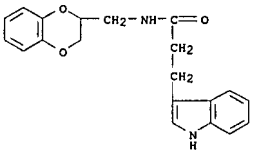


RN 191013-55-5 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA
INDEX NAME)

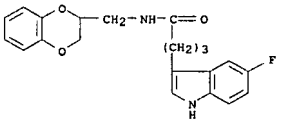


RN 191013-56-6 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

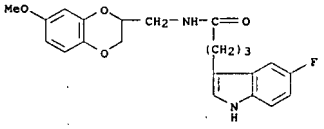
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-57-7 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



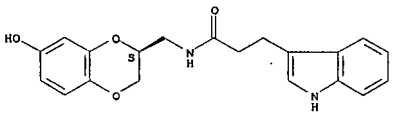
RN 191013-58-8 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)



RN 191013-59-9 CAPLUS
CN 1H-Indole-3-propanamide,
N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

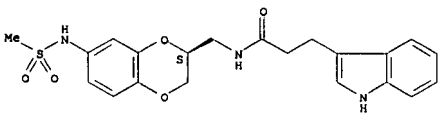
Absolute stereochemistry.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

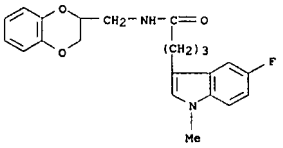


RN 191013-60-2 CAPLUS
CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

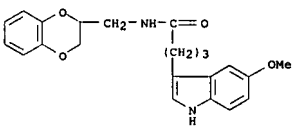


RN 191013-61-3 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

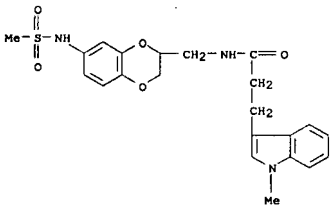


RN 191013-62-4 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191013-63-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)



L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:204695 CAPLUS
DOCUMENT NUMBER: 118:204695
TITLE: 3-D QSAR for intrinsic activity of 5-HT1A receptor ligands by the method of comparative molecular field analysis
AUTHOR(S): Agarwal, Atul; Taylor, Ethan Will
CORPORATE SOURCE: Comput. Cent. Mol. Struct. Des., Univ. Georgia, Athens, GA, 30602-2352, USA
SOURCE: Journal of Computational Chemistry (1993), 14(2), 237-45
CODEN: JCCHDD; ISSN: 0192-8651
DOCUMENT TYPE: Journal
LANGUAGE: English

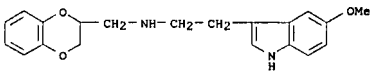
AB The affinity of a ligand for a receptor is usually expressed in terms of the dissociation constant (Ki) if the drug-receptor complex, conveniently measured by the inhibition of radioligand binding. However, a ligand can be an antagonist, a partial agonist, or a full agonist, a property largely independent of its receptor affinity. This property can be quantitated as intrinsic activity (IA), which can range from 0 for a full antagonist to 1 for a full agonist. Although QSAR methods have been applied for the prediction of receptor affinity with considerable success, the prediction of IA, even qual., has rarely been attempted. Because most traditional QSAR methods are limited to congeneric series, and there are often major structural differences between agonists and antagonists, this lack of success in predicting IA is understandable. To overcome this limitation, the authors used the method of comparative mol. field anal. (CoMFA), which, unlike traditional Hansch anal., permits the inclusion of structurally dissimilar compds. in a single QSAR model. A structurally diverse set of 5-hydroxytryptamine 1A (5-HT1A) receptor ligands, with literature IA data (determined by the inhibition of 5-HT sensitive forskolin-stimulated adenylate cyclase), was used to develop a 3-D QSAR model correlating intrinsic activity with mol. structure properties of 5HT1A receptor ligands. This CoMFA model had a cross validated r2 of 0.481, five components and final conventional f2 of 0.943. The receptor model suggests that agonist and antagonist ligands can share parts of a common binding site on the receptor, with a primary agonist binding region that is also occupied by antagonists and partial agonists. The CoMFA steric field graph clearly shows that agonists tend to be "flatter" (more coplanar) than antagonists, consistent with the difference between the 5-HT1A agonist and antagonist pharmacophores proposed by Hibert and coworkers. The CoMFA electrostatic field graph suggests that, in the region surrounding the essential protonated aliphatic amino group, the pos. mol. electrostatic potential may be weaker in antagonists as compared to agonists. Together, the steric and electrostatic maps suggest that in the secondary binding site region increased hydrophobic binding may enhance antagonist activity. These can successfully distinguish between agonist and antagonist 5-HT1A ligands. This is the first time this or any other QSAR method has been successfully applied to the correlation of structure with IA rather than potency or affinity. The anal. has suggested various structural features associated with agonist and antagonist behaviors of 5-HT1A ligands and thus should assist in the future design of drugs that act via 5-HT1A receptors.

IT 116729-30-7

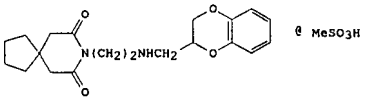
L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RL: BIOL (Biological study)
(intrinsic activity of, as serotonin S1A receptor ligand, QSAR for, mol. field anal. of)

RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

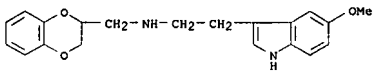


L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:151250 CAPLUS
DOCUMENT NUMBER: 112:151250
TITLE: MDL 73005EF: partial agonist at the 5-HT1A receptor negatively linked to adenylate cyclase
AUTHOR(S): Cornfield, Linda J.; Nelson, David L.; Taylor, E. W.; Martin, A. R.
CORPORATE SOURCE: Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA
SOURCE: European Journal of Pharmacology (1989), 173(2-3), 189-92
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

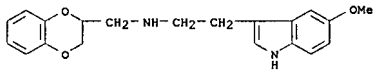


I

AB MDL 73005EF (I) has been recently described as a potent, highly selective 5-HT1A ligand. Although proposed to act predominantly as an antagonist, it was demonstrated that I also acts as a highly efficacious partial agonist at the 5-HT1A receptor, based on its ability to inhibit forskolin-stimulated adenylate cyclase in rat hippocampal membranes. Compared with two structurally related 5-HT1A partial agonists, the rank order of potency of I in the forskolin-stimulated adenylate cyclase assay was comparable to affinity calculated by radioligand binding.
IT 116729-30-7
RL: BIOL (Biological study)
(serotoninergic S1A receptor partial agonist, in brain hippocampus, adenylate cyclase in)
RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



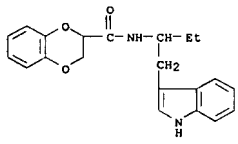
L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:542399 CAPLUS
DOCUMENT NUMBER: 109:142399
TITLE: Use of forskolin stimulated adenylate cyclase in rat hippocampus as a screen for compounds that act through
5-HTR1A receptors
AUTHOR(S): Cornfield, L. J.; Nelson, D. L.; Monroe, P. J.; Taylor, E. W.; Nikam, S. S.
CORPORATE SOURCE: Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA
SOURCE: Proceedings of the Western Pharmacology Society (1988), 31, 265-7
CODEN: PWPSAB; ISSN: 0083-8969
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 5-HT, buspirone and 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) inhibited forskolin stimulated cAMP production in rat hippocampus with varying
degrees of efficacy. The EC50 values for these compds. in the cyclase assay system were uniformly less than the IC50 values against [3H]8-OH-DPAT binding, although a reasonably good correlation was found between the EC50 and IC50 values for these compds.
N-(2-(5-Methoxyindole-
3-yl)ethyl)-2 aminomethyl-1,4-benzodioxan, 5-carboxamido-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-yl)ethyl)indole and 5-methoxy-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-yl)ethylindole, and spiroxatrine exhibited potential 5-HT1A agonistic activity, as shown by varying degrees of inhibition of forskolin-stimulated adenylate cyclase. However, there was no correlation between the potencies of the cyclase data and the [3H]-8-OH-DPAT binding data for these 4 compds. Spiroxatrine produced a complex inhibition curve with a maximal inhibition that was greater than that observed with 5-HT itself. Nonlinear regression anal. of this curve revealed high and low potency components. The ratio of the EC50 for the high-potency component to the IC50 value at 5-HT1A binding sites was consistent with that for the other 5-HT1A agonists, 5-HT, 8-OH-DPAT and bispirone.
IT 116729-30-7
RL: BIOL (Biological study)
(forskolin-stimulated adenylate cyclase of brain response to)
RN 116729-30-7 CAPLUS
CN 1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)



L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:52778 CAPLUS
DOCUMENT NUMBER: 60:52778
ORIGINAL REFERENCE NO.: 60:9287d-f
TITLE: 1,4-Benzodioxan-2-carboxamides
INVENTOR(S): Bid, John H.; Judd, Claude I.
PATENT ASSIGNEE(S): Lakeside Laboratories, Inc.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3117978		19640114	US 1961-84753	19610125
PRIORITY APPLN. INFO.:			US	19610125

GI For diagram(s), see printed CA Issue.
AB The title compds., possessing antidepressant and central nervous system stimulating properties, are prepared by treating 1,4-benzodioxan-2-carbonyl
chloride (I) with an aralkylamine in an inert solvent in the presence of an acid acceptor. Thus, 7.5 g. trans-2-phenylcyclopropylamine, 10.1 g. K2CO3, and 50 ml. anhydrous C6H6 is treated dropwise with 11.2 g. I, the mixture stirred several hrs. at room temperature, 100 ml. H2O added, the C6H6
layer separated, and the solvent evaporated to give 16.0 g. of an oil which
crystallized when covered with n-hexane and Et2O to yield 7.5 g. N-(trans-2-phenylcyclopropyl)-1,4-benzodioxan-2-carboxamide (Ia), m. 96-112°. Recrystn. from Et2O gave 3.1 g. pure product, m. 129-31°. Also prepared are N-(2-phenyl-1-propyl)-1,4-benzodioxan-2-carboxamide, m. 82-90° (mixture of isomers), and N[1-(3-indoyl)-2-butyl]-1,4-benzodioxan-2-carboxamide (II), m. 99-102°. A single pure isomer of II was also isolated, m. 135-8°.
IT 94862-17-6P, 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl]-
RL: PREP (Preparation)
(preparation of)
RN 94862-17-6 CAPLUS
CN 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl]- (7CI) (CA INDEX NAME)



10-556,931.trn

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	54.38	254.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.24	-6.24

STN INTERNATIONAL LOGOFF AT 19:31:49 ON 27 NOV 2007